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L6            1264 S L4 SSS FUL
L7                SCREEN 1839
L8                STRUCTURE UPLOADED
L9                QUE L8 AND L7
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L12            139 S L11
L13            113 S L12 AND PATENT/DT
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L20 (          207)SEA FILE=CAPLUS ABB=ON  PLU=ON  L19
L21 (          162)SEA FILE=CAPLUS ABB=ON  PLU=ON  L20 AND PATENT/DT
L22 (           45)SEA FILE=CAPLUS ABB=ON  PLU=ON  L20 NOT L21
L23 (            7)SEA FILE=CAPLUS ABB=ON  PLU=ON  L22 AND 1999/SO
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L28            131 S L12 AND L27
L29              8 S L12 NOT L27
L30             62 S L27 NOT L12
L31            131 S L27 NOT L30

=> d bib abs hitstr l29 1-8
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L29 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2002 ACS
AN 2002:123620 CAPLUS
TI Preparation of S-arylalkyl esters of L-thioalanine as metallo-.beta.-lactamase inhibitors
IN Balkovec, James M.; Greenlee, Mark L.; Hammond, Milton L.; Heck, James V.
PA USA
SO U.S. Pat. Appl. Publ., 44 pp., Division of U.S. Ser. No. 589,470, abandoned.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

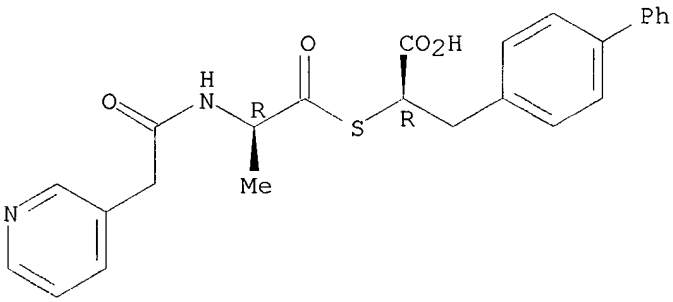
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2002019543	A1	20020214	US 2000-741644	20001220
PRAI US 2000-589470	B3	20000607		

AB Thiol amino acid derivs. R2SCHR1CO2H [wherein R1 = (un)substituted alkyl, (CH2)nAr; R2 = H or R3CO; R3 = H, (un)substituted alkyl, or (CH2)nAr; Ar = (un)substituted Ph, furanyl, thienyl, pyridyl, naphthyl, biphenyl, dibenzofuranyl, dibenzothienyl, fluorenyl, or fluorenonyl; n = 0-3] were prepd. as metallo-.beta.-lactamase inhibitors for treatment of bacterial infections. For example, I was prepd. in a multi-step synthesis using traditional and solid phase techniques, beginning with the amidation of 3-(4-biphenyl)propionic acid with (4S)-benzyl-2-oxazolidinone. The latter produced a 4-fold increase in E. coli sensitivity to (1S,5R,6S)-1-methyl-2-[7-[4-(aminocarbonylmethyl)-1,4-diazoniabicyclo[2.2.2]octan-1-yl]methylfluoren-9-on-3-yl]-6-(1R-hydroxyethyl)carbapen-2-em-3-carboxylate chloride at a concn. of 6.3 .mu.M.

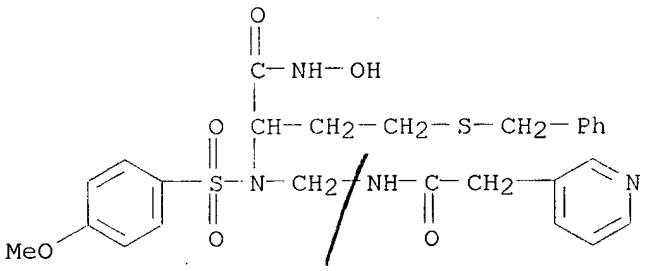
IT **250265-98-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thioalanine S-arylalkyl esters as metallo-.beta.-lactamase inhibitors for treatment of bacterial infections)

RN 250265-98-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[(2R)-1-oxo-2-[(3-pyridinylacetyl)amino]propyl]thio]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

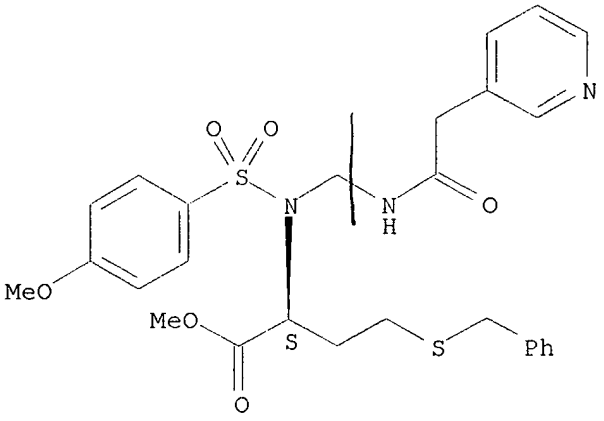


L29 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2002 ACS
AN 2001:567760 CAPLUS
DN 135:282683
TI N-aryl sulfonyl homocysteine hydroxamate inhibitors of matrix metalloproteinases: Further probing of the S1, S1', and S2' pockets
AU Hanessian, Stephen; Moitessier, Nicolas; Gauchet, Cecile; Viau, Martin
CS Department of Chemistry, Universite de Montreal, Montreal, QC, H3C 3J7, Can.
SO J. Med. Chem. (2001), 44(19), 3066-3073
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A series of N-arylsulfonyl S-alkyl homocysteine hydroxamic acids were synthesized with variations in three subsites corresponding to P1, P1', and P2'. Enzyme assays with a variety of matrix metalloproteinases (MMPs) revealed activity at the low nanomolar level.
IT **365282-28-6P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(N-aryl sulfonyl homocysteine hydroxamate inhibitors of matrix metalloproteinases: further probing of S1, S1', and S2' pockets)
RN 365282-28-6 CAPLUS
CN 3-Pyridineacetamide, N-[[[1-[(hydroxyamino)carbonyl]-3-[(phenylmethyl)thio]propyl][(4-methoxyphenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)



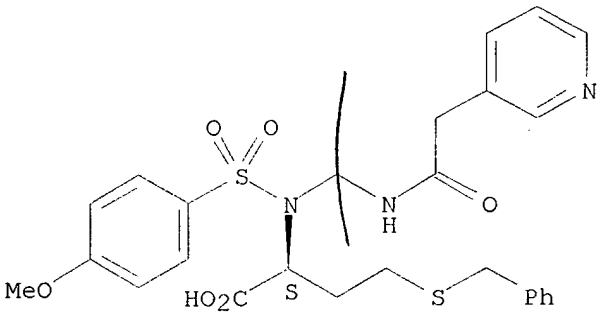
IT **365281-98-7P 365282-09-3P 365282-18-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(N-aryl sulfonyl homocysteine hydroxamate inhibitors of matrix metalloproteinases: further probing of S1, S1', and S2' pockets)
RN 365281-98-7 CAPLUS
CN L-Homocysteine, N-[(4-methoxyphenyl)sulfonyl]-S-(phenylmethyl)-N-[[(3-pyridinylacetyl)amino]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

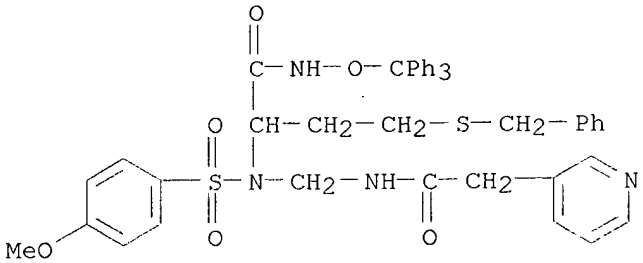


RN 365282-09-3 CAPLUS
CN L-Homocysteine, N-[(4-methoxyphenyl)sulfonyl]-S-(phenylmethyl)-N-[[(3-pyridinylacetyl)amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



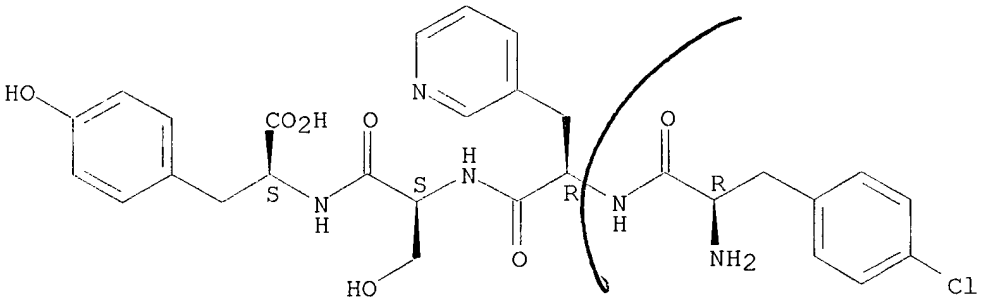
RN 365282-18-4 CAPLUS
CN 3-Pyridineacetamide, N-[[[(4-methoxyphenyl)sulfonyl][3-[(phenylmethyl)thio]-1-[[(triphenylmethoxy)amino]carbonyl]propyl]amino]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2002 ACS
AN 2001:61700 CAPLUS
DN 134:305544
TI Stability of several LHRH antagonists against proteolytic enzymes and identification of degradation products by mass spectrometry
AU Braun, K.; Kuhl, P.; Bernd, M.; Kutscher, B.
CS Institute of Biochemistry, University of Technology Dresden, Germany
SO Pharmazie (2001), 56(1), 45-49
CODEN: PHARAT; ISSN: 0031-7144
PB Govi-Verlag Pharmazeutischer Verlag
DT Journal
LA English
AB In this study stabilities of several LHRH antagonists against proteolytic enzymes are compared. For the enzymic tests 15 proteases which differ in both substrate specificity and pH optimum were selected. The cyclic and two linear antagonists proved to be extraordinarily stable against the enzymes used over an incubation time of 50 h. Some degrdn. products were identified by HPLC combined with mass spectrometry.
IT **335158-79-7**
RL: BPR (Biological process); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process)
(LHRH antagonists stability against proteolytic enzymes and identification of degrdn. products by mass spectrometry)
RN 335158-79-7 CAPLUS
CN L-Tyrosine, 4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl- (9CI) (CA INDEX NAME)

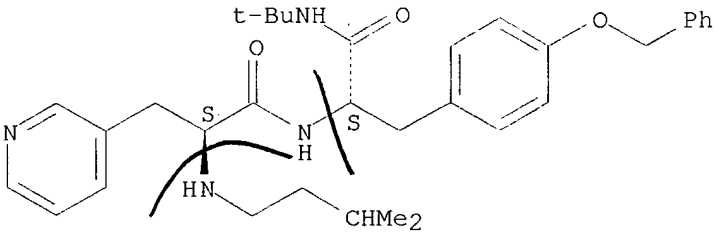
Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2002 ACS
AN 2000:258231 CAPLUS
DN 133:53158
TI Structure-activity relationship at the leucine side chain in a series of N,N-dialkyldipeptidyl-amines as N-type calcium channel blockers
AU Ryder, Todd R.; Hu, Lain-Yen; Rafferty, Michael F.; Lotarski, Susan M.; Rock, David M.; Stoehr, Sally J.; Taylor, Charles P.; Weber, Mark L.; Miljanich, George P.; Millerman, Elizabeth; Szoke, Balazs G.
CS Department of Chemistry, Parke-Davis Pharmaceutical Research, Division Of Warner-Lambert Company, Ann Arbor, MI, 48105, USA
SO Drug Des. Discovery (2000), 16(4), 317-322
CODEN: DDDIEV; ISSN: 1055-9612
PB Harwood Academic Publishers
DT Journal
LA English
AB Exploration of the SAR around the leucine side chain in a series of N,N-dialkyldipeptidylamines with potent functional activity at N-type VSCC is presented. A novel analog is disclosed which possesses improved aq. soly., in vivo activity in an audiogenic seizure model, and reversible blockade in electrophysiol. assays.
IT **277753-27-2**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(structure-activity relationship at leucine side chain in a series of N,N-dialkyldipeptidyl-amines as N-type calcium channel blockers)
RN 277753-27-2 CAPLUS
CN L-Tyrosinamide, N-(3-methylbutyl)-3-(3-pyridinyl)-L-alanyl-N-(1,1-dimethylethyl)-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

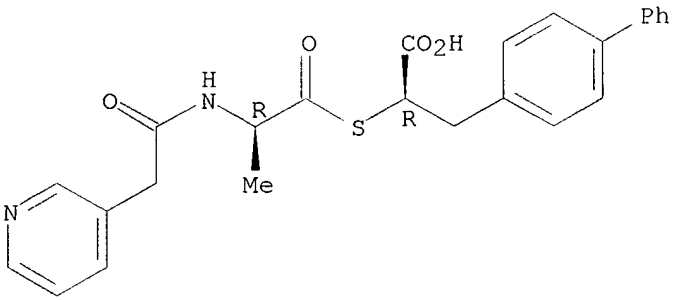
Absolute stereochemistry.



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

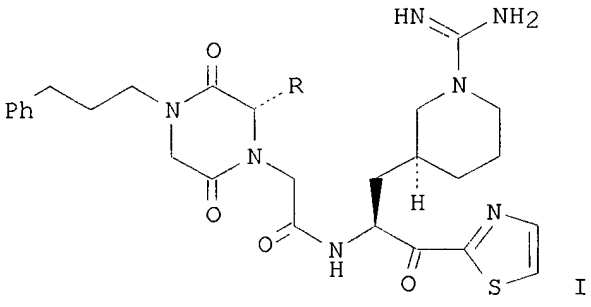
L29 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2002 ACS
AN 1999:614124 CAPLUS
DN 131:346136
TI Synthesis and SAR of thioester and thiol inhibitors of IMP-1 metallo-.beta.-lactamase
AU Greenlee, Mark L.; Laub, Joanne B.; Balkovec, James M.; Hammond, Milton L.; Hammond, Gail G.; Pompliano, David L.; Epstein-Toney, Jeffrey H.
CS Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SO Bioorg. Med. Chem. Lett. (1999), 9(17), 2549-2554
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB A series of thioesters and thiols was synthesized employing a solid-phase Mitsunobu reaction as the key step. These compds. were potent inhibitors against IMP-1 metallo-.beta.-lactamase, but were only weakly active against the CcrA (Bacteroides fragilis) enzyme. The development of inhibitors of metallo-.beta.-lactamases, particularly IMP-1, is important in order to counter the resistance to antimicrobial chemotherapy with .beta.-lactam antibiotics.
IT **250265-98-6P**
RL: BAC (Biological activity or effector, except adverse); PNU (Preparation, unclassified); BIOL (Biological study); PREP (Preparation)
(synthesis and structure-activity relationships of thio ester and thiol inhibitors of IMP-1 metallo-.beta.-lactamase)
RN 250265-98-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanoic acid, .alpha.-[[(2R)-1-oxo-2-[(3-pyridinylacetyl)amino]propyl]thio]-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2002 ACS
AN 1999:614098 CAPLUS
DN 132:3352
TI The design of potent and selective inhibitors of thrombin utilizing a piperazinedione template. Part 1
AU Cody, Wayne L.; Cai, Cuiman; Doherty, Annette M.; Edmunds, Jeremy J.; He, John X.; Narasimhan, Lakshmi S.; Plummer, Janet S.; Rapundalo, Stephen T.; Rubin, J. Ronald; Van Huis, Chad A.; St-Denis, Yves; Winocour, Peter D.; Siddiqui, M. Arshad
CS Parke-Davis Pharmaceutical Research, Division of Warner-Lambert Company, Ann Arbor, MI, 48105, USA
SO Bioorg. Med. Chem. Lett. (1999), 9(17), 2497-2502
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
GI



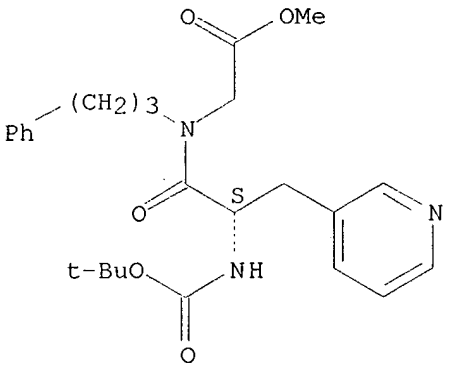
I

AB Utilizing X-ray crystallog. and mol. modeling, highly potent and selective peptidomimetic thrombin inhibitors have been designed contg. a rigid piperazinedione template, I (R = CH2Ph, H, 3-pyridylmethyl, etc.). The synthesis and biol. activity of these compds. is described. The replacement of the benzyl group with aliph. moieties led to compds. with reasonable selectivity for thrombin over trypsin. All of the compds. were relatively weak inhibitors. I [R = CH2(C6H11)] was the most potent among them.

IT **251308-35-7P 251308-49-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and thrombin inhibitory activity of thiazolyl (piperidinylmethyl) piperazinedione derivs.)

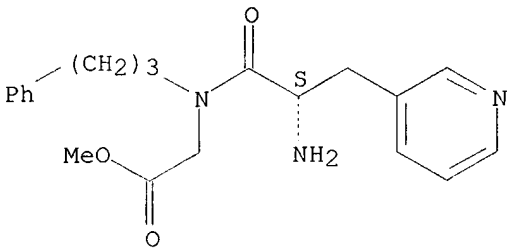
RN 251308-35-7 CAPLUS
CN Glycine, N-[(1,1-dimethylethoxy)carbonyl]-3-(3-pyridinyl)-L-alanyl-N-(3-phenylpropyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 251308-49-3 CAPLUS
CN Glycine, 3-(3-pyridinyl)-L-alanyl-N-(3-phenylpropyl)-, methyl ester (9CI) (CA INDEX NAME)

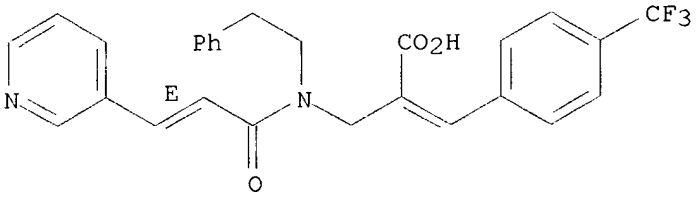
Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2002 ACS
AN 1999:46691 CAPLUS
DN 130:222798
TI Polymer Bound 3-Hydroxy-2-methylenepropionic Acids. A Template for Multiple Core Structure Libraries
AU Richter, Hartmut; Walk, Tilmann; Hoeltzel, Alexandra; Jung, Guenther
CS Institut fuer Organische Chemie, Eberhard-Karls-Universitaet Tuebingen, Tuebingen, D-72076, Germany
SO J. Org. Chem. (1999), 64(4), 1362-1365
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 130:222798
AB Polymer-bound 3-hydroxy-2-methylenepropanoic acid derivs. were prepd. from polymer-bound acrylic acid and aldehyde via a Baylis-Hillman reaction and further elaborated into a large no. of different core compds.
IT **221088-41-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of polymer-bound (hydroxy)(methylene)propanoates as template for multiple core structure libraries)
RN 221088-41-1 CAPLUS
CN 2-Propenoic acid, 2-[[[(2E)-1-oxo-3-(3-pyridinyl)-2-propenyl](2-phenylethyl)amino]methyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

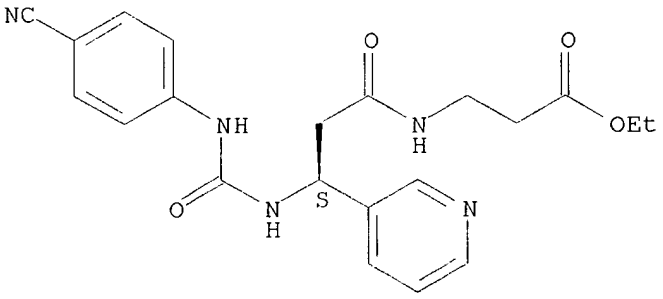
Double bond geometry as described by E or Z.



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2002 ACS
AN 1998:804807 CAPLUS
DN 130:153225
TI The tert-Butanesulfinyl Group: An Ideal Chiral Directing Group and Boc-Surrogate for the Asymmetric Synthesis and Applications of .beta.-Amino Acids
AU Tang, Tony P.; Ellman, Jonathan A.
CS Department of Chemistry, University of California, Berkeley, CA, 94720, USA
SO J. Org. Chem. (1999), 64(1), 12-13
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 130:153225
AB The utility of tert-butanesulfinamide [i.e., [S(R)]-2-methyl-2-propanesulfinamide derivs.] in the chiral synthesis of .beta.-amino acids was demonstrated. The condensation of [S(R)]-2-methyl-2-propanesulfinamide with carbonyl compds. gave the corresponding sulfinyl imines. The diastereofacial selectivity of these imines toward lithium or titanium enolate addn. was studied. One of the compds. thus prepd. was converted into (-)-N-[N-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]-3-(3-pyridinyl)-.beta.-alanyl]-.beta.-alanine Et ester, which is a precursor for a known GPIIbIIIa antagonist.
IT **220315-39-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 220315-39-9 CAPLUS
CN .beta.-Alanine, N-[[(4-cyanophenyl)amino]carbonyl]-(3S)-3-(3-pyridinyl)-.beta.-alanyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L[ ] ANSWER 89 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1995:781780 CAPLUS
DN 123:199412
TI Preparation of difluoro statone analogs as antiviral agents
IN Schirlin, Daniel; Van Dorsselaer, Viviane; Tarnus, Celine
PA Merrell Dow Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9501958	A1	19950119	WO 1994-US6376	19940607
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2166693	AA	19950109	CA 1994-2166693	19940607
	CA 2249786	AA	19950109	CA 1994-2249786	19940607
	AU 9471008	A1	19950206	AU 1994-71008	19940607
	AU 680009	B2	19970717		
	EP 707564	A1	19960424	EP 1994-920095	19940607
	EP 707564	B1	20000920		
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	CN 1126988	A	19960717	CN 1994-192700	19940607
	HU 73411	A2	19960729	HU 1995-3706	19940607
	JP 08512319	T2	19961224	JP 1994-504027	19940607
	AT 196465	E	20001015	AT 1994-920095	19940607
	ES 2152982	T3	20010216	ES 1994-920095	19940607
	ZA 9404818	A	19950222	ZA 1994-4818	19940704
	US 5717093	A	19980210	US 1995-578698	19951218
	NO 9600048	A	19960305	NO 1996-48	19960105
	FI 9600051	A	19960307	FI 1996-51	19960105
	US 6114380	A	20000905	US 1997-925943	19970908
PRAI	EP 1993-401785	A	19930708		
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	WO 1994-US6376	W	19940607		
	US 1995-578698	A3	19951218		

OS MARPAT 123:199412
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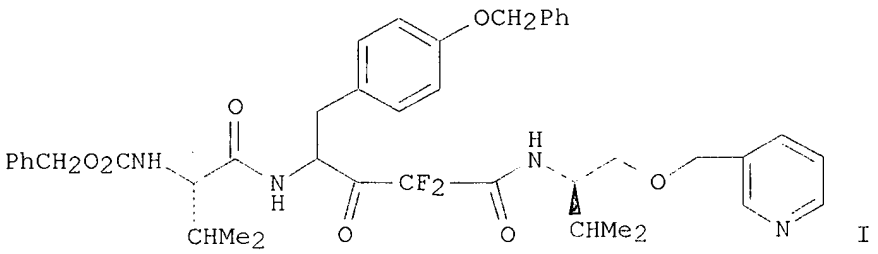


I

AB Title compds. R1[CONHCHP2]xCONHCHP1CHCOCF2CONR5R6 (P1 = heterocyclylalkyl, substituted phenylene-C1-6 alkylene ; P2 = C1-6 alkyl, cyclopentyl,

HO-C1--6 alkyl, Ph, PhCH2, 3-tetrahydrofuryl; R1 = PhCH2O, C1-6 alkoxy, C1-6 alkyl, P, PhCH2, 2-isoquinolinyl, etc.; R5 = C7-15 alkyl, C7-15 alkoxy, phenylene-alkylene, etc.; R6 = H, C1-6 alkyl), stereoisomers, isosteres, and salts thereof, useful as antivital agents (no data), are prepd. Et 4-(tert-butoxycarbonylamino)-2,2-difluoro-3-hydroxy-5-(4-benzyloxy)phenylpentanoate (prepn. given) and O-(3-pyridylmethyl)-D-valinol (prepn. given) in THF were reluxed to give the appropriate valinol which in 3 steps was converted to the title compd. I.

IT **167486-15-9P**

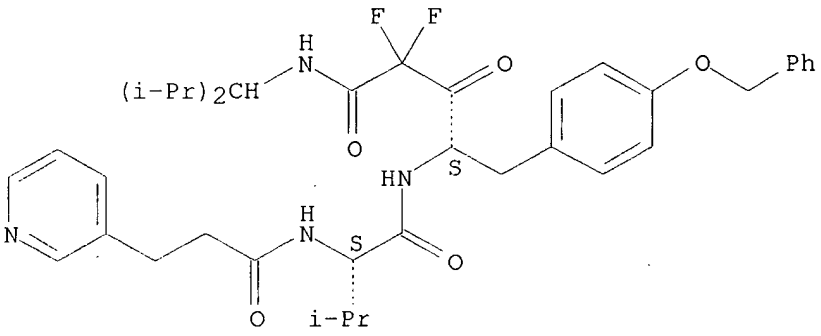
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoro statone analogs as antiviral agents)

RN 167486-15-9 CAPLUS

CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-4-[[2-methyl-1-(1-methylethyl)propyl]amino]-2,4-dioxo-1-[[4-(phenylmethoxy)phenyl]methyl]butyl]amino]carbonyl]-2-methylpropyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



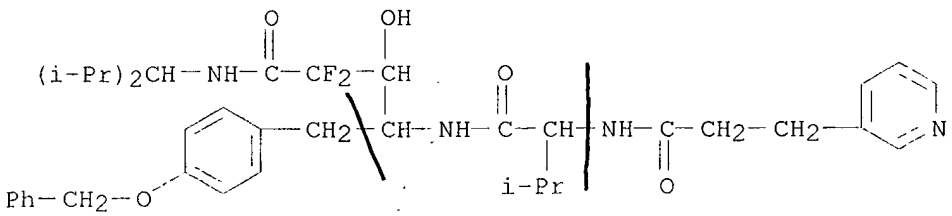
IT **167486-38-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of difluoro statone analogs as antiviral agents)

RN 167486-38-6 CAPLUS

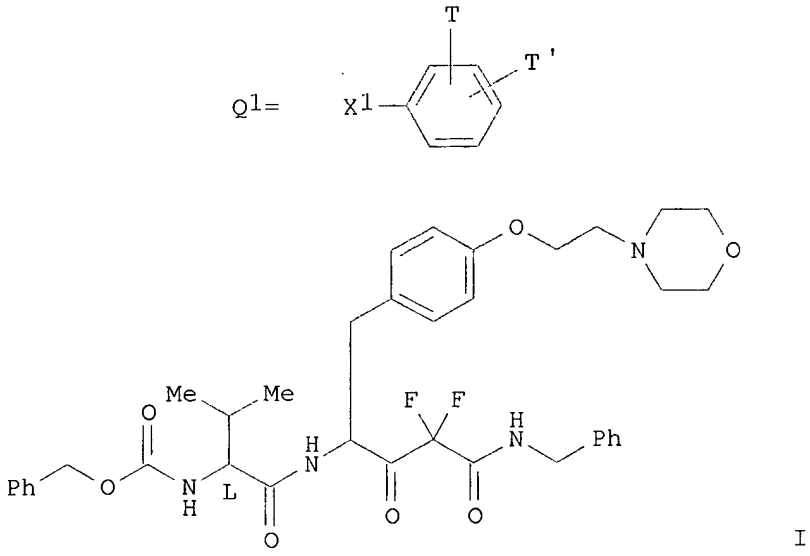
CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-2-hydroxy-4-[[2-methyl-1-(1-methylethyl)propyl]amino]-4-oxo-1-[[4-(phenylmethoxy)phenyl]methyl]butyl]amino]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



L91 ANSWER 90 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1995:761533 CAPLUS
DN 123:170194
TI Preparation of difluorostatone analog virucides.
IN Van Dorsselaer, Viviane; Schirlin, Daniel; Tarnus, Celine
PA Merrell Dow Pharmaceuticals Inc., USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9507257	A1	19950316	WO 1994-US9053	19940810
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2170607	AA	19950316	CA 1994-2170607	19940810
	AU 9475606	A1	19950327	AU 1994-75606	19940810
	AU 683927	B2	19971127		
	EP 717731	A1	19960626	EP 1994-925816	19940810
	EP 717731	B1	19990421		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1130898	A	19960911	CN 1994-193321	19940810
	HU 74569	A2	19970128	HU 1996-601	19940810
	JP 09502437	T2	19970311	JP 1994-508667	19940810
	AT 179167	E	19990515	AT 1994-925816	19940810
	ES 2133573	T3	19990916	ES 1994-925816	19940810
	ZA 9406815	A	19950424	ZA 1994-6815	19940905
	IL 110865	A1	19990714	IL 1994-110865	19940905
	US 5831094	A	19981103	US 1996-596336	19960220
	NO 9600849	A	19960301	NO 1996-849	19960301
	FI 9601105	A	19960308	FI 1996-1105	19960308
	US 5948778	A	19990907	US 1998-81307	19980519
PRAI	EP 1993-402194		19930909		
	WO 1994-US9053		19940810		

OS MARPAT 123:170194
GI



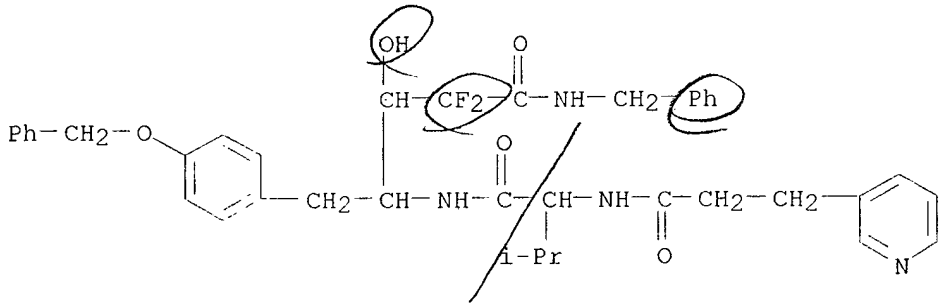
I

AB R1(CONHCHP2)xCONHCHP1COCF2CONR5R6 [P1 = Q1; X1 = alkylene; T = (O)bWR; T' = (O)b'W'R', H; W, W' = null, alkylene, with provisos; P2 = alkyl, cyclopentyl, hydroxyalkyl, Ph, PhCH2, 3-tetrahydrofuryl; R, R' = alkenylene, (substituted) piperazinyl, piperidyl, morpholinyl, pyridyl, pyrazinyl, pyrimidinyl; R1 = PHCH2O, alkoxy, alkyl, Ph, PhCH2, PhCH2CH2, 2-quinolyl, etc.; R5, R6 = H, alkyl, OH, alkoxy, morpholinyl, silylmethyl, benzimidazol-2-ylmethyl, substituted arylalkyl, etc.; R5R6N = defined heterocyclyl; b, x = 0, 1], were prepd. as inhibitors of retroviral proteases (no data). Thus, title compd. (I) was prepd. in 8 steps from Et 4-tert-butoxycarbonylamino-2,2-difluoro-3-hydroxy-5-[(4-benzyloxy)phenyl]pentanoate.

IT **144569-81-3P 167020-05-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of difluorostatone analog virucides)

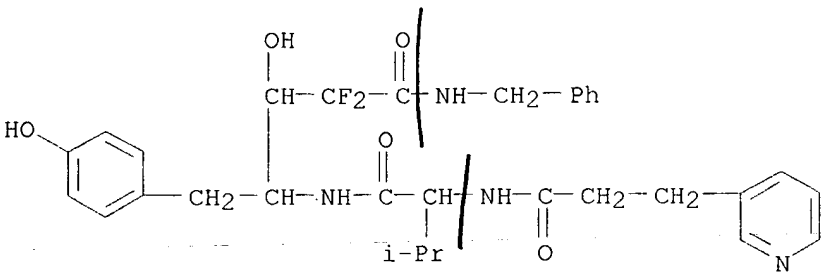
RN 144569-81-3 CAPLUS

CN Pentonamide, 2,4,5-trideoxy-2,2-difluoro-4-[[3-methyl-1-oxo-2-[[1-oxo-3-(3-pyridinyl)propyl]amino]butyl]amino]-5-[4-(phenylmethoxy)phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 167020-05-5 CAPLUS

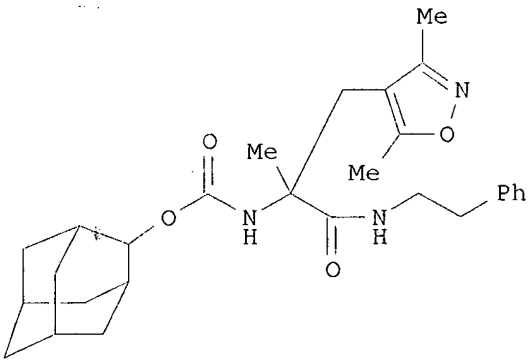
CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-2-hydroxy-1-[(4-hydroxyphenyl)methyl]-4-oxo-4-[(phenylmethyl)amino]butyl]amino]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 91 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1995:606572 CAPLUS
DN 123:33642
TI Preparation of amino acid amide analogs as cholecystokinin antagonists.
IN Horwell, David C.; Aranda, Julian; Augelli-Szafran, Corinne; Betche, Hans-Jurgen; Holmes, Ann; Mullican, Michael D.; Pritchard, Martyn C.; Richardson, Reginald S.; Roberts, Edward; et al.
PA Warner-Lambert Co., USA
SO U.S., 64 pp. Cont.-in-part of U.S. Ser. No. 576,308, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5331006	A	19940719	US 1991-726656	19910712
	WO 9204025	A1	19920319	WO 1991-US6181	19910829
	W: AU, CA, FI, JP, KR, NO				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	AU 9186538	A1	19920330	AU 1991-86538	19910829
	ZA 9106918	A	19930301	ZA 1991-6918	19910830
PRAI	US 1990-576308		19900831		
	US 1991-726656		19910712		
	WO 1991-US6181		19910829		

OS MARPAT 123:33642
GI



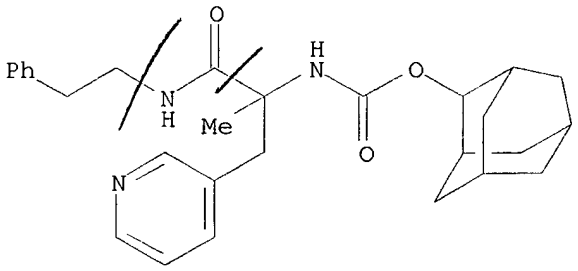
I

AB R1ANHCR2(CH2Ar2)CONR9CR12R3CR13R4Ar [R1 = (substituted) cycloalkyl, polycycloalkyl; A = (CH2)nCO, SO2, SO, NHCO, (CH2)nO2C, SCO, etc.; n = 0-6; R2 = alkyl, CH:CH2, C.tplbond.CH, (CH2)nAr, etc.; R3, R4 = H, R2, etc.; R9 = H, alkyl, (CH2)nCO2R, etc.; R = H, alkyl; R12, R13 = H or are independently taken with R3, R4, resp., to form a moiety doubly bonded to C; Ar = (substituted) (poly)cyclic carbo- or heterocyclic moiety; Ar2 = Ar, or CH2Ar2 = sidechain of a biol. significant amino acid; with provisos], were prepd. Title compd. I was prepd. by soln. phase methods. Title compds. were active in CCK binding assays using mouse cerebral cortex prepns. Title compds. are claimed as ulcer inhibitors.

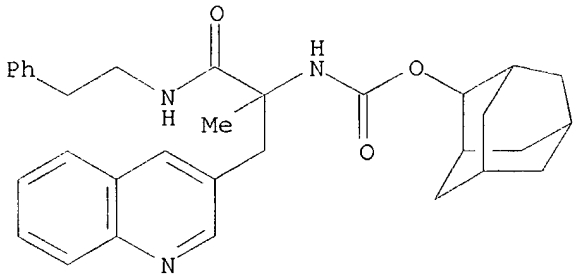
IT **142909-45-3P 142909-55-5P 142909-72-6P**
142909-82-8P 142909-83-9P 142909-86-2P
142909-87-3P 163798-55-8P 163798-67-2P
163955-11-1P 163955-12-2P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid amide analogs as cholecystokinin antagonists)

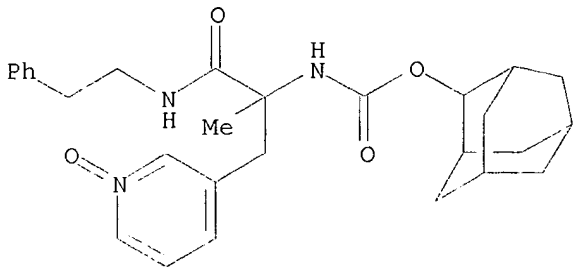
RN 142909-45-3 CAPLUS
CN Carbamic acid, [1-methyl-2-oxo-2-[(2-phenylethyl)amino]-1-(3-pyridinylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester (9CI) (CA INDEX NAME)



RN 142909-55-5 CAPLUS
CN Carbamic acid, [1-methyl-2-oxo-2-[(2-phenylethyl)amino]-1-(3-quinolinylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester (9CI) (CA INDEX NAME)

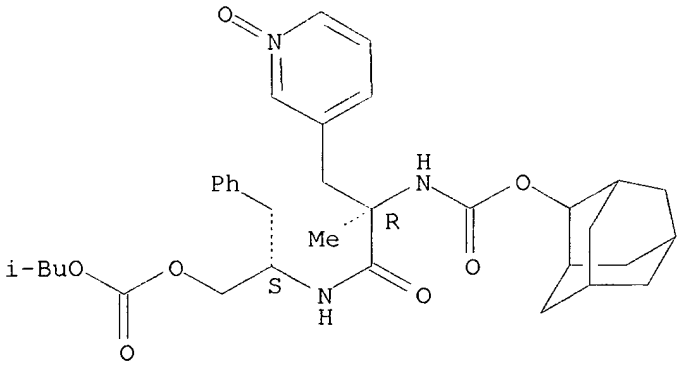


RN 142909-72-6 CAPLUS
CN Carbamic acid, [1-methyl-1-[(1-oxido-3-pyridinyl)methyl]-2-oxo-2-[(2-phenylethyl)amino]ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester (9CI) (CA INDEX NAME)



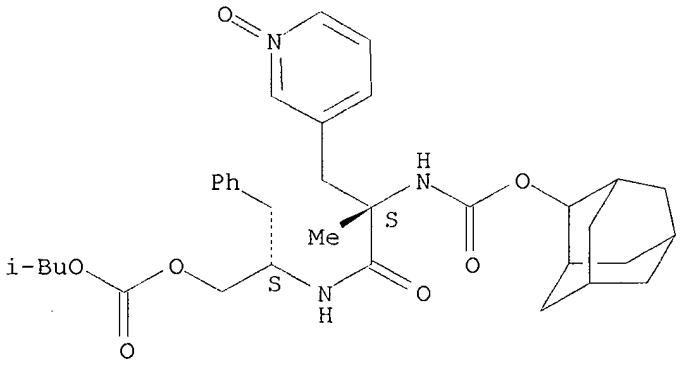
RN 142909-82-8 CAPLUS
CN 8,10-Dioxa-2,5-diazatridecanoic acid, 3,12-dimethyl-3-[(1-oxido-3-pyridinyl)methyl]-4,9-dioxo-6-(phenylmethyl)-, tricyclo[3.3.1.13,7]dec-2-yl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



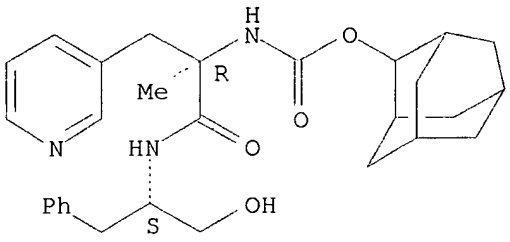
RN 142909-83-9 CAPLUS
CN 8,10-Dioxa-2,5-diazatridecanoic acid, 3,12-dimethyl-3-[(1-oxido-3-pyridinyl)methyl]-4,9-dioxo-6-(phenylmethyl)-, tricyclo[3.3.1.13,7]dec-2-yl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



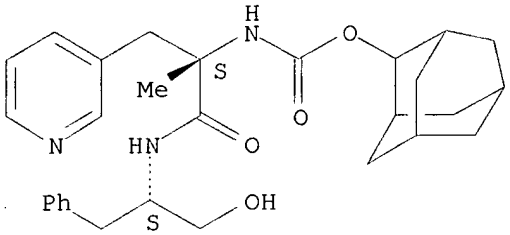
RN 142909-86-2 CAPLUS
CN Carbamic acid, [2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-1-methyl-2-oxo-1-(3-pyridinylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



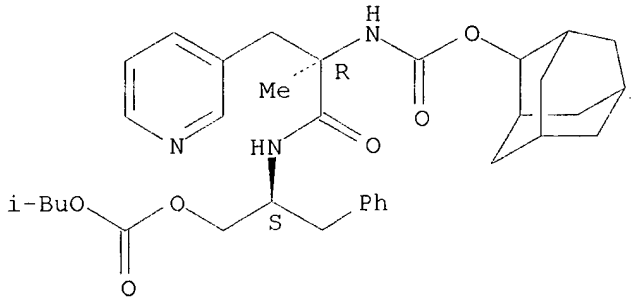
RN 142909-87-3 CAPLUS
CN Carbamic acid, [2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-1-methyl-2-oxo-1-(3-pyridinylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



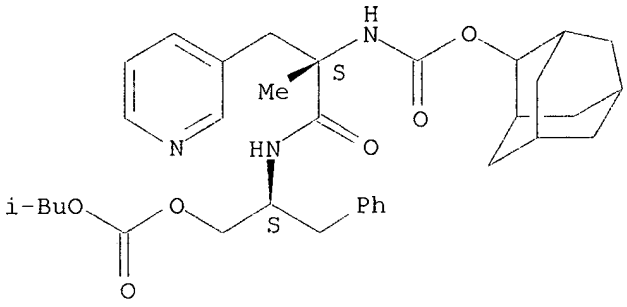
RN 163798-55-8 CAPLUS
CN 8,10-Dioxa-2,5-diazatridecanoic acid, 3,12-dimethyl-4,9-dioxo-6-(phenylmethyl)-3-(3-pyridinylmethyl)-, tricyclo[3.3.1.13,7]dec-2-yl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



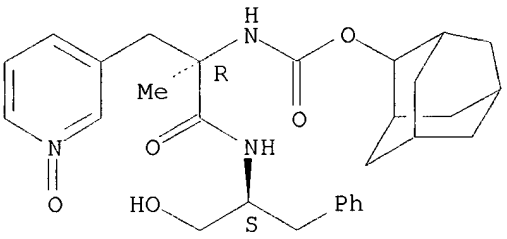
RN 163798-67-2 CAPLUS
CN 8,10-Dioxa-2,5-diazatridecanoic acid, 3,12-dimethyl-4,9-dioxo-6-(phenylmethyl)-3-(3-pyridinylmethyl)-, tricyclo[3.3.1.13,7]dec-2-yl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



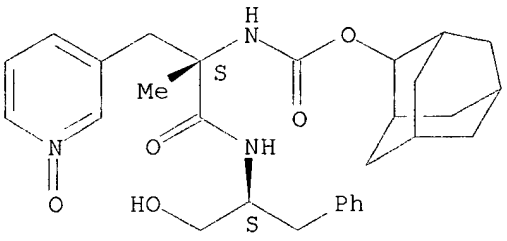
RN 163955-11-1 CAPLUS
CN Carbamic acid, [2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-1-methyl-1-[(1-oxido-3-pyridinyl)methyl]-2-oxoethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 163955-12-2 CAPLUS
CN Carbamic acid, [2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-1-methyl-1-[(1-oxido-3-pyridinyl)methyl]-2-oxoethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

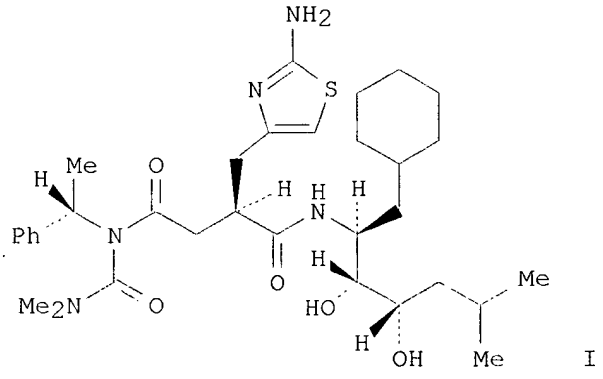
Absolute stereochemistry.



L31 ANSWER 92 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1995:356692 CAPLUS
DN 122:160465
TI Renin inhibiting n-(2-amino-2-oxoethyl)butanediamide derivatives
IN Lavallee, Pierre; Simoneau, Bruno
PA Bio-Mega/Boehringer Ingelheim Research Inc., Can.
SO Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 589445	A1	19940330	EP 1993-115326	19930923
	EP 589445	B1	19970115		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	WO 9407846	A1	19940414	WO 1993-CA380	19930915
	W: AU, BG, BR, BY, CA, CZ, FI, HU, JP, KR, LV, NO, NZ, PL, RU, SK, UA				
	HU 70432	A2	19951030	HU 1995-871	19930915
	JP 08501567	T2	19960220	JP 1993-508542	19930915
	AU 680829	B2	19970814	AU 1993-49403	19930915
	CA 2143300	C	19980421	CA 1993-2143300	19930915
	PL 174454	B1	19980731	PL 1993-308180	19930915
	BR 9307110	A	19990330	BR 1993-7110	19930915
	LT 3072	B	19941125	LT 1993-1092	19930923
	AT 147720	E	19970215	AT 1993-115326	19930923
	IL 107092	A1	19970930	IL 1993-107092	19930923
	ZA 9307079	A	19940606	ZA 1993-7079	19930924
	CN 1090278	A	19940803	CN 1993-117983	19930925
	FI 9501398	A	19950324	FI 1995-1398	19950324
	NO 9501133	A	19950524	NO 1995-1133	19950324
	LV 10945	B	19960620	LV 1995-107	19950425
PRAI	US 1992-951478		19920925		
	WO 1993-CA380		19930915		

OS MARPAT 122:160465
GI



I

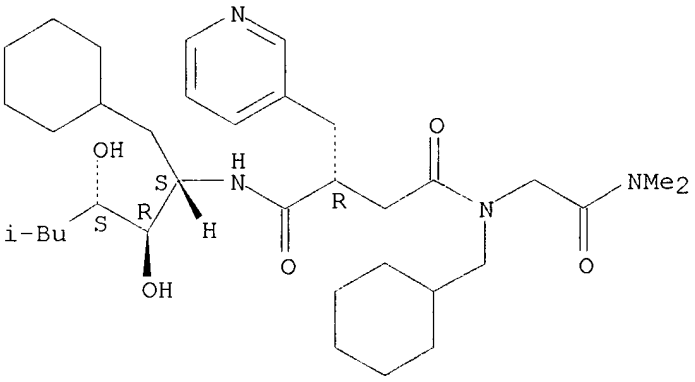
AB Disclosed herein are compds. of the formula: A-N(R1)C(O)CH2CHR2C(O)-B [A = R3R4NC(O)CH2; R3 = H, alkyl; R4 = H, alkyl, 2-(2-pyridinyl)ethyl, etc.;

R3R4 = pyrrolidino, piperidino, morpholino, thiomorpholino; R1 = benzyl, alkyl, etc.; R2 = alkyl, cycloalkylmethyl, 1H-imidazol-4-ylmethyl, 4-thiazolylmethyl or (2-amino-4-thiazolyl)methyl; and B = renin substrate, transition state analog, for example, [1-(S)-(cyclohexylmethyl)-2(R),3(S)-dihydroxy-5-methylhexyl]amino]. The compds. inhibit renin activity and are indicated for the treatment of hypertension and congestive heart failure. An example compd., N4-[2-(dimethylamino)-2-oxoethyl]-N4-[1(S)-phenylethyl]-N1-[1(S)-(cyclohexylmethyl)-2(R),3(S)-dihydroxy-5-methylhexyl]-2(R)-(2-amino-4-thiazolyl)butanediamide (I) was prepd. I had activity as human renin inhibitor (IC50 = 50 nM).

IT **160937-64-4P 160937-75-7P 160937-76-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as renin inhibitor antihypertensive)

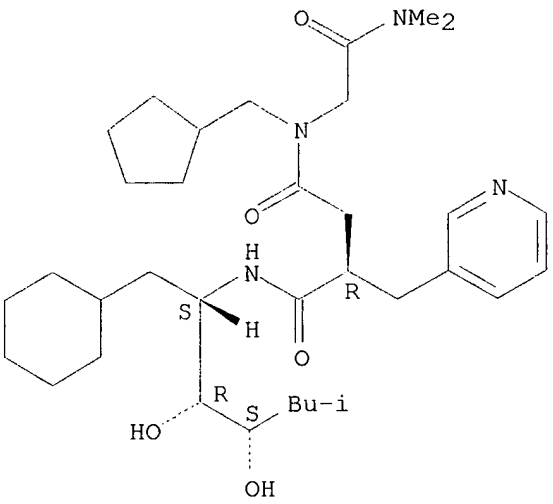
RN 160937-64-4 CAPLUS
CN Butanediamide, N4-(cyclohexylmethyl)-N1-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-N4-[2-(dimethylamino)-2-oxoethyl]-2-(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



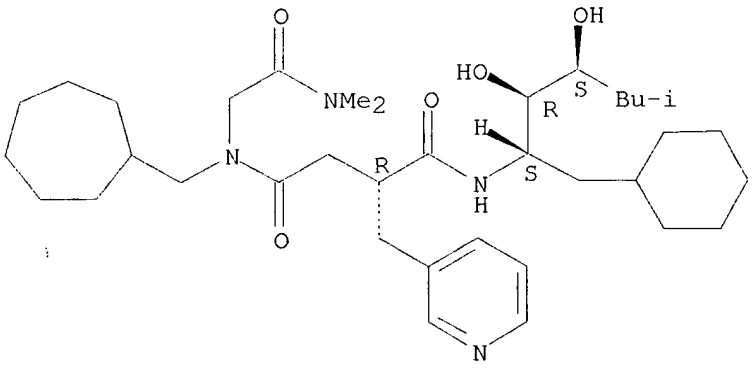
RN 160937-75-7 CAPLUS
CN Butanediamide, N1-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-N4-(cyclopentylmethyl)-N4-[2-(dimethylamino)-2-oxoethyl]-2-(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160937-76-8 CAPLUS
CN Butanediamide, N4-(cycloheptylmethyl)-N1-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-N4-[2-(dimethylamino)-2-oxoethyl]-2-(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

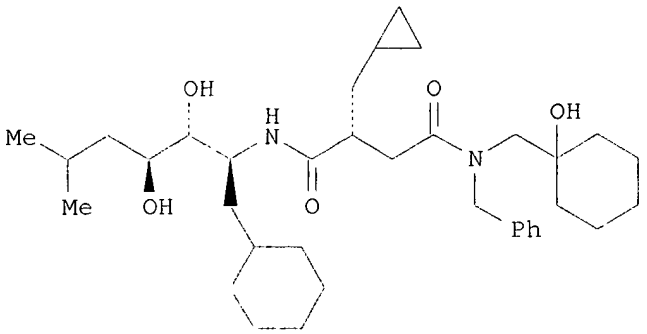
Absolute stereochemistry.



L4 ANSWER 93 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1995:308607 CAPLUS
DN 122:105871
TI N-(Hydroxyethyl)butanediamide derivatives as renin inhibitors
IN Anderson, Paul Cates; Halmos, Teddy; Jung, Grace Lorena; Poupart, Marc Andre; Bruno, Simoneau
PA Bio-Mega/Boehringer Ingelheim Research Inc., Can.
SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 589446	A1	19940330	EP 1993-115327	19930923
	EP 589446	B1	19970903		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	WO 9407845	A1	19940414	WO 1993-CA379	19930915
	W: AU, BG, BR, BY, CA, CZ, FI, HU, JP, KR, LV, NO, NZ, PL, RU, SK, UA				
	HU 70402	A2	19951030	HU 1995-872	19930915
	JP 08501566	T2	19960220	JP 1993-508541	19930915
	AU 677602	B2	19970501	AU 1993-49402	19930915
	CA 2143301	C	19980421	CA 1993-2143301	19930915
	PL 174487	B1	19980831	PL 1993-308179	19930915
	BR 9307111	A	19990615	BR 1993-7111	19930915
	LT 3073	B	19941125	LT 1993-10	19930923
	AT 157646	E	19970915	AT 1993-115327	19930923
	IL 107093	A1	19970930	IL 1993-107093	19930923
	ZA 9307080	A	19941024	ZA 1993-7080	19930924
	CN 1087625	A	19940608	CN 1993-117984	19930925
	NO 9501134	A	19950324	NO 1995-1134	19950324
	FI 9501397	A	19950324	FI 1995-1397	19950324
	LV 10944	B	19960620	LV 1995-108	19950425
PRAI	US 1992-951250		19920925		
	WO 1993-CA379		19930915		

OS MARPAT 122:105871
GI



II

AB Disclosed are compds. AN(R1)COCH2CH(R2)COB [I; A = oxygen-bearing radical selected from: (a) HOCH(R3)CH2 (R3 = e.g, H, lower cycloalkyl, Ph,

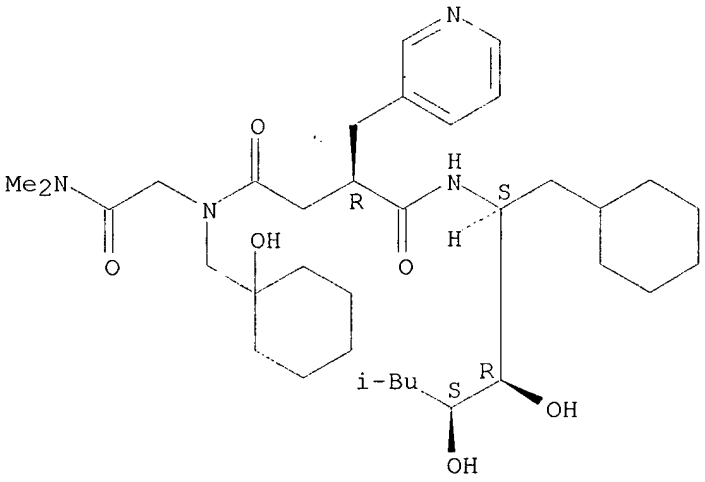
unsubstituted 5- or 6-membered heterocyclic ring contg. 1-2 N, O or S atoms); (b) HOCH2CH(R4) (R4 = e.g., lower alkyl or phenylalkyl); (c) HOCR5(R6)CH2 (R5, R6 = lower alkyl); or CR5R6 = 1,1-cycloalkanediyl, 1,1-(4-hydroxycyclohexanediyl) or 1,1-(4-oxocyclohexanediyl); (d) (lower alkoxy)CR5A(R6A)CH2 (R5A, R6A = lower alkyl, or CR5AR6A = 1,1-(lower cycloalkanediyl)); and (e) (lower alkyl)COCH2; R1 = e.g., benzyl, alkyl, substituted alkyl such as cyclohexylmethyl, or R7R8NCOCH2 (R7, R8 = alkyl such as Me or Et); R2 = e.g., alkyl, cycloalkylmethyl, 1H-imidazol-4-ylmethyl, 4-thiazolylmethyl or (2-amino-4-thiazolyl)methyl; B = a renin substrate transition state mimic, for example, [1(S)-(cyclohexylmethyl)-2(R),3-(S)-dihydroxy-5-methylhexyl]amino; several provisos]. I inhibit renin activity and are indicated for the treatment of hypertension and congestive heart failure. For example, amidation of 1-[[(phenylmethyl)amino]methyl]cyclohexanol with 3(R)-(cyclopropylmethyl)-4-[[1(S)-(cyclohexylmethyl)-2(R),3(S)-dihydroxy-5-methylhexyl]amino]-4-oxobutanoic acid (prepns. given) using BOP.PF6 and N-methylmorpholine in DMF gave 39% title compd. II. The IC50 of II for inhibition of human renin in vitro was 36 nM. Over 60 specific I are both listed with biol. activity and claimed. A variety of precursor prepns. are described, including one using potentially explosive Li perchlorate in the aminolysis of an epoxide.

IT **160446-81-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as renin inhibitor)

RN 160446-81-1 CAPLUS

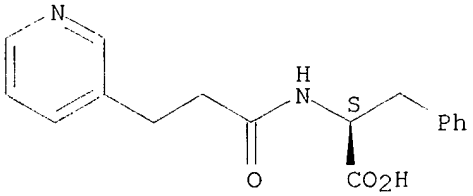
CN Butanediamide, N1-[1-(cyclohexylmethyl)-2,3-dihydroxy-5-methylhexyl]-N4-[2-(dimethylamino)-2-oxoethyl]-N4-[(1-hydroxycyclohexyl)methyl]-2-(3-pyridinylmethyl)-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



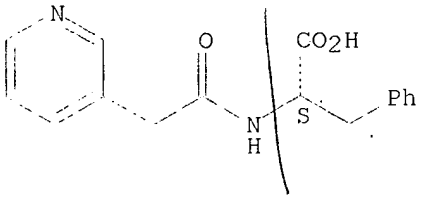
L31 ANSWER 94 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1995:292678 CAPLUS
DN 122:122443
TI Renin inhibitor: transport mechanism in rat small intestinal brush-border membrane vesicles
AU Hashimoto, Naofumi; Fujioka, Toshihiro; Toyoda, Tatsuo; Muranushi, Noriyuki; Hirano, Koichiro
CS Shionogi Research Lab., Shionogi & Co., Ltd, Osaka, 553, Japan
SO Pharm. Res. (1994), 11(10), 1448-51
CODEN: PHREEB; ISSN: 0724-8741
DT Journal
LA English
AB The transport characteristics of the renin inhibitor ((3S,4S)-4-[N-morpholinoacetyl-(1-naphthyl)-L-alanyl-N-methyl-(4-thiazolyl)-L-alanyl]amino-3-hydroxy-5-cyclohexyl-1-(4-pyridyl)-1-pentanone; CH3-18) in rat small intestinal brush-border membrane vesicles (BBMV) were examd. by a rapid filtration technique. The uptake of CH3-18 was markedly stimulated by an inwardly directed H+ gradient (pH 7.5 inside, pH 5.5 outside) and showed an uphill transport. It was competitively inhibited by tripeptides and tetrapeptides, but not by amino acids or dipeptides. A countertransport effect on the uptake of CH3-18 was obsd. in the vesicle preloaded with a tripeptide. Effects of the fragments of several renin inhibitors were evaluated by their inhibitory and countertransport effects on the uptake of CH3-18. The morpholino group at the N-terminal was found to be important for the uptake of CH3-18.
IT **139522-04-6 160855-85-6**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(transport of morpholino renin inhibitor in small intestinal brush-border membrane vesicles response to)
RN 139522-04-6 CAPLUS
CN L-Phenylalanine, N-[1-oxo-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160855-85-6 CAPLUS
CN L-Phenylalanine, N-(3-pyridinylacetyl)- (9CI) (CA INDEX NAME)

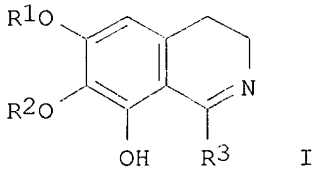
Absolute stereochemistry.



L31 ANSWER 95 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1994:680562 CAPLUS
DN 121:280562
TI preparation of 6,7-dialkoxy-3,4-dihydroisoquinolin-8-ols
IN Ishikawa, Kiyofumi; Hayama, Takashi
PA Banyu Pharmaceutical Co., Ltd., Japan
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 617022	A1	19940928	EP 1994-102635	19940222
	EP 617022	B1	19980211		
	R: CH, DE, FR, GB, IT, LI, NL				
	JP 06247935	A2	19940906	JP 1993-60846	19930225
	US 5446164	A	19950829	US 1994-199691	19940222
	CA 2116294	AA	19940826	CA 1994-2116294	19940223
	AU 9456396	A1	19940901	AU 1994-56396	19940224
	AU 673401	B2	19961107		
	US 5498717	A	19960312	US 1994-288551	19940810
PRAI	JP 1993-60846		19930225		
	US 1994-199691		19940222		

OS MARPAT 121:280562
GI

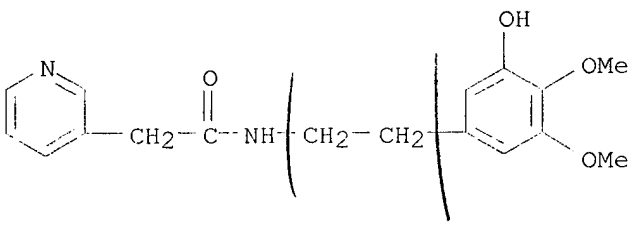


I

AB The 6,7-dialkoxy-3,4-dihydroisoquinolin-8-ols I (R1, R2 = alkyl, benzyl; R3 = benzyl, methoxybenzyl, etc.) were disclosed. I can be prepd. according to this invention the Bischler-Napieralski reaction in high yields. The use of I as pharmaceuticals (no data) was claimed.

IT **158903-96-9**
RL: RCT (Reactant)
(prepn. of 6,7-dialkoxy-8-isoquinolinols via Bischler-Napieralski reaction)

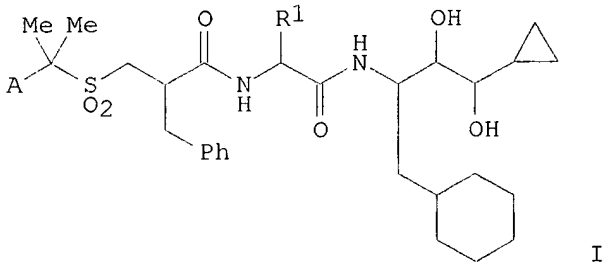
RN 158903-96-9 CAPLUS
CN 3-Pyridineacetamide, N-[2-(3-hydroxy-4,5-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)



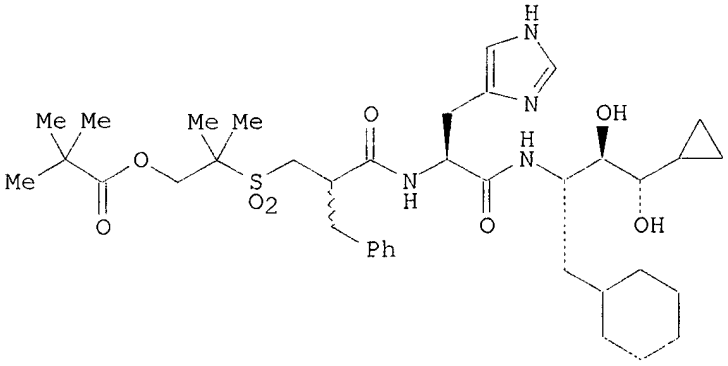
L11 ANSWER 96 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1994:509667 CAPLUS
DN 121:109667
TI Amino acid derivatives as renin inhibitors, and their preparation, intermediates, compositions, and use
IN Branca, Quirico; Stadler, Heinz; Vieira, Eric; Wostl, Wolfgang
PA F. Hoffmann-La Roche AG, Switz.
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 572909	A1	19931208	EP 1993-108471	19930526
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2095671	AA	19931205	CA 1993-2095671	19930506
ZA 9303795	A	19931206	ZA 1993-3795	19930528
AU 9339953	A1	19931209	AU 1993-39953	19930601
CN 1081446	A	19940202	CN 1993-106671	19930603
JP 06056781	A2	19940301	JP 1993-156321	19930603
PRAI CH 1992-1795		19920604		

OS MARPAT 121:109667
GI



I



II

AB Title compds. I [R1 = imidazolylmethyl, pyridylmethyl; A = HO2C, PhCH2O2C, HOCH2, alkylcarbonyloxymethyl], as pure or mixed diastereomers or racemates, as well as their pharmaceutically usable salts, are claimed. Addnl. claims cover specific I, intermediates, prepns., drugs contg. I for

treating high blood pressure or heart insufficiency, and use of I to prep. such drugs. In an example, peptide coupling of (RS)-2-benzyl-3-[2-(2,2-dimethylpropionyloxy)-1,1-dimethylethylsulfonyl]propionic acid with (S)-2-amino-N-[(1S,2R,3S)-1-cyclohexylmethyl-3-cyclopropyl-2,3-dihydroxypropyl]-3-(imidazol-4-yl)propionamide (multistep prepns. given) using HOBT, HBTU, and Et3N in DMF, gave the (R)- and (S)-epimers of title compd. II. The less polar epimer of II (MeSO3H salt), when given orally to normotensive monkeys at 3 mg/kg, gave a mean arterial blood pressure redn. of 20 to >30 mmHg for at least 8 h.

IT **156712-56-0 156766-79-9**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antihypertensive activity of)

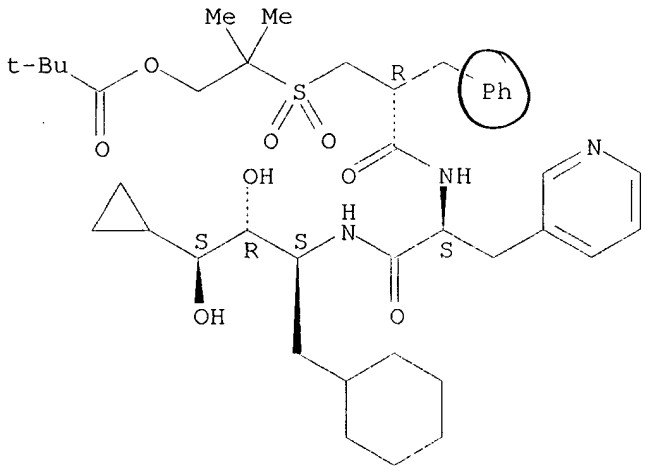
RN 156712-56-0 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, 2-[[3-[[2-[[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]amino]-3-oxo-2-(phenylmethyl)propyl]sulfonyl]-2-methylpropyl ester, [1S-[1R*[R*(S*)],2S*,3R*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

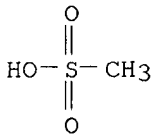
CRN 156589-02-5
CMF C40 H59 N3 O8 S
CDES *

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

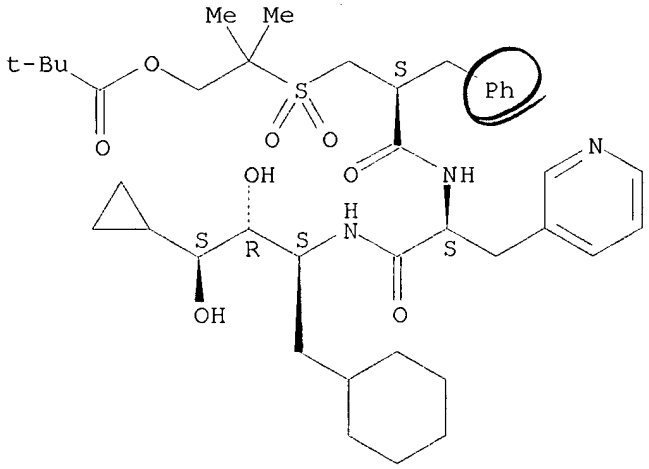


RN 156766-79-9 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 2-[[3-[[2-[[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]amino]-3-oxo-2-(phenylmethyl)propyl]sulfonyl]-2-methylpropyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]-, monomethanesulfonate (salt) (9CI) (CA INDEX NAME)

CM 1

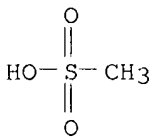
CRN 156712-44-6
CMF C40 H59 N3 O8 S
CDES *

Absolute stereochemistry.



CM 2

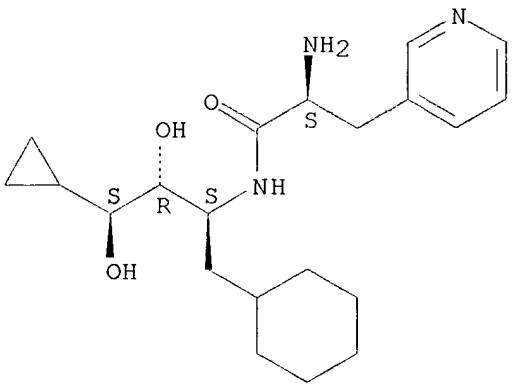
CRN 75-75-2
CMF C H4 O3 S



IT **149269-87-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate in prepn. of renin inhibitors)

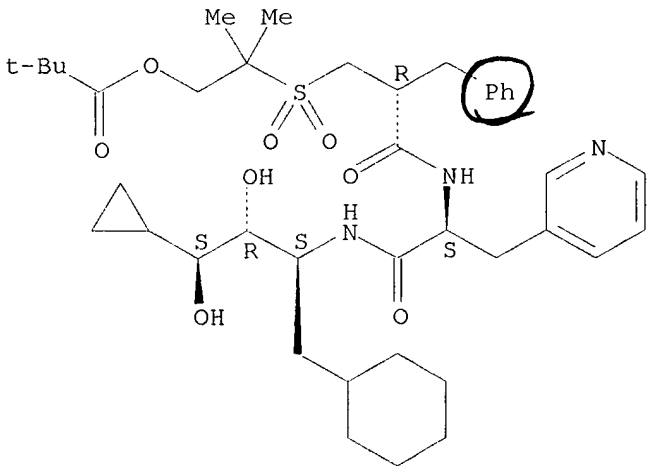
RN 149269-87-4 CAPLUS
CN 3-Pyridinepropanamide, .alpha.-amino-N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



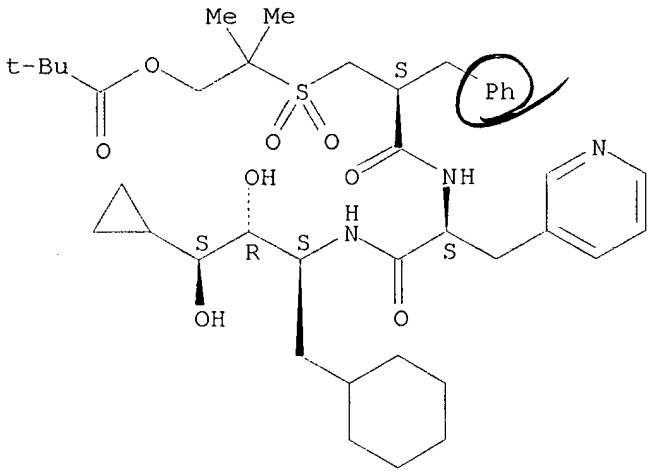
IT **156589-02-5P 156712-44-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as renin inhibitor)
RN 156589-02-5 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 2-[[3-[[2-[[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]amino]-3-oxo-2-(phenylmethyl)propyl]sulfonyl]-2-methylpropyl ester, [1S-[1R*[R*(S*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



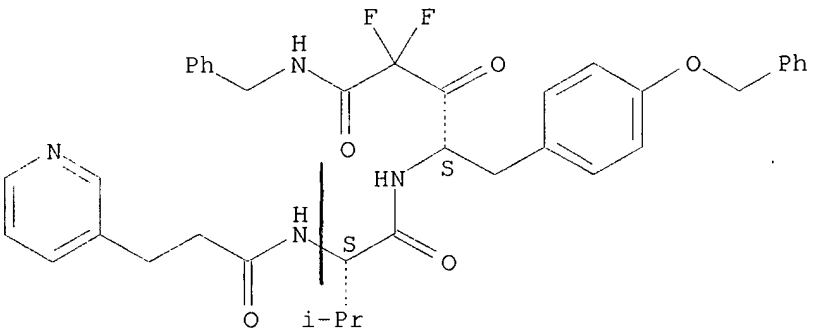
RN 156712-44-6 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 2-[[3-[[2-[[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]amino]-2-oxo-1-(3-pyridinylmethyl)ethyl]amino]-3-oxo-2-(phenylmethyl)propyl]sulfonyl]-2-methylpropyl ester, [1S-[1R*[R*(R*)],2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


Me
Me
t-Bu
O
S
S
Ph
O
O
O
O
NH
N
OH
H
N
S
R
S
S
OH
O

L11 ANSWER 97 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1994:315165 CAPLUS
DN 120:315165
TI Beneficial replacement of the P1 phenylalanine side chain in HIV-1 protease inhibitors of the difluorostatone type
AU Schirlin, D.; Van Dorsselaer, V.; Tarnus, C.; Taylor, D. L.; Tyms, A. S.; Baltzer, S.; Weber, F.; Remy, J. M.; Brennan, T.; et al.
CS Marion Merrell Dow Res. Inst., Strasbourg, 67009, Fr.
SO Bioorg. Med. Chem. Lett. (1994), 4(2), 241-6
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English
AB An O-benzyltyrosyl P1 side chain on HIV-1 protease inhibitors of the difluorostatone type confers increased potency and an improved cytotoxic index in infected cells. A no. of carboxy or amino termini modifications are permitted, for instance, carboxy termini tertiary amides.
IT **155398-90-6**
RL: BIOL (Biological study)
(HIV-1 protease-inhibiting activity of, antiviral activity in, structure in relation to)
RN 155398-90-6 CAPLUS
CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-2,4-dioxo-1-[[4-(phenylmethoxy)phenyl]methyl]-4-[(phenylmethyl)amino]butyl]amino]carbonyl]-2-methylpropyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



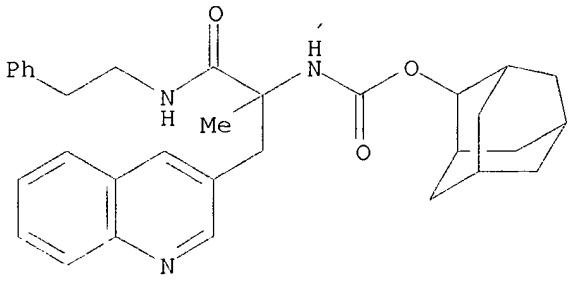
L31 ANSWER 98 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1994:45970 CAPLUS
DN 120:45970
TI Cholecystokinin antagonists useful for treating depression
IN Woodruff, Geoffrey Neil
PA Warner-Lambert Co., USA
SO PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9303721	A1	19930304	WO 1992-US6376	19920803
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
	US 5217957	A	19930608	US 1991-747813	19910820
	AU 9225439	A1	19930316	AU 1992-25439	19920803
	ZA 9206245	A	19940221	ZA 1992-6245	19920819
PRAI	US 1991-747813		19910820		
	WO 1992-US6376		19920803		

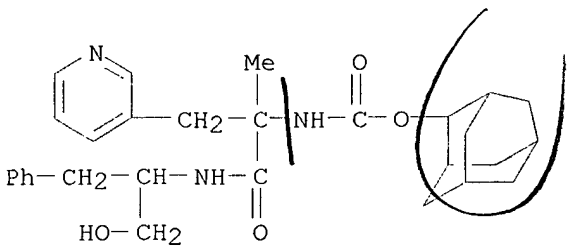
AB Cholecystokinin antagonists are parenterally or enterally administered to treat major and minor forms of depression. Rats were administered with CI-988 and subjected to behavioral despair tests. Dosage forms contg. CI-988 are given.

IT **142909-55-5 148562-58-7**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mental depression treatment with)

RN 142909-55-5 CAPLUS
CN Carbamic acid, [1-methyl-2-oxo-2-[(2-phenylethyl)amino]-1-(3-quinolinylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester (9CI) (CA INDEX NAME)



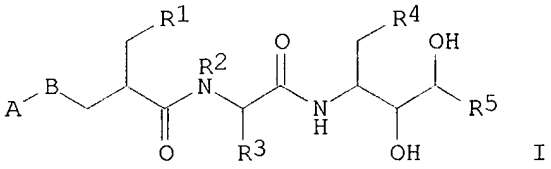
RN 148562-58-7 CAPLUS
CN Carbamic acid, [2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-1-methyl-2-oxo-1-(3-pyridinylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester (9CI) (CA INDEX NAME)



L41 ANSWER 99 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1993:539784 CAPLUS
DN 119:139784
TI Preparation of peptide analogs as renin inhibitors
IN Branca, Quirico; Heitz, Marie Paule; Neidhart, Werner; Stadler, Heinz; Vieira, Eric; Wostl, Wolfgang
PA Hoffmann-La Roche, F., AG, Switz.
SO Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 509354	A2	19921021	EP 1992-105879	19920406
	EP 509354	A3	19930616		
	EP 509354	B1	20000823		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
	CA 2064955	AA	19921018	CA 1992-2064955	19920402
	AT 195731	E	20000915	AT 1992-105879	19920406
	ES 2150907	T3	20001216	ES 1992-105879	19920406
	AU 9214788	A1	19921022	AU 1992-14788	19920409
	AU 659832	B2	19950601		
	HU 62864	A2	19930628	HU 1992-1224	19920410
	NO 9201520	A	19921019	NO 1992-1520	19920415
	JP 05155847	A2	19930622	JP 1992-121395	19920415
	JP 2628820	B2	19970709		
	US 5393875	A	19950228	US 1993-100959	19930803
PRAI	CH 1991-1146	A	19910417		
	CH 1992-523	A	19920220		
	US 1992-868054	B1	19920413		

OS MARPAT 119:139784
GI



I

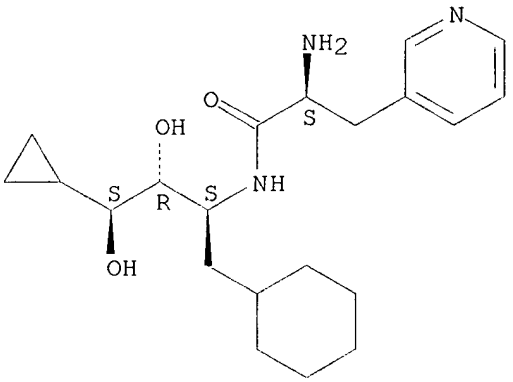
AB Title compds. [I; R1 = cycloalkyl, (substituted) Ph, naphthyl, thienyl, pyridyl, quinolinyl, isoquinolinyl, PhCH2; R2 = H, Me; R3 = H, OH, alkyl, alkoxy, alkenyloxy, alkylthio, alkylthioalkyl, alkoxycarbonyl, imidazol-1-ylmethyl, 2-aminoimidazol-4-ylmethyl, pyrazol-1-ylmethyl, thiazol-2-ylmethyl, thienylmethyl, furylmethyl, aminocarbonyl; R4 = (substituted) cycloalkyl, (halo)phenyl; R5 = cycloalkyl, cycloalkylalkyl, alkyl; B = S, SO, SO2; A = X(CR8R9)nCR6R7; R6, R7, R8 = H, alkyl; R9 = H, alkyl, hydroxyalkyl, amino, alkoxycarbonylamino, benzyloxycarbonylamino; n = 0, 1; X = YCO, ZO; Y = (substituted) cycloalkylamino, sulfoalkylamino, bisalkoxyalkylamino, pyridylalkylamino, morpholinoalkylamino, pyrazinylalkylamino, alkoxycarbonylalkylamino, hydroxyalkylamino, amino, etc.; Z = H, alkylcarbonyl, PhCO, cycloalkylcarbonyl, alkylaminocarbonyl, phenylaminocarbonyl, alkoxyalkylcarbonyl, cycloalkylcarbonyl, (substituted) aminoalkylcarbonyl], were prepd. Thus, racemic

.alpha.-[[1-carbanoyl-1-methylethyl)sulfonyl]methyl]hydrocinnamic acid and (S)-.alpha.-amino-N-[(1S,2R,3S)-1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]imidazole-4-propionamide (prepn. given) were coupled using hydroxybenzotriazole, O-benzotriazolyl-N,N,N',N'-tetramethyluronium hexafluorophosphate, and Et3N in DMF to give (S)-.alpha.-[(R and S)-.alpha.-[[(1-carbamoyl-1-methylethyl)sulfonyl]methyl]hydrocinnamido]-N-[(1S,2R,3S)-1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]imidazol-4-propionamide. I inhibited human renin with IC50 = 0.3-0.30 nM. Drug formulations contg. specific I are given.

IT **149269-87-4P 149343-41-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for renin inhibitor)

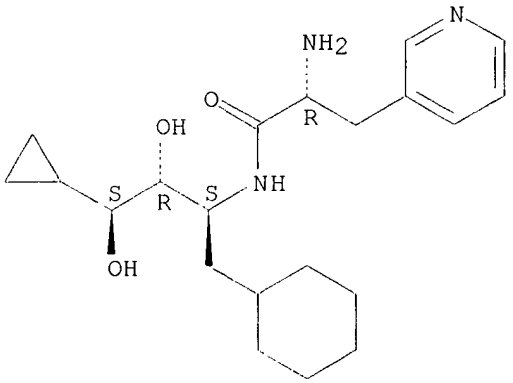
RN 149269-87-4 CAPLUS
CN 3-Pyridinepropanamide, .alpha.-amino-N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-, [1S-[1R*(R*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 149343-41-9 CAPLUS
CN 3-Pyridinepropanamide, .alpha.-amino-N-[1-(cyclohexylmethyl)-3-cyclopropyl-2,3-dihydroxypropyl]-, [1S-[1R*(S*),2S*,3R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

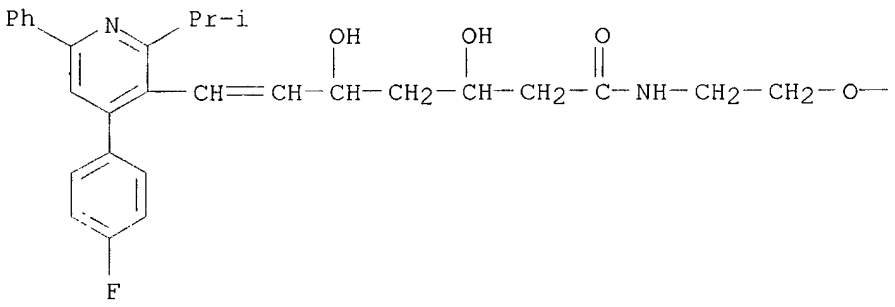


L11 ANSWER 100 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1993:496118 CAPLUS
DN 119:96118
TI Synthesis of bile acid - drug conjugates: potential drug shuttles for liver specific targeting
AU Wess, G.; Kramer, W.; Schubert, G.; Enhsen, A.; Baringhaus, K. H.; Glombik, H.; Muellner, S.; Bock, K.; Kleine, H.; et al.
CS Pharma Forsch., Hoechst AG, Frankfurt, D-6230/80, Germany
SO Tetrahedron Lett. (1993), 34(5), 819-22
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 119:96118
GI

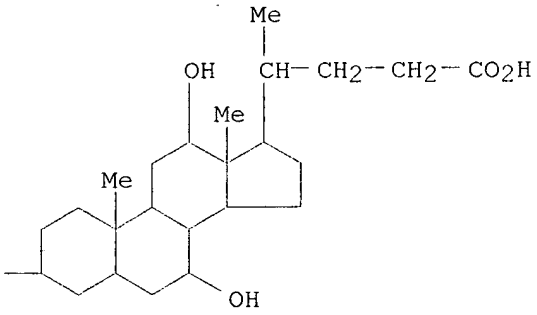
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Bile acid-drug conjugate I [R = 4-(ClCH2CH2)2NC6H4(CH2)3CO, R1 = OH, n = 2] was prepd. by coupling chlorambucil with amine I (R = H, R1 = Me) by Et chloroformate and sapong. the resulting ester. Bile acid-drug conjugates I (R = Q, n = 2; R = Q1, n = 5; R1 = H) were also prepd. The prepd. conjugates I exhibited strong affinity to sp. bile acid transport systems.
IT **135054-25-0P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and affinity of, with specific bile acid transport systems)
RN 135054-25-0 CAPLUS
CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-, [3.beta.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]- (9CI) (CA INDEX NAME)

PAGE 1-A

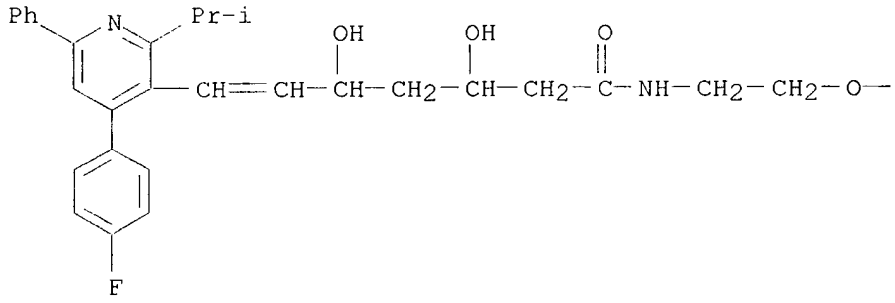


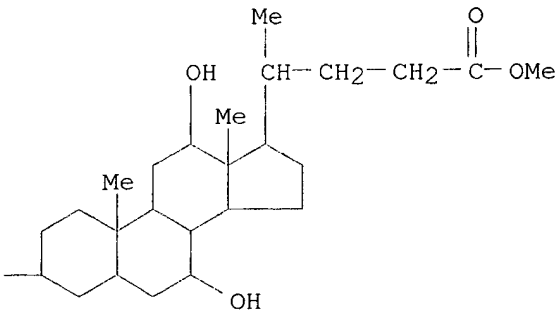
PAGE 1-B



IT **135054-18-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sapon. of)
RN 135054-18-1 CAPLUS
CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-, methyl ester, [3.beta.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]-(9CI) (CA INDEX NAME)

PAGE 1-A

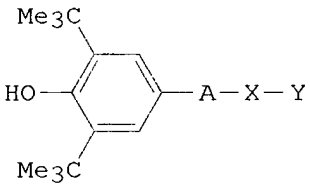



Me
O
OH
CH
CH2
CH2
C
OMe
Me
Me
OH

L31 ANSWER 101 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1993:427842 CAPLUS
DN 119:27842
TI 3,5-Di-tert-butyl-4-hydroxyphenyl derivatives, useful as antioxidants and antiatherosclerotics, and process for their preparation
IN Dreckmann-Behrendt, Bruno; Heck, Reinhard; Dresel, Alois; Michel, Helmut
PA Boehringer Mannheim G.m.b.H., Germany
SO Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 527458	A1	19930217	EP 1992-113574	19920810
	R: PT				
	DE 4126662	A1	19930218	DE 1991-4126662	19910813
	WO 9304035	A1	19930304	WO 1992-EP1821	19920810
	W: AU, BG, BR, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
	AU 9224186	A1	19930316	AU 1992-24186	19920810
	EP 600949	A1	19940615	EP 1992-917133	19920810
	EP 600949	B1	19960110		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
	JP 06510030	T2	19941110	JP 1992-504071	19920810
	AT 132849	E	19960115	AT 1992-917133	19920810
	ZA 9206049	A	19940214	ZA 1992-6049	19920812
PRAI	DE 1991-4126662		19910813		
	WO 1992-EP1821		19920810		

OS MARPAT 119:27842
GI

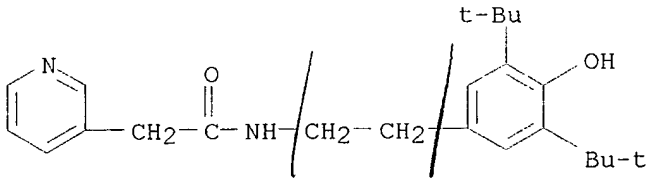


I

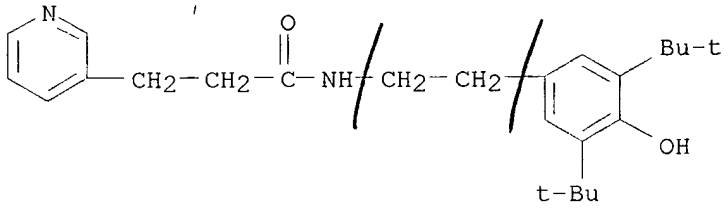
AB Over 160 title compds. I [A = bond, C1-5 alkylene; X = NRCO, NRCONR; R = H, C1-4 alkyl; Y = (un)branched (un)satd. C1-6 hydrocarbon chain [optionally substituted by (un)substituted (hetero)aryl, aryloxy, or arylthio], C3-6 cycloalkyl, (un)substituted aryl; Y can only = unsubstituted Ph when A .noteq. CH2 or CH2CH2] were prepd. as pharmacol. antioxidants, ACAT-inhibiting hypolipemics, antiinflammatories, cytoprotectives, and antiasthmatics (no data). For example, reaction of 3,5-di-tert-butyl-4-hydroxybenzylamine-HCl with 4-ClC6H4CH:CHCOCl in PhMe contg. Et3N gave title compd. I (A = CH2, X = NHCO, Y = CH:CHC6H4Cl-4).

IT **148016-44-8P 148016-45-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antioxidant and hypolipidemic)

RN 148016-44-8 CAPLUS
CN 3-Pyridineacetamide, N-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]ethyl]- (9CI) (CA INDEX NAME)



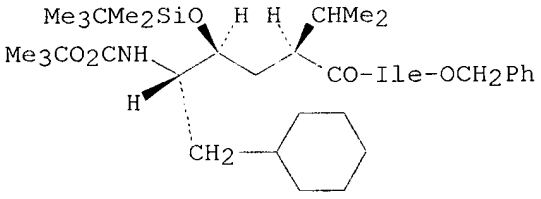
RN 148016-45-9 CAPLUS
CN 3-Pyridinepropanamide, N-[2-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]ethyl]- (9CI) (CA INDEX NAME)



L11 ANSWER 102 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1993:234486 CAPLUS
DN 118:234486
TI Preparation of phosphorus containing compounds as inhibitors of retroviruses
IN Hester, Jackson B.; Fisher, Jed F.; Thaisrivongs, Suvit; Maggiora, Linda Louise; Sawyer, Tomi Kim
PA Upjohn Co., USA
SO PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9217490	A1	19921015	WO 1992-US2238	19920327
	W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
	AU 9217487	A1	19921102	AU 1992-17487	19920327
	EP 578745	A1	19940119	EP 1992-910121	19920327
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	JP 06506463	T2	19940721	JP 1992-509356	19920327
PRAI	US 1991-679508		19910404		
	WO 1992-US2238		19920327		

OS MARPAT 118:234486
GI



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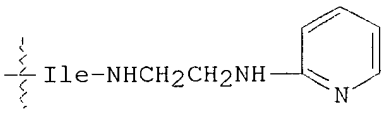
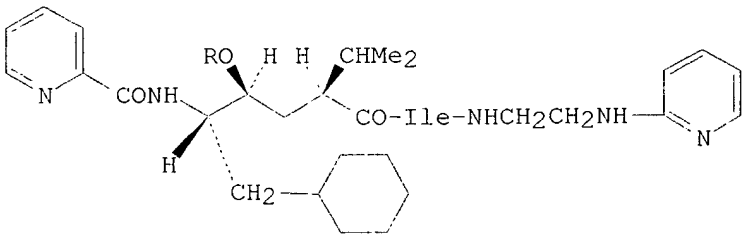


II



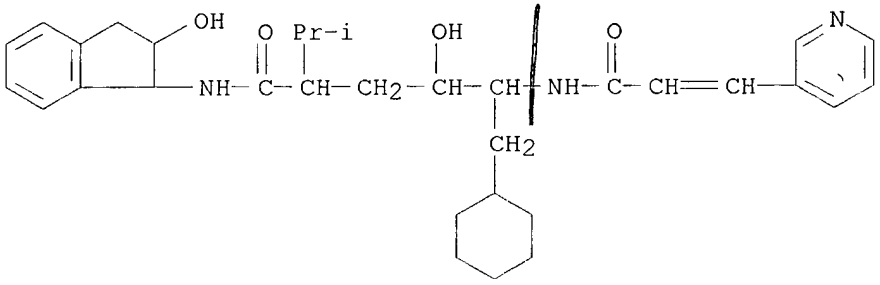
III

AB Phosphorus-contg. peptides X-C-D-E-F-G-Z [X = H, C1-C7 alkyl, aralkyl, alkylheterocyclyl, alkylcycloalkyl, substituted acyl; C-G = independently

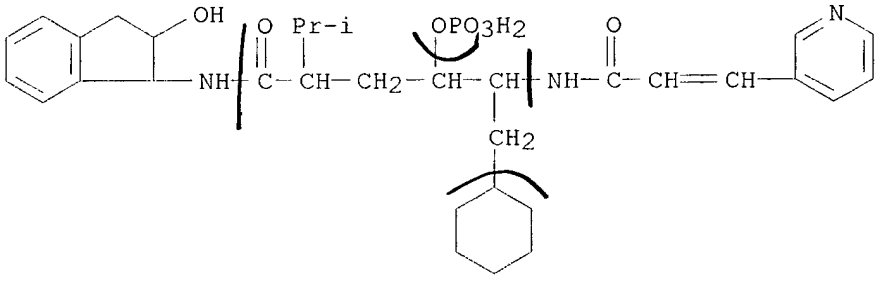
bond, amino acid residue, dipeptide transition state analog, phosphorylated amino acid, phosphorylated dipeptide transition state analog; Z = OH, alkoxy, (substituted) amino], having at least one O-phosphate monoester or diester, parent compds. thereof, and pharmaceutically acceptable salts thereof, were prepd. as inhibitors for mammalian cells infected with retroviruses. Thus, hydrogenolysis of benzyl ester I (prepn. given), followed by amidation with 2-(2-aminoethylamino)pyridine gave II. Deprotection of II followed by amidation with picolinic acid gave III (R = SiMe2CMe3), which was desilylated and phosphorylated to give a title deriv. III (R = PO3H2).

IT **146363-51-1P 146394-69-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and HIV-1 protease inhibitory activity of)

RN 146363-51-1 CAPLUS
CN Cyclohexanehexanamide, N-(2,3-dihydro-2-hydroxy-1H-inden-1-yl)-.gamma.-hydroxy-.alpha.-(1-methylethyl)-.delta.-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-, [1S-[1.alpha.(.alpha.R*,.gamma.R*,.delta.R*),2.alpha.]]- (9CI) (CA INDEX NAME)



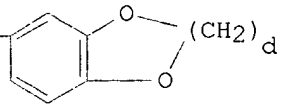
RN 146394-69-6 CAPLUS
CN Cyclohexanehexanamide, N-(2,3-dihydro-2-hydroxy-1H-inden-1-yl)-.alpha.-(1-methylethyl)-.delta.-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-.gamma.-(phosphonooxy)-, [1S-[1.alpha.(.alpha.R*,.gamma.R*,.delta.R*),2.alpha.]]- (9CI) (CA INDEX NAME)



L91 ANSWER 103 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1992:651790 CAPLUS
DN 117:251790
TI Preparation of difluorostatone analogs as antiviral agents
IN Schirlin, Daniel; Van Dorsselaer, Viviane; Tarnus, Celine
PA Merrell Dow Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9212123	A1	19920723	WO 1991-US9741	19911220
	W: AU, CA, FI, HU, JP, KR, NO, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	AU 9191790	A1	19920817	AU 1991-91790	19911220
	AU 649766	B2	19940602		
	EP 565631	A1	19931020	EP 1992-904336	19911220
	EP 565631	B1	19960918		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	HU 65739	A2	19940728	HU 1993-1918	19911220
	HU 210647	B	19950628		
	AT 143025	E	19961015	AT 1992-904336	19911220
	ES 2094344	T3	19970116	ES 1992-904336	19911220
	JP 3198416	B2	20010813	JP 1992-504396	19911220
	ZA 9110141	A	19921028	ZA 1991-10141	19911223
	IL 100477	A1	19960723	IL 1991-100477	19911223
	NO 9302377	A	19930629	NO 1993-2377	19930629
	NO 180334	B	19961223		
	NO 180334	C	19970402		
	US 5559140	A	19960924	US 1993-81368	19930630
	US 5716973	A	19980210	US 1997-788098	19970123
PRAI	EP 1991-400005	A	19910102		
	WO 1991-US9741	A	19911220		
	US 1993-81368	A3	19930630		
	US 1995-444322	B1	19950518		
	US 1997-788098	A	19970123		

OS MARPAT 117:251790
GI

Q= 

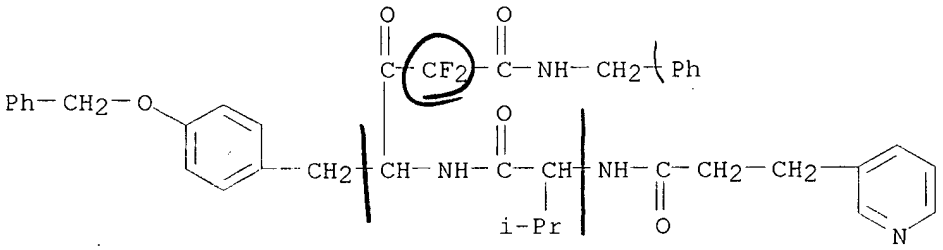
AB Title compds. R1[CONHCX2H]xCONHCX1HCOCF2CONR5R6 [I; x = 0,1; X1 = Q, CH2Ph whose Ph ring is substituted by 1 or 2 of [(CH2)a(O)b(CH2)cR] excluding 4-HOC6H4CH2 or p-alkoxybenzyl; a = 0-3; b = 0,1; c = 0-5; d = 1, 2;X2 = C1-6 alkyl, cyclopentyl, cyclohexyl, hydroxy C1-6 alkylene, etc.; R = CH2CHO, hydroxy C1-6 alkylene, C1-6 alkyl, Q, etc.; R1 = PhCH2O, C1-6 alkoxy, C1-6 alkyl, Ph, CH2Ph, phenethyl, fluorenylmethylenoxy, 2-isoquinolinyl, etc.; R5, R6 = H, C1-6 alkyl, OH, C1-6 alkoxy, etc.; R5

.noteq. R6 = H; NR5R6 = various (substituted) heterocyclyl groups, e.g. 1-piperidinyl] were prepd. as antivirals useful for the treatment of HIV infection and AIDS (no data). Thus, 4-PhCH2OC6H4CH2CH(NHBOC)CHOHCF2CONHCH 2Ph (prepn. given) was deprotected by CF3CO2H and the resultant product was sequentially N-protected by (PhOCO)2O and oxidized by Dess-Martin periodinane to give 4-PhCH2OC6H4CH2CH(NHZ)COCF2CONHCH2Ph in 86% yield.

IT **144554-44-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiviral agent)

RN 144554-44-9 CAPLUS

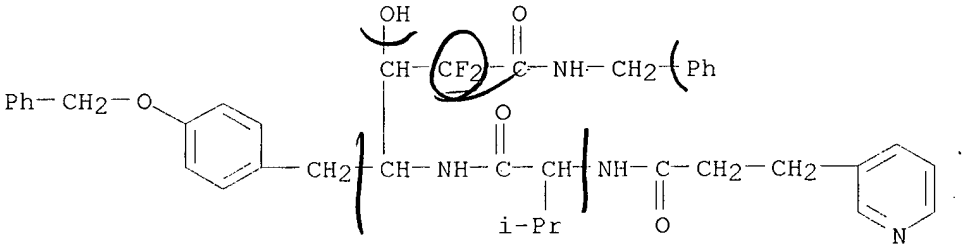
CN 3-Pyridinepropanamide, N-[1-[[[3,3-difluoro-2,4-dioxo-1-[[4-(phenylmethoxy)phenyl]methyl]-4-[(phenylmethyl)amino]butyl]amino]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)



IT **144569-81-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for antiviral agents)

RN 144569-81-3 CAPLUS

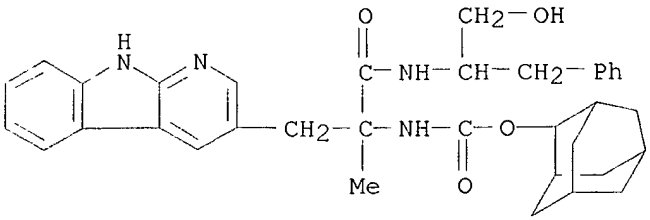
CN Pentonamide, 2,4,5-trideoxy-2,2-difluoro-4-[[3-methyl-1-oxo-2-[[1-oxo-3-(3-pyridinyl)propyl]amino]butyl]amino]-5-[4-(phenylmethoxy)phenyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



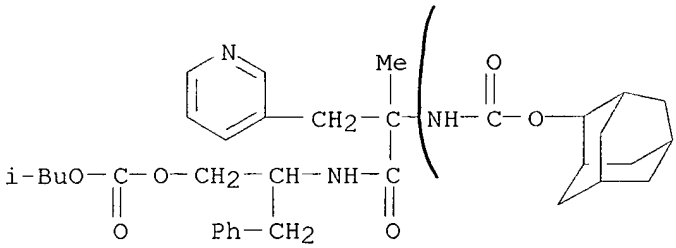
L[illegible] ANSWER 104 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1992:634550 CAPLUS
DN 117:234550
TI Amino acid analogs as CCK antagonists.
IN Horwell, David Christopher; Aranda, Julian; Augelli-Szafran, Corinne Elizabeth; Betche, Hans Jurgen; Holmes, Ann; Mullican, Michael David; Pritchard, Martyn Clive; Richardson, Reginald Stewart; Roth, Bruce David; et al.
PA Warner-Lambert Co., USA
SO PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9204025	A1	19920319	WO 1991-US6181	19910829
	W: AU, CA, FI, JP, KR, NO				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	US 5331006	A	19940719	US 1991-726656	19910712
	AU 9186538	A1	19920330	AU 1991-86538	19910829
PRAI	US 1990-576308		19900831		
	US 1991-726656		19910712		
	WO 1991-US6181		19910829		

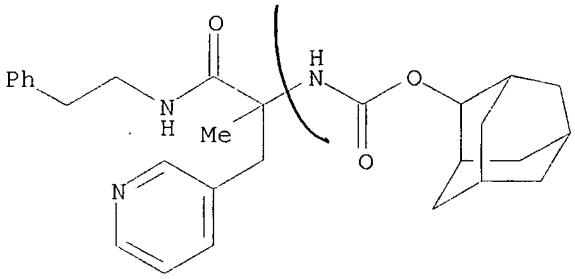
OS MARPAT 117:234550
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1 = cycloalkyl, polycycloalkyl hydrocarbyl, etc.; A = (CH2)nCO, SO2, S(O), NHCO, OC(O), etc.; n = 0-6; R2 = alkyl, CH:CH2, C.tplbond.CH, aminoalkyl, etc.; R3, R4 = H, R2, (CH2)m-B-D; m = 0-3; B = bond, OCO(CH2)n, O(CH2)n, NHCO(CH2)n, CONH(CH2)n, CO2(CH2)n, NHCOCH:CH, CO(CH2)n, etc.; D = (substituted) carboxy, hydroxymethyl, etc.; R9 = H, alkyl, etc.; R12, R13 = H; or R12R13 = bond, R13R4 = bond; Ar = mono- or polycyclic (substituted) carbo- or heteroarom. or carbo- or heterohydroarom. moiety; Ar2 = Ar, 1H-indol-yl, (CH2)nNHC(:NH)NHNO2, CH2CO2Me], useful for treatment of pain, panic disorder, drug dependence, as well as alcoholism, are prepd. 2-Methyl-3-(1-naphthyl)alanine Me ester (prepn. given) was N-acylated with 2-adamantyloxycarbonyl chloride, the product was hydrolyzed, and the product was amidated with phenethylamine to give I [R1 = 2-adamantyl, A = OC(O), R2 = Me, R3 = R4 = R9 = R12 = R13 = H, Ar = Ph, Ar2 = 1-naphthyl]. This showed a Ki, defined as IC50/(1+[L]Ka) (Ka being the equil. dissocn. const. and [L] the concn. of the radiolabel) of 14 M. I were also tested for their ability in treating gastric damage by aspirin, anxiolytic activity, and for treating drug addiction.
IT **142909-31-7P 142909-44-2P 142909-45-3P**
142909-55-5P 142909-72-6P 142909-73-7P
142909-74-8P 142909-82-8P 142909-83-9P
142909-86-2P 142909-87-3P 142935-74-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as CCK antagonist)
RN 142909-31-7 CAPLUS
CN Carbamic acid, [2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-1-methyl-2-oxo-1-(1H-pyrido[2,3-b]indol-3-ylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester, (R*,R*)- (9CI) (CA INDEX NAME)



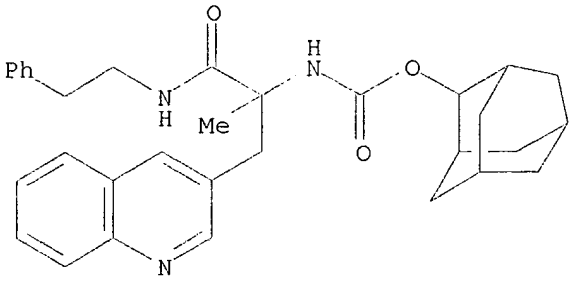
RN 142909-44-2 CAPLUS
CN 8,10-Dioxa-2,5-diazatridecanoic acid, 3,12-dimethyl-4,9-dioxo-6-(phenylmethyl)-3-(3-pyridinylmethyl)-, tricyclo[3.3.1.13,7]dec-2-yl ester (9CI) (CA INDEX NAME)



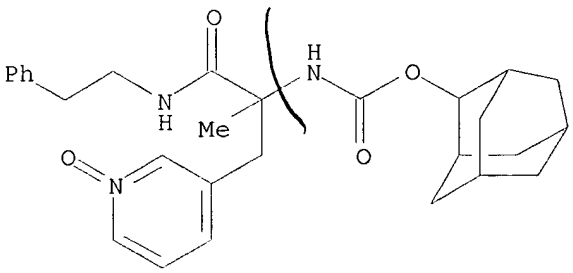
RN 142909-45-3 CAPLUS
CN Carbamic acid, [1-methyl-2-oxo-2-[(2-phenylethyl)amino]-1-(3-pyridinylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester (9CI) (CA INDEX NAME)



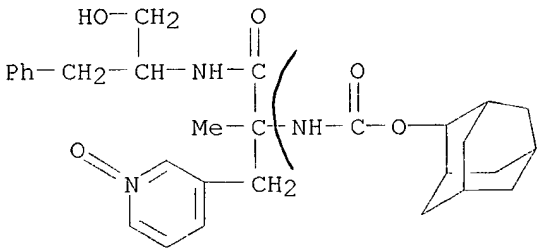
RN 142909-55-5 CAPLUS
CN Carbamic acid, [1-methyl-2-oxo-2-[(2-phenylethyl)amino]-1-(3-quinolinylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester (9CI) (CA INDEX NAME)



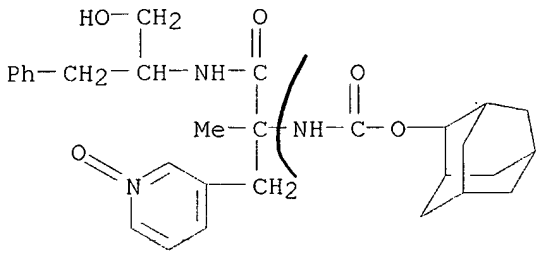
RN 142909-72-6 CAPLUS
CN Carbamic acid, [1-methyl-1-[(1-oxido-3-pyridinyl)methyl]-2-oxo-2-[(2-phenylethyl)amino]ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester (9CI) (CA INDEX NAME)



RN 142909-73-7 CAPLUS
CN Carbamic acid, [2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-1-methyl-1-[(1-oxido-3-pyridinyl)methyl]-2-oxoethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester, (R*,R*)- (9CI) (CA INDEX NAME)

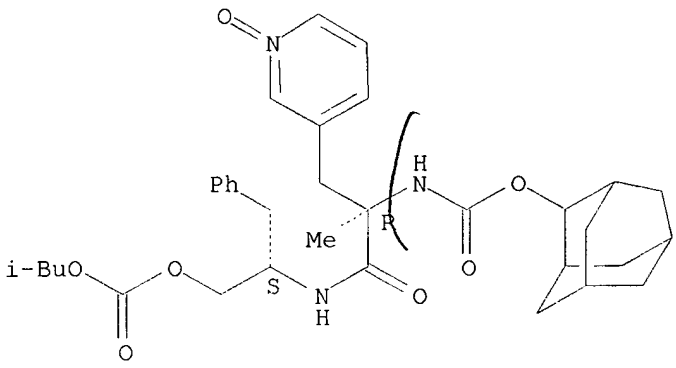


RN 142909-74-8 CAPLUS
CN Carbamic acid, [2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-1-methyl-1-[(1-oxido-3-pyridinyl)methyl]-2-oxoethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester, (R*,S*)- (9CI) (CA INDEX NAME)



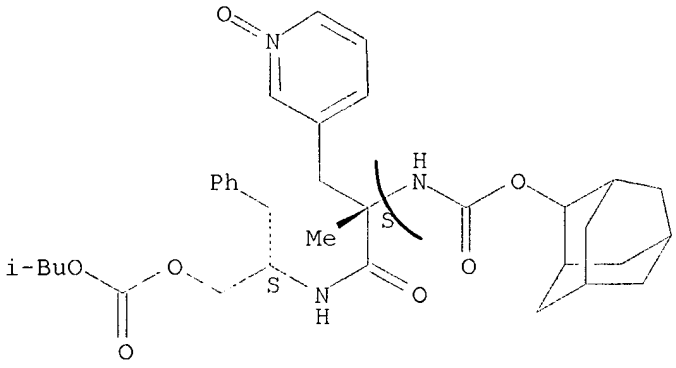
RN 142909-82-8 CAPLUS
CN 8,10-Dioxa-2,5-diazatridecanoic acid, 3,12-dimethyl-3-[(1-oxido-3-pyridinyl)methyl]-4,9-dioxo-6-(phenylmethyl)-, tricyclo[3.3.1.13,7]dec-2-yl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142909-83-9 CAPLUS
CN 8,10-Dioxa-2,5-diazatridecanoic acid, 3,12-dimethyl-3-[(1-oxido-3-pyridinyl)methyl]-4,9-dioxo-6-(phenylmethyl)-, tricyclo[3.3.1.13,7]dec-2-yl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

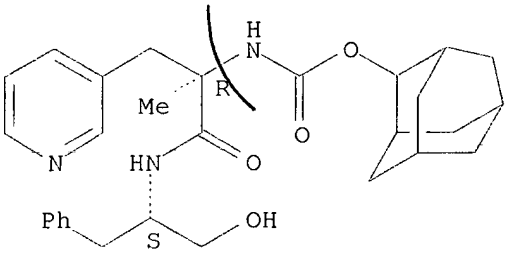
Absolute stereochemistry.



RN 142909-86-2 CAPLUS
CN Carbamic acid, [2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-1-methyl-2-oxo-

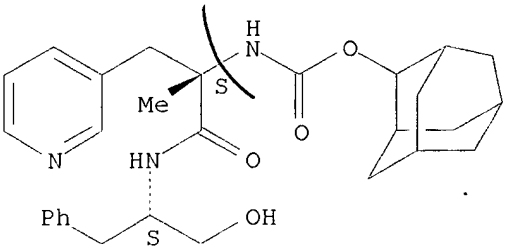
1-(3-pyridinylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

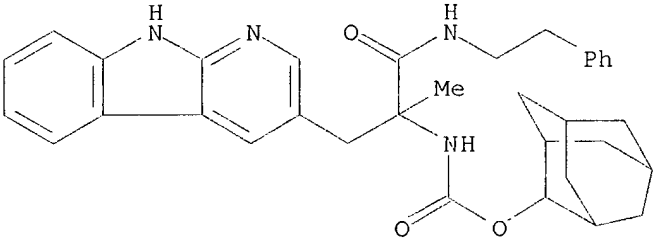


RN 142909-87-3 CAPLUS
CN Carbamic acid, [2-[[1-(hydroxymethyl)-2-phenylethyl]amino]-1-methyl-2-oxo-1-(3-pyridinylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142935-74-8 CAPLUS
CN Carbamic acid, [1-methyl-2-oxo-2-[(2-phenylethyl)amino]-1-(1H-pyrido[2,3-b]indol-3-ylmethyl)ethyl]-, tricyclo[3.3.1.13,7]dec-2-yl ester (9CI) (CA INDEX NAME)

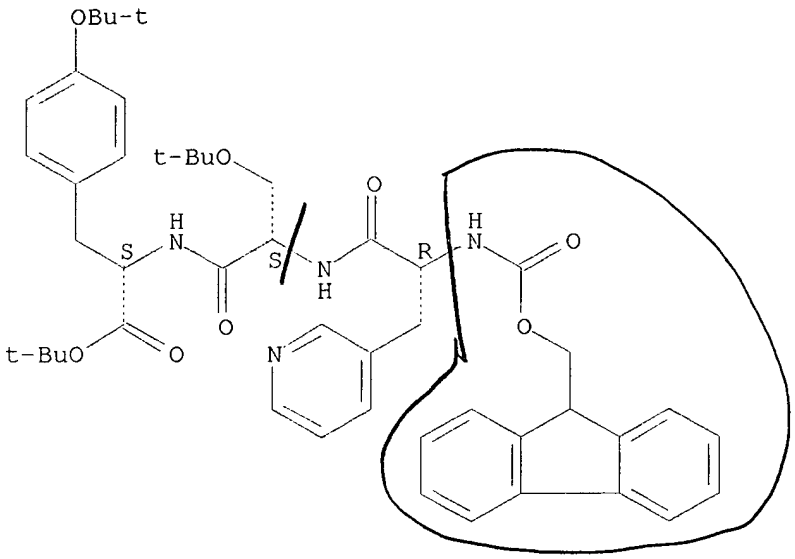


L31 ANSWER 105 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1992:551398 CAPLUS
DN 117:151398
TI Preparation of nonapeptides as gonodoliberin antagonists
IN Koenig, Wolfgang; Sandow, Juergen; Kolar, Cenek
PA Hoechst A.-G., Germany
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 477499	A1	19920401	EP 1991-112817	19910730
	EP 477499	B1	19940126		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 100822	E	19940215	AT 1991-112817	19910730
	ES 2062628	T3	19941216	ES 1991-112817	19910730
	CA 2048407	AA	19920205	CA 1991-2048407	19910802
	NO 9103020	A	19920205	NO 1991-3020	19910802
	AU 9181548	A1	19920206	AU 1991-81548	19910802
	AU 641035	B2	19930909		
	ZA 9106097	A	19920429	ZA 1991-6097	19910802
	IL 99062	A1	19950731	IL 1991-99062	19910802
	JP 05148299	A2	19930615	JP 1991-219139	19910805
	JP 3164844	B2	20010514		
	US 5434138	A	19950718	US 1993-151056	19931112
PRAI	DE 1990-4024779	A	19900804		
	EP 1991-112817	A	19910730		
	US 1991-739233	B1	19910801		

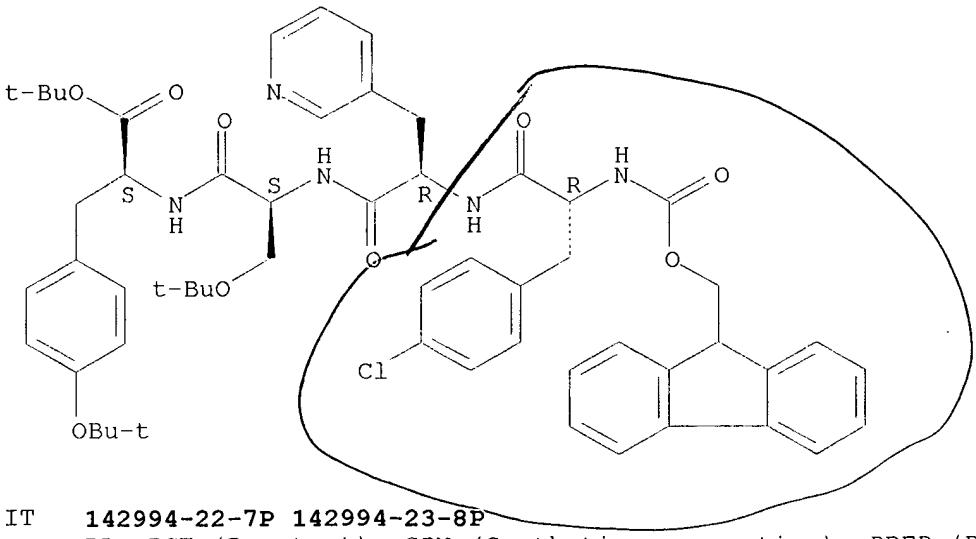
OS MARPAT 117:151398
AB Peptides X-A-B-C-Ser-D-E-F-G-Pro-H [I; X = C2-8 alkanoyl; A = D-3-(2-naphthyl)alaninyl (D-Nal), D-Phe, D-Trp all of which may be substituted on the arom. ring; B = (substituted) D-Phe; C = D-3-(3-pyridyl)alaninyl (D-Pal), (substituted) D-Phe, -D-Trp; D = Tyr, His; E = D-Ser(R1); R1 = glycosyl group; F = Leu, Trp, Phe; G = Ser(R1); H, Gly-NH2, D-Ala-NH2, azaGly-NH2] were prepd. as gonadoliberin antagonists which inhibit testosterone and estrogen biosynthesis. Thus, Ac-D-Nal-D-p-Cl-Phe-D-Pal-Ser-Tyr-D-Ser(Rha)-Leu-Ser(Rha)-Pro-D-Ala-NH2 (II) (Rha = rhamnosyl) was prepd. via std. soln. phase peptide synthesis starting from Fmoc-Pro-OH and H-D-Ala-NH2.HCl using the appropriate protected amino acids. II at 60 .mu.g/24 h via minipump infusion in rats inhibited testosterone synthesis.
IT **142994-37-4P 142994-38-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and deprotection of, in prepn. of gonadoliberin antagonist)
RN 142994-37-4 CAPLUS
CN L-Tyrosine, O-(1,1-dimethylethyl)-N-[O-(1,1-dimethylethyl)-N-[N-[(9H-fluoren-9-ylmethoxy)carbonyl]-3-(3-pyridinyl)-D-alanyl]-L-seryl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



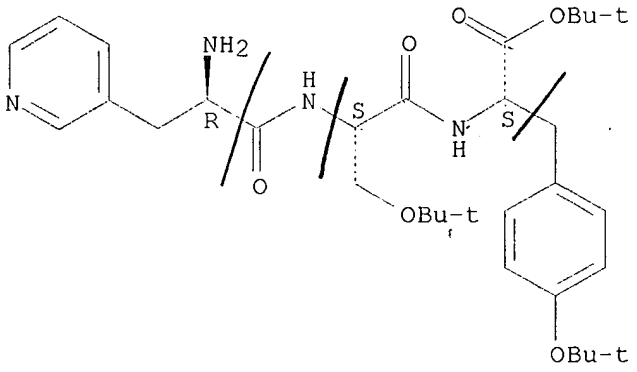
RN 142994-38-5 CAPLUS
CN L-Tyrosine, N-[N-[N-[4-chloro-N-[(9H-fluoren-9-ylmethoxy)carbonyl]-D-phenylalanyl]-3-(3-pyridinyl)-D-alanyl]-O-(1,1-dimethylethyl)-L-seryl]-O-(1,1-dimethylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



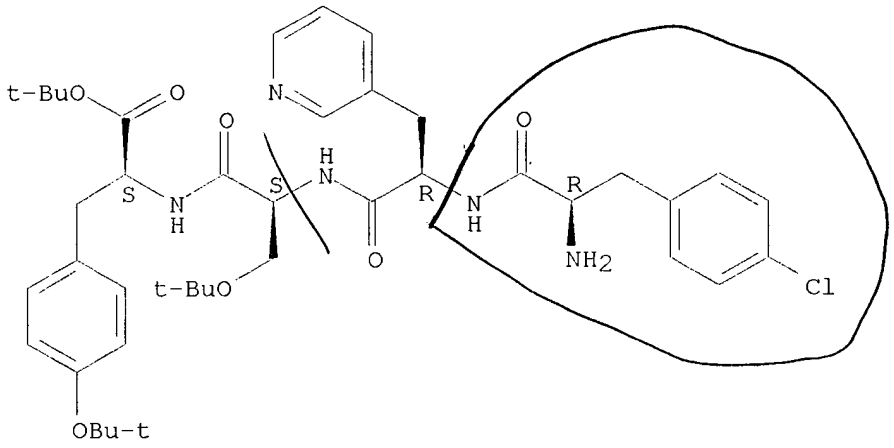
IT **142994-22-7P 142994-23-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and peptide coupling of, in prepn. of gonadoliberin antagonist)
RN 142994-22-7 CAPLUS
CN L-Tyrosine, O-(1,1-dimethylethyl)-N-[O-(1,1-dimethylethyl)-N-[3-(3-pyridinyl)-D-alanyl]-L-seryl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 142994-23-8 CAPLUS
CN L-Tyrosine, N-[N-[N-(4-chloro-D-phenylalanyl)-3-(3-pyridinyl)-D-alanyl]-O-(1,1-dimethylethyl)-L-seryl]-O-(1,1-dimethylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

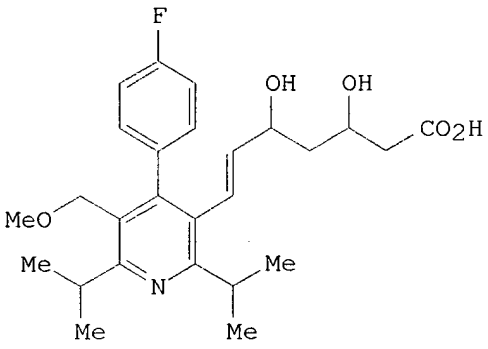
Absolute stereochemistry.



L31 ANSWER 106 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1992:531084 CAPLUS
DN 117:131084
TI Preparation of 7-[4-(4-fluorophenyl)-2,6-diisopropyl-5-methoxymethylpyrid-3-yl]-3,5-dihydroxy-6-heptenoate isomers as HMG-CoA reductase inhibiters
IN Angerbauer, Rolf; Fey, Peter; Huebsch, Walter; Philipps, Thomas; Bischoff, Hilmar; Petzinna, Dieter; Schmidt, Delf
PA Bayer A.-G., Germany
SO Ger. Offen., 10 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4040026	A1	19920617	DE 1990-4040026	19901214
	US 5177080	A	19930105	US 1991-798675	19911126
	CZ 282642	B6	19970813	CZ 1991-3602	19911127
	SK 280115	B6	19990806	SK 1991-3602	19911127
	NO 9104696	A	19920615	NO 1991-4696	19911129
	NO 177140	B	19950418		
	NO 177140	C	19950726		
	EP 491226	A1	19920624	EP 1991-120745	19911203
	EP 491226	B1	19960814		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 141261	E	19960815	AT 1991-120745	19911203
	ES 2091852	T3	19961116	ES 1991-120745	19911203
	JP 04308573	A2	19921030	JP 1991-349473	19911209
	JP 2786363	B2	19980813		
	CA 2057444	AA	19920615	CA 1991-2057444	19911211
	CA 2057444	C	19980526		
	AU 9189615	A1	19920618	AU 1991-89615	19911211
	AU 652977	B2	19940915		
	IL 100327	A1	19951208	IL 1991-100327	19911211
	FI 9105854	A	19920615	FI 1991-5854	19911212
	FI 101069	B	19980415		
	ZA 9109833	A	19920930	ZA 1991-9833	19911213
	HU 61282	A2	19921228	HU 1991-3945	19911213
	RU 2026290	C1	19950109	RU 1991-5010264	19911213
	PL 169757	B1	19960830	PL 1991-292764	19911213
	CN 1034073	B	19970219	CN 1991-107973	19911214
	CN 1113485	A	19951220	CN 1995-106818	19950526
	CN 1329888	A	20020109	CN 2000-127089	20000908
PRAI	IT 1991-MI2125		19910731		
	DE 1990-4040026	A	19901214		

OS MARPAT 117:131084
GI



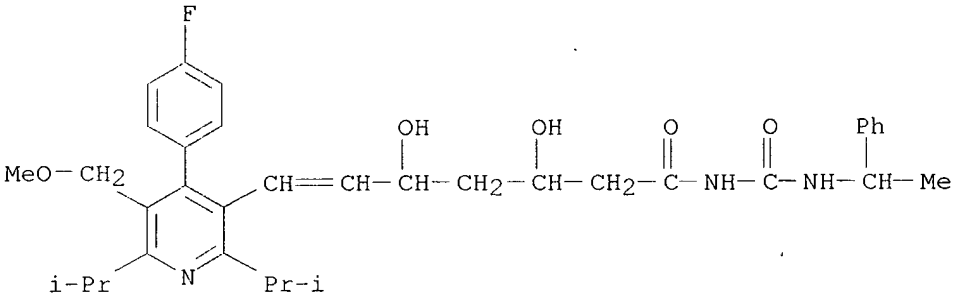
I

AB Title compd. (I) and salts and isomers were prepd. as HMG-CoA reductase inhibitors (no data). Thus, erythro-I Me ester was kept 72 h with (R)-1-phenylethylamine at 40.degree. to give a mixt. of diastereomeric amides which were hydrolyzed sep. with 1 N HCl in EtOH to give, after salification with NaOH, (+)- and (-)-erythro-I Na salts. The (+)-isomer is preferred as an HMG-CoA reductase inhibitor.

IT **143222-03-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and amide hydrolysis of)

RN 143222-03-1 CAPLUS

CN 6-Heptenamide, 7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-N-[[(1-phenylethyl)amino]carbonyl]-, [3R-[1(R*),3R*,5S*]]- (9CI) (CA INDEX NAME)

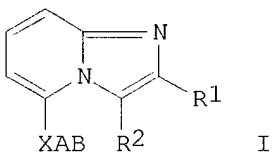


09/596,086

L31 ANSWER 107 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1992:407920 CAPLUS
DN 117:7920
TI Preparation of imidazopyridines as calmodulin and/or angiogenesis inhibitors
IN Takatani, Muneo; Kozai, Yoshio; Tomimatsu, Kiminori; Shibouta, Yumiko
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 105 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 471236	A1	19920219	EP 1991-112798	19910730
	EP 471236	B1	19950315		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 05039221	A2	19930219	JP 1991-187305	19910726
	JP 3135616	B2	20010219		
	JP 05051383	A2	19930302	JP 1991-187308	19910726
	JP 3210033	B2	20010917		
	CA 2048110	AA	19920131	CA 1991-2048110	19910730
	US 5244908	A	19930914	US 1991-736957	19910730
	AT 119774	E	19950415	AT 1991-112798	19910730
	ES 2069137	T3	19950501	ES 1991-112798	19910730
	US 5395839	A	19950307	US 1993-74292	19930609
	US 5587383	A	19961224	US 1995-387010	19950210
PRAI	JP 1990-202963	A	19900730		
	JP 1990-202964	A	19900730		
	JP 1991-121277	A	19910527		
	JP 1991-140186	A	19910612		
	US 1991-736957	A3	19910730		
	US 1993-74292	A3	19930609		

OS MARPAT 117:7920
GI



I

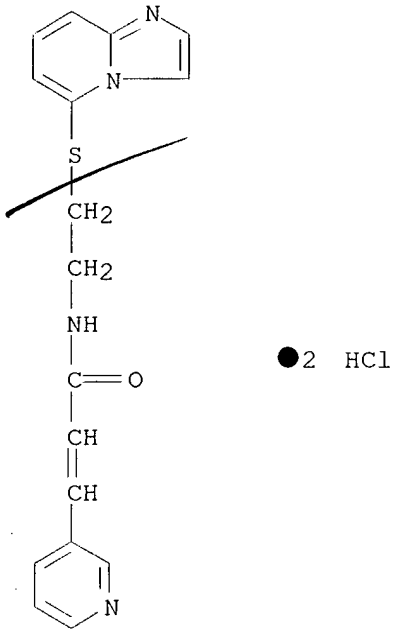
AB Title compds. I [X = S, SO, SO2, O, NR3; R3 = H, (substituted) hydrocarbyl; A = (substituted) C1-15 hydrocarbyl which may be interrupted by O; B = acylated amino, N atom may form ring with A or R3, acyloxy, etherified hydroxy; R1, R2 = H, (substituted) hydrocarbyl, halo, NO2, NO, (protected) amino, C1-6 alkoxycarbonyl, C1-6 alkylcarbamoyl] were prepd. as calmodulin inhibitors. I [XAB = SANRCO2R4; R = H, (substituted) hydrocarbyl or R may form ring with A; R4 = (substituted) hydrocarbyl; all others defined above] (II) are angiogenesis inhibitors. Thus, 5-[2-(amino)ethylthio]imidazo[1,2-a]pyridine in CH2Cl2 contg. Et3N was treated with MeSO2Cl and the soln. was stirred with cooling 1 h to give title compd. I [XAB = S(CH2)2NHSO2Me; R1 = R2 = H] (III). III at 10-5M gave 94% inhibition of calmodulin. Formulations contg. I were prepd.

IT **141494-41-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as calmodulin and/or angiogenesis inhibitor)

RN 141494-41-9 CAPLUS

CN 2-Propenamide, N-[2-(imidazo[1,2-a]pyridin-5-ylthio)ethyl]-3-(3-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



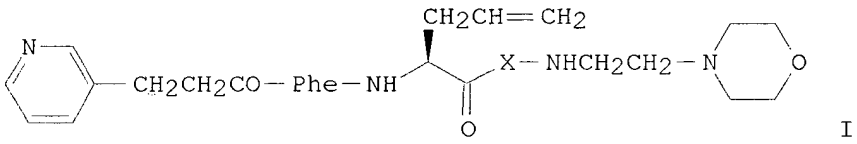
●2 HCl

see 94 of 131

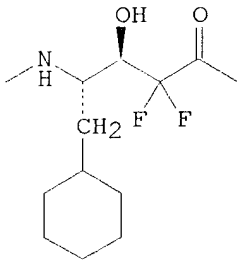
L31 ANSWER 108 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1992:174780 CAPLUS
DN 116:174780
TI Preparation of peptide morpholinoethylamides as renin-inhibiting peptides.
IN Doherty, Annette M.; Sircar, Ila
PA Warner-Lambert Co., USA
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5071837	A	19911210	US 1990-621138	19901128
	WO 9209624	A1	19920611	WO 1991-US8369	19911108
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
	AU 9190658	A1	19920625	AU 1991-90658	19911108
PRAI	US 1990-621138		19901128		
	WO 1991-US8369		19911108		

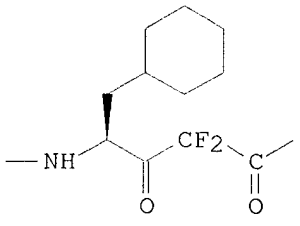
GI



I



Q



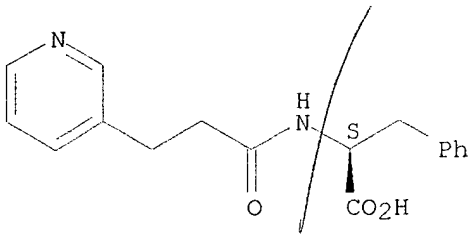
Q1

AB Peptides [I; X = Q (II), Q1] and their pharmaceutically acceptable salts, renin inhibitors and therefore are useful as antihypertensives (no data), are prepd. N-[2-(3-Pyridinyl)ethylcarbonyl]phenylalanine was condensed with H2NCH(CH2CH:CH2)CO-Q-NHCH2CH2-M [M = morpholino] in DMF contg. 1-hydroxy-1H-benzotriazole to give II.

IT **139522-04-6**
RL: RCT (Reactant)
(condensation of, with (allylglycyl)(aminopentanoyl)aminoethylmorpholine)

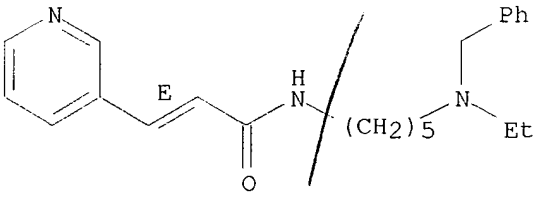
RN 139522-04-6 CAPLUS
CN L-Phenylalanine, N-[1-oxo-3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


N
H
N
S
Ph
O
CO2H

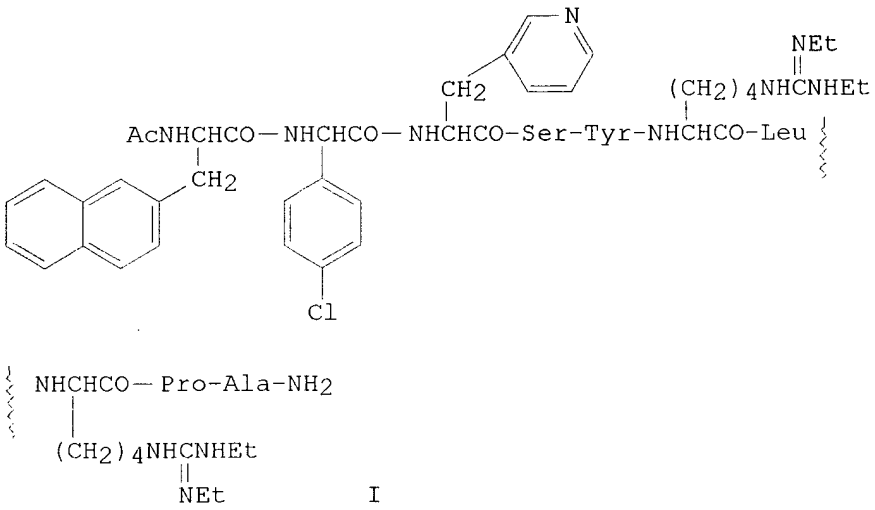
L31 ANSWER 109 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1992:173656 CAPLUS
DN 116:173656
TI Central cholinergic agents. II. Synthesis and acetylcholinesterase inhibitory activities of N-[.omega.-[N-alkyl-N-(phenylmethyl)amino]alkyl]-3-arylpropenamides
AU Ishihara, Yuji; Kato, Koki; Goto, Giichi
CS Chem. Res. Lab., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SO Chem. Pharm. Bull. (1991), 39(12), 3236-43
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
AB A series of the title compds., e.g., o-O2NC6H4CH:CHCON(Ac)(CH2)5NEtCH2Ph, were prepd. and tested for their inhibitory activities on acetylcholinesterase. Some in the series were potent inhibitors. The structure-activity relationships were discussed in detail.
IT **140134-50-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and acetylcholinesterase inhibitory activity of)
RN 140134-50-5 CAPLUS
CN 2-Propenamide, N-[5-[ethyl(phenylmethyl)amino]pentyl]-3-(3-pyridinyl)-, monohydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

L31 ANSWER 110 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1992:120 CAPLUS
DN 116:120
TI Disposition of RS-26306, a potent luteinizing hormone-releasing hormone antagonist, in monkeys and rats after single intravenous and subcutaneous administration
AU Chan, Rebecca L.; Hsieh, Su C.; Haroldsen, Peter E.; Ho, William; Nestor, John J., Jr.
CS Dep. Drug Metab., Bioanal. Metab. Res., Syntex Res., Palo Alto, CA, 94304, USA
SO Drug Metab. Dispos. (1991), 19(5), 858-64
CODEN: DMDSAI; ISSN: 0090-9556
DT Journal
LA English
GI



I

AB The metabolic disposition of RS-26306 (I) a new potent LH-releasing hormone antagonist, was studied in rats and monkeys after single i.v. and s.c. administration with the 3H-labeled compd. Plasma pharmacokinetics after i.v. administration were: CLs = 2.5 mL/min/kg, Vd.beta. = 0.29 L/kg, t1./2 = 1.4 h (rats), and CLs = 0.8 mL/min/kg, Vd.beta. = 0.32 L/kg, t1/2 = 5.1 h (monkeys). Cmax And Tmax in rats were 0.53 .mu.g/mL and 4 h after the 1 mg/kg s.c. dose, and were 1.07 .mu.g/mL and 12 h after the 10 mg/kg s.c. dose. AUC0-.infin. after the 10 mg/kg s.c. dose in rats was seven times that after the 1 mg/kg s.c. dose. Apparent plasma disappearance t1/2 in rats were 3.6 and 15.2 h, resp., after the 1 and 10 mg/kg s.c. doses. An av. of 12 and 4% of dose radioactivity remained at the injection site in rats 3 and 10 days, resp., after a 10 mg/kg s.c. dose. In monkeys, Tmax after a 1 mg/kg s.c. dose was 0.5 h for three animals but was 24 h for the fourth animal, although plasma of this monkey contained substantial levels of RS-26306 between 15 min and 24 h. Apparent plasma t1/2 in monkeys after a 1 mg/kg s.c. dose was at least 19 h. There data suggest depot formation after s.c. doses. In vitro plasma binding amounted to 82-84%. Excretion was mainly biliary: 12-25 and 55-84% of dose radioactivity was recovered in urine and feces, resp., in both species. The biol. samples contained only traces of 3H2O. Three

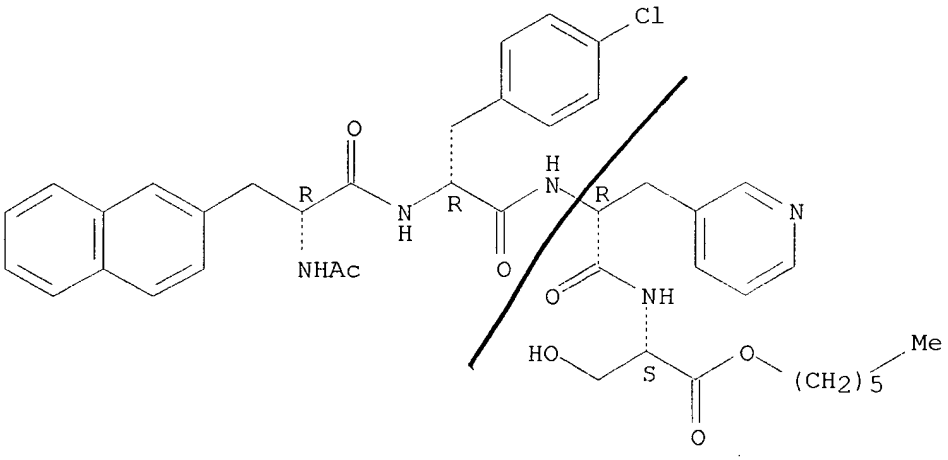
metabolites, which were truncated peptides of the parent decapeptide, were identified in the rat bile. One of these was also present in the monkey plasma. The restricted enzymic degrdn. of RS-26306, extensive plasma binding, and long circulating t1/2 of RS-26306 contribute to its prolonged activity in animal models and in humans.

IT **137758-70-4**
RL: FORM (Formation, nonpreparative)
(formation of, in RS-26306 metab., pharmacokinetics of, in lab. animals)

RN 137758-70-4 CAPLUS

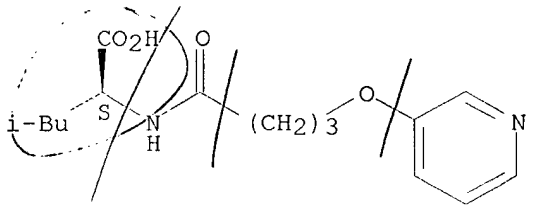
CN L-Serine, N-[N-[N-[N-acetyl-3-(2-naphthalenyl)-D-alanyl]-4-chloro-D-phenylalanyl]-3-(3-pyridinyl)-D-alanyl]-, hexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



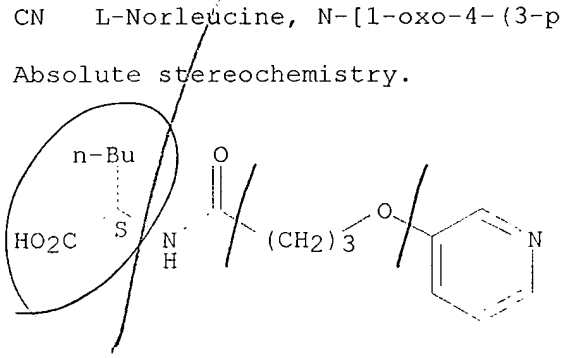
L31 ANSWER 111 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1991:601790 CAPLUS
DN 115:201790
TI Synthesis and inhibitory activity of acyl-peptidyl-pyrrolidine derivatives toward post-proline cleaving enzyme; a study of subsite specificity
AU Saito, Masayuki; Hashimoto, Masaki; Kawaguchi, Naoko; Shibata, Hiroshi; Fukami, Harukazu; Tanaka, Takaharu; Higuchi, Naoki
CS Inst. Fundam. Res., Suntory Res. Cent., Osaka, 618, Japan
SO J. Enzyme Inhib. (1991), 5(1), 51-75
CODEN: ENINEG; ISSN: 8755-5093
DT Journal
LA English
AB Several pyrrolidine derivs. were synthesized and examd. for their inhibitory activity on post-proline cleaving enzymes from Flavobacterium meningosepticum and bovine brain. Almost all of the compds. tested in this study inhibited the activity of both enzymes at low IC50 values, but a specificity difference was obsd. with alkylacyl-peptidyl-pyrrolidine derivs. which strongly inhibited only the bacterial enzyme. The most effective inhibitors had a proline residue on their P2 sites and a substituted or unsubstituted phenoxybutyryl moiety on their P3 sites. Thus, phenoxybutyryl-prolyl-pyrrolidine is the most effective partial structure of the inhibitors. The best inhibitors found were: 4-(4-benzylphenoxy)butyryl-prolyl-pyrrolidine for the bacterial enzyme (IC50, 1.4 nM) and 4-phenylbutyrylthioprolyl-pyrrolidine for the bovine brain enzyme (IC50, 67 nM). In the passive avoidance test, using amnesic rats exptl. induced with scopolamine, the pyrrolidine derivs. which had potent inhibitory activity toward post-proline cleaving enzymes also showed strong anti-amnesic activities at doses of 1-5 mg/kg, i.p.
IT **136560-86-6P 136560-87-7P 136768-47-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation with pyrrolidine)
RN 136560-86-6 CAPLUS
CN L-Leucine, N-[1-oxo-4-(3-pyridinyloxy)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



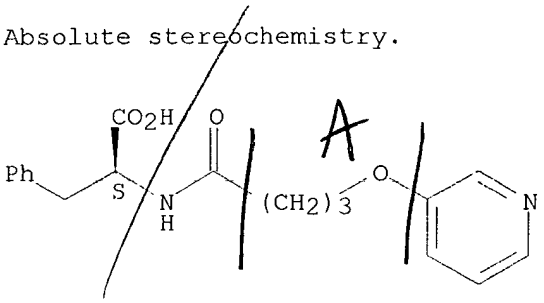
RN 136560-87-7 CAPLUS
CN L-Norleucine, N-[1-oxo-4-(3-pyridinyloxy)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 136768-47-3 CAPLUS
CN L-Phenylalanine, N-[1-oxo-4-(3-pyridinyloxy)butyl]- (9CI) (CA INDEX NAME)

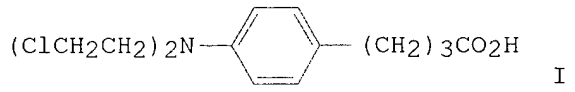
Absolute stereochemistry.



L11 ANSWER 112 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1991:472019 CAPLUS
DN 115:72019
TI Bile acid derivatives, a process for their production and their use as medicines
IN Kramer, Werner; Wess, Guenther
PA Hoechst A.-G., Fed. Rep. Ger.
SO Eur. Pat. Appl., 90 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 417725	A2	19910320	EP 1990-117470	19900911
	EP 417725	A3	19920318		
	EP 417725	B1	19970423		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DE 3930696	A1	19910328	DE 1989-3930696	19890914
	AT 152117	E	19970515	AT 1990-117470	19900911
	ES 2100858	T3	19970701	ES 1990-117470	19900911
	IL 95668	A1	19950330	IL 1990-95668	19900912
	CA 2025294	AA	19910315	CA 1990-2025294	19900913
	NO 9003999	A	19910315	NO 1990-3999	19900913
	AU 9062441	A1	19910321	AU 1990-62441	19900913
	AU 637822	B2	19930610		
	JP 03109396	A2	19910509	JP 1990-241338	19900913
	JP 2568306	B2	19970108		
	ZA 9007300	A	19910626	ZA 1990-7300	19900913
	HU 56115	A2	19910729	HU 1990-5893	19900913
	HU 213395	B	19970630		
	US 5462933	A	19951031	US 1994-208192	19940310
	US 5646272	A	19970708	US 1995-476941	19950607
	US 5668126	A	19970916	US 1995-475689	19950607
	JP 08092276	A2	19960409	JP 1995-254511	19950907
	JP 2642089	B2	19970820		
	JP 08092277	A2	19960409	JP 1995-254512	19950907
	JP 2642090	B2	19970820		
PRAI	DE 1989-3930696		19890914		
	US 1990-581390		19900912		
	US 1991-806799		19911212		
	US 1994-208192		19940310		

OS MARPAT 115:72019
GI



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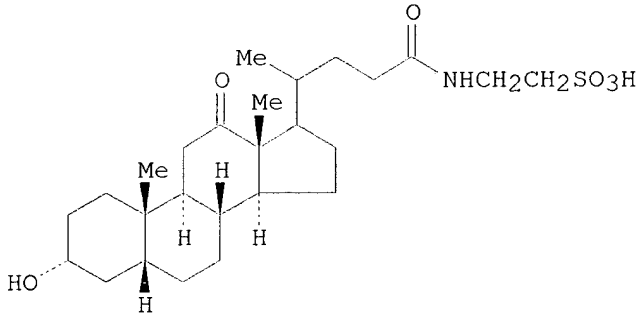
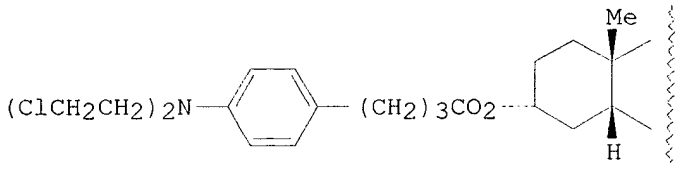


II



III

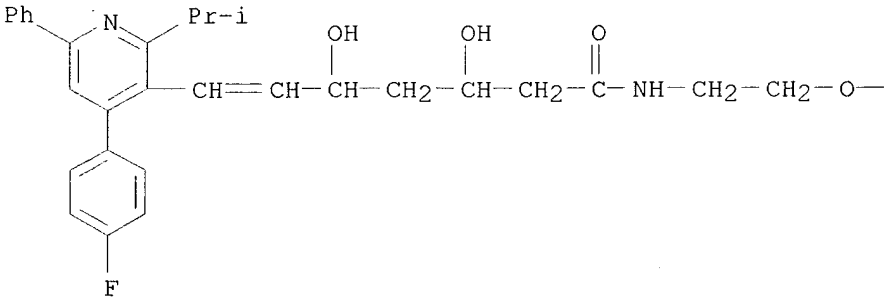
AB Bile acid derivs. W-X-G [G = bile acid residue in free acid, ester, amide, or salt form; W = pharmacol. active residue, e.g. peptide, antibiotic, antiviral substance, renin inhibitor, substance for treatment of diabetes; X = direct bond or a bivalent group, e.g. O, S, SO, SO2, CO2, NR1 (R1 = H, C1-8 alkyl, acyl, etc.), CONR1, OP(O)(OR2)O (R2 = H, C1-8 alkyl, etc.), P(O)(OR2)O, OP(O)(OR2)NR; NR1P(O)(OR2)NR1, SS, OSO3, SO2NR1, NR1CONR1, CO2, O2CNR1, O2C(CH2O)n (n = 1-16), NR1CO(CH2)n, O(CH2O)n, NR1(CH2)n, R2NCOMCONR2 [M = (CH2)m (m = 0-6), (C:C)p (p = 1, 2, 3), C.tplbond.C], O2CMCO2, NR2COMCO2, O2C(CH2)mO(CH2)nO] were prepd. Thus, chlorambucil (I) was chlorinated with oxalyl chloride to give the acid chloride, which was treated with bile acid deriv. II to give conjugate III. Extensive biol. data are given; for example, III inhibited bile acid binding to binding proteins of liver cells, e.g. 93.2% inhibition for the binding protein in mitochondria.

IT **135054-25-0P 135054-26-1P 135097-12-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and biol. activity of)

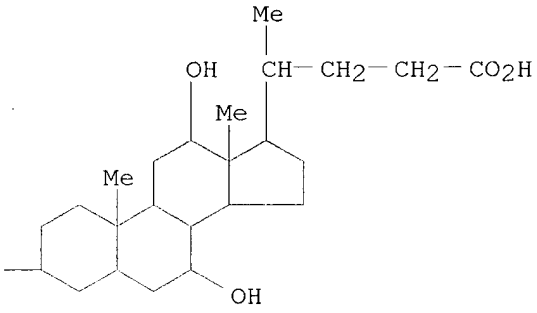
RN 135054-25-0 CAPLUS

CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-, [3.beta.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]- (9CI) (CA INDEX NAME)

PAGE 1-A

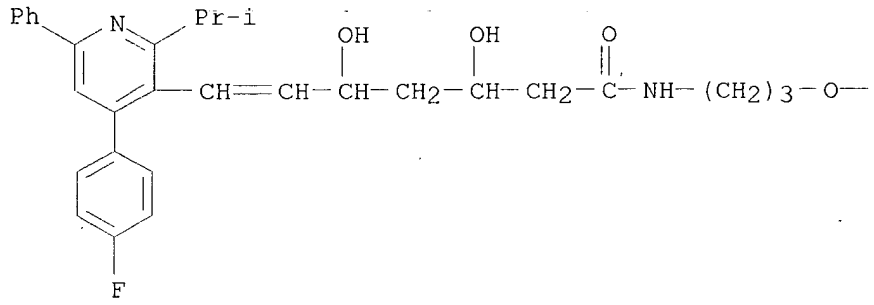


PAGE 1-B

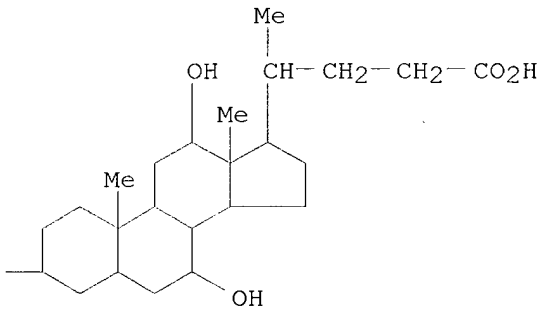


RN 135054-26-1 CAPLUS
CN Cholan-24-oic acid, 3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, [3.beta.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]- (9CI) (CA INDEX NAME)

PAGE 1-A

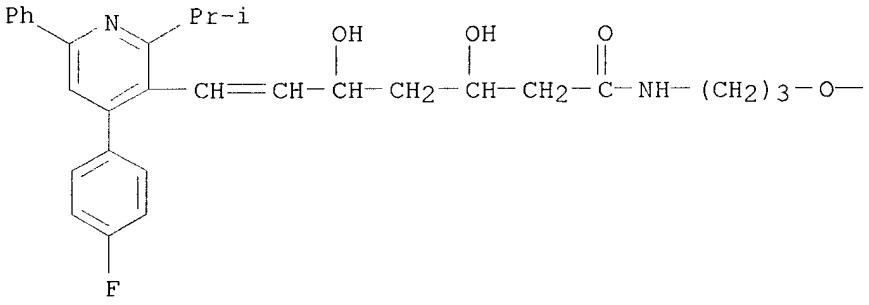


PAGE 1-B

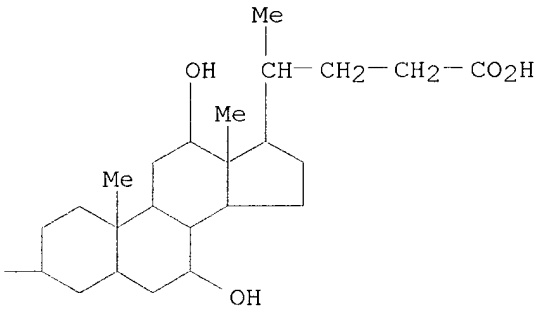


RN 135097-12-0 CAPLUS
CN Cholan-24-oic acid, 3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, [3.alpha.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

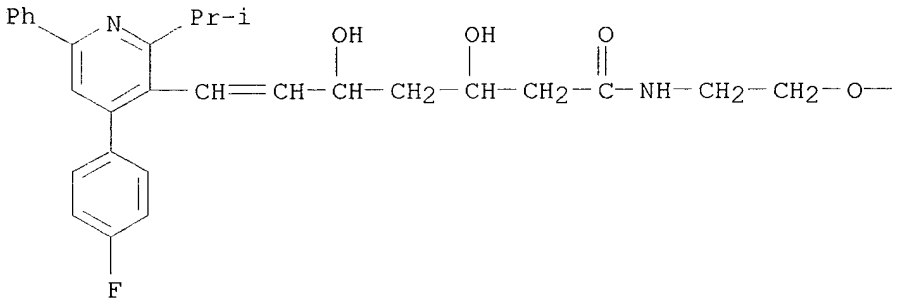


IT **135054-18-1P 135054-19-2P 135079-56-0P 135097-11-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and hydrolysis of)

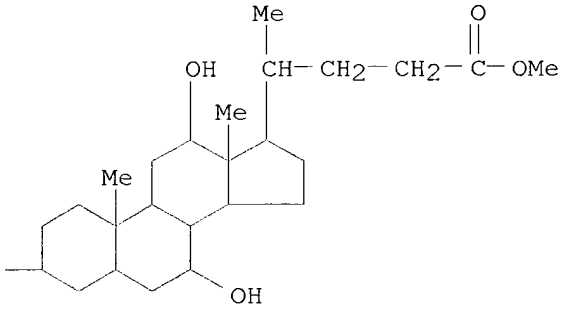
RN 135054-18-1 CAPLUS

CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-, methyl ester, [3.beta.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



RN 135054-19-2 CAPLUS
CN Cholan-24-oic acid, 3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, methyl ester, [3.beta.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]-(9CI) (CA INDEX NAME)

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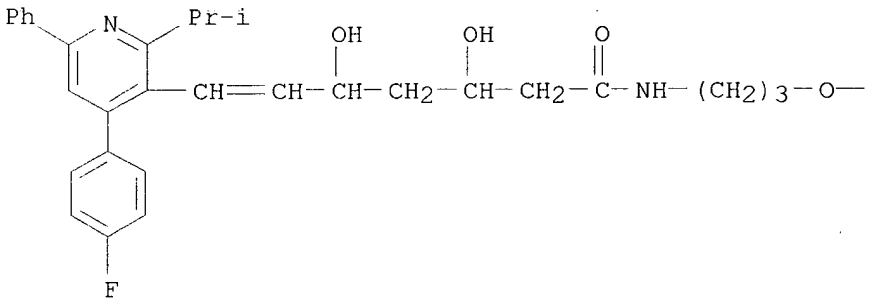

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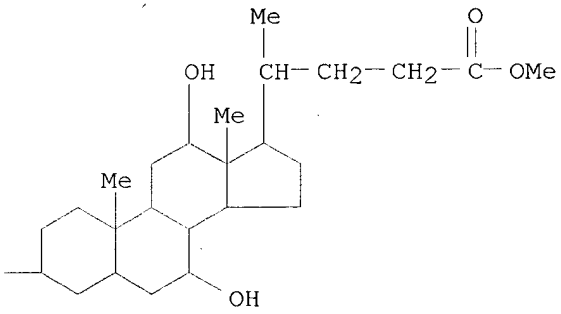

RN 135079-56-0 CAPLUS
CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, methyl ester, [3.beta.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]-(9CI) (CA INDEX NAME)

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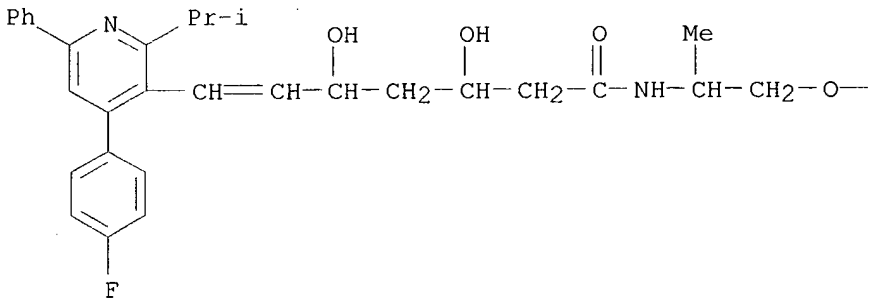

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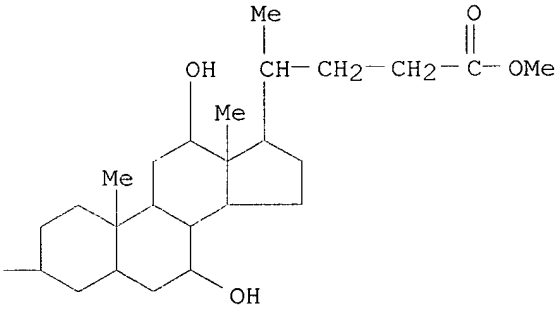

RN 135097-11-9 CAPLUS
CN Cholan-24-oic acid, 3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, methyl ester, [3.alpha.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]-(9CI) (CA INDEX NAME)

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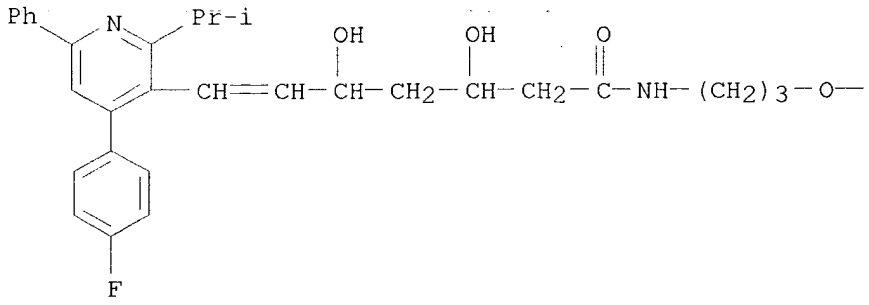

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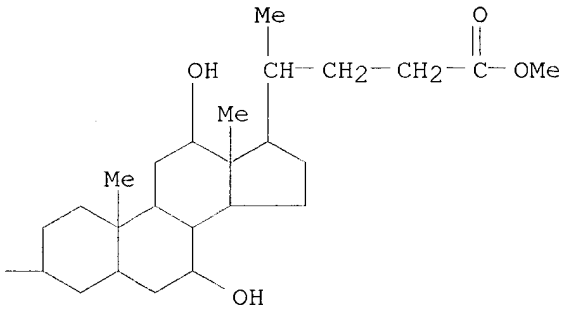

IT **135054-27-2P 135054-34-1P 135054-35-2P**
135054-36-3P 135054-42-1P 135054-43-2P
135054-44-3P 135097-14-2P 135097-15-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 135054-27-2 CAPLUS
CN Cholan-24-oic acid, 3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-, [3.beta.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]- (9CI) (CA INDEX NAME)

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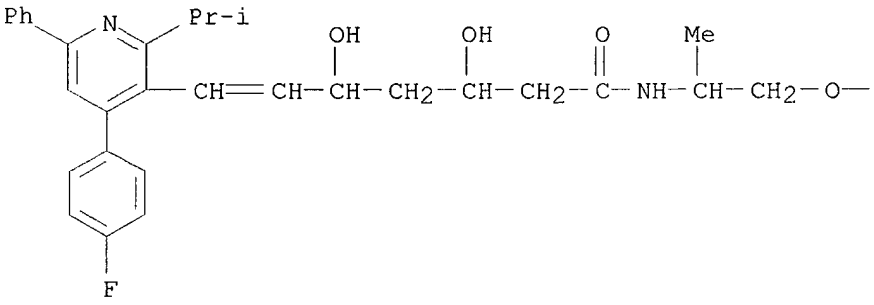

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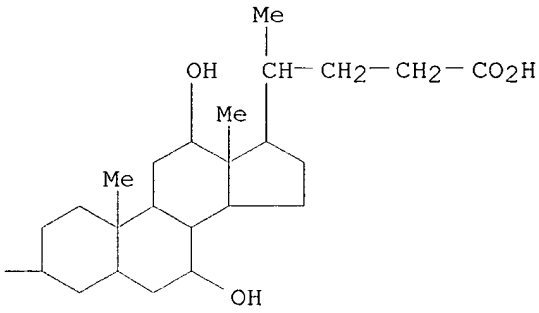

RN 135054-34-1 CAPLUS

CN Glycine, N-[[3.beta.(3R,5R,6E),5.beta.,7.alpha.,12.alpha.]-3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

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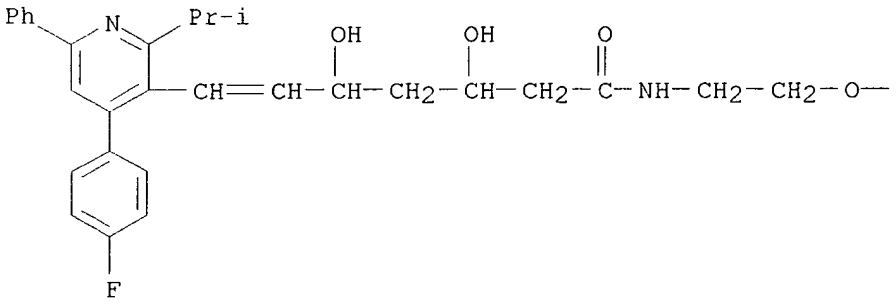

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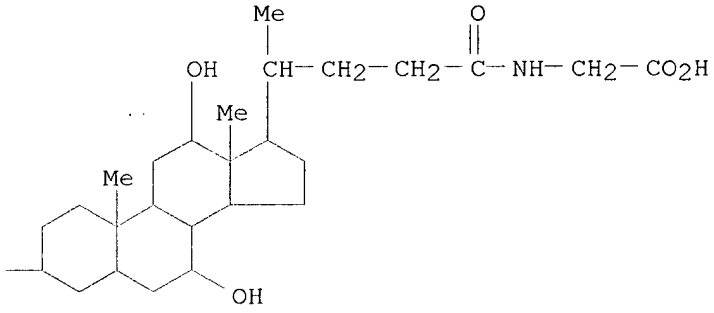

RN 135054-35-2 CAPLUS
CN Glycine, N-[[3.beta.-(3R,5R,6E),5.beta.,7.alpha.,12.alpha.]-3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

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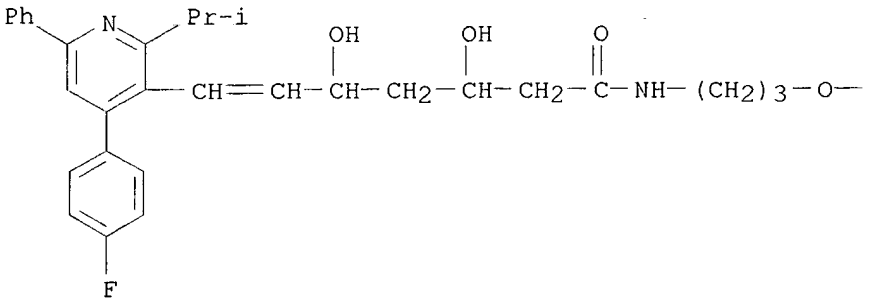

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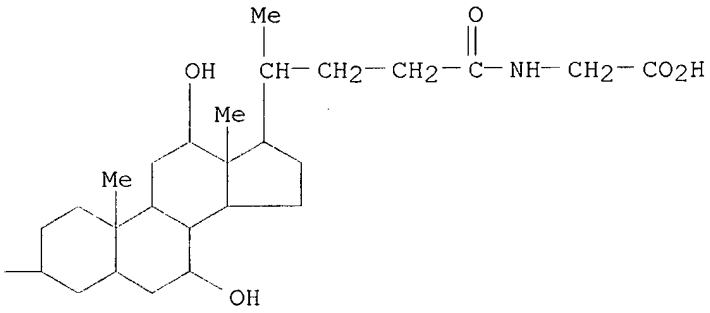

RN 135054-36-3 CAPLUS
CN Glycine, N-[[3.beta.(3R,5R,6E),5.beta.,7.alpha.,12.alpha.]-3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

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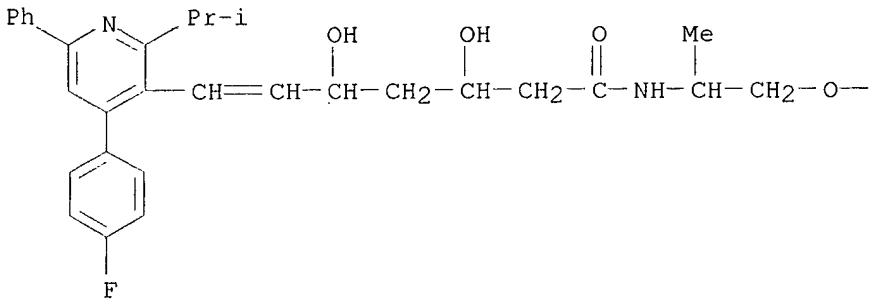

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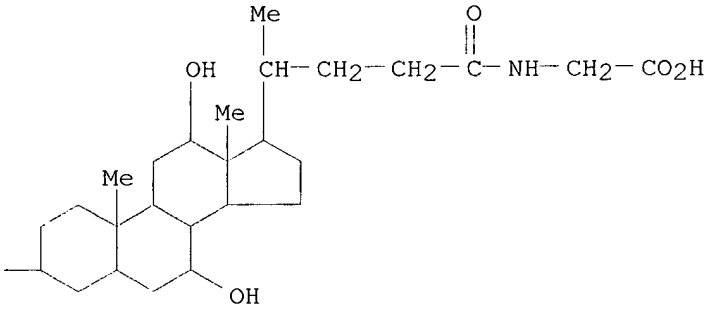

RN 135054-42-1 CAPLUS
CN Ethanesulfonic acid, 2-[[[3.beta.(3R,5R,6E),5.beta.,7.alpha.,12.alpha.]-3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]ethoxy]-7,12-dihydroxy-24-oxocholan-24-yl]amino]- (9CI) (CA INDEX NAME)

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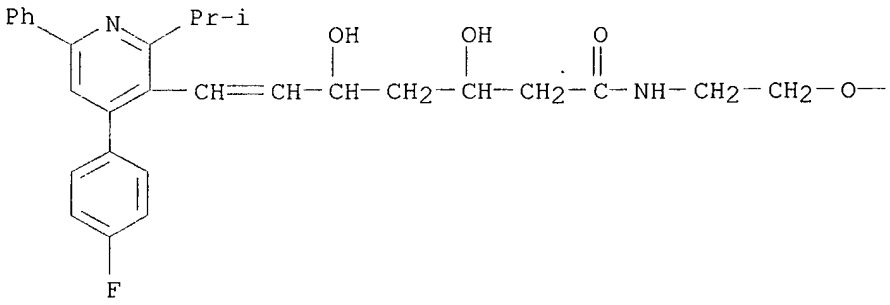
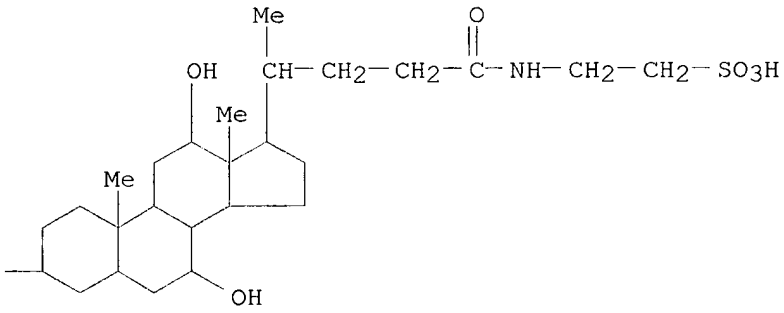


PAGE 1-B



RN 135054-43-2 CAPLUS
CN Ethanesulfonic acid, 2-[[[3.beta.(3R,5R,6E),5.beta.,7.alpha.,12.alpha.]-3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]amino]- (9CI) (CA INDEX NAME)

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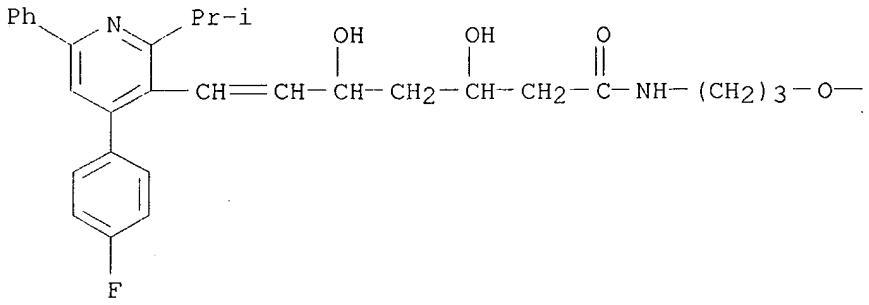

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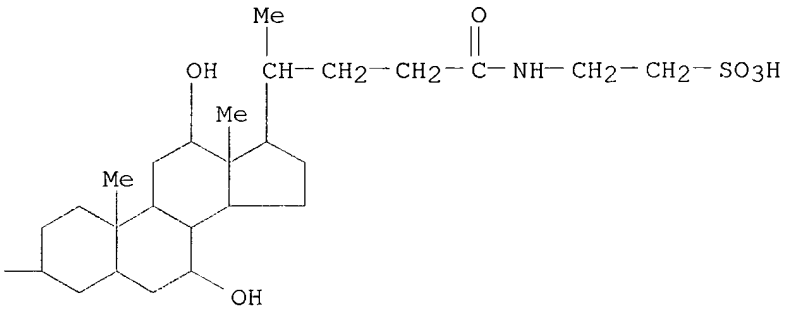

RN 135054-44-3 CAPLUS
CN Ethanesulfonic acid, 2-[[[3.beta.(3R,5R,6E),5.beta.,7.alpha.,12.alpha.]-3-[2-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]amino]- (9CI) (CA INDEX NAME)

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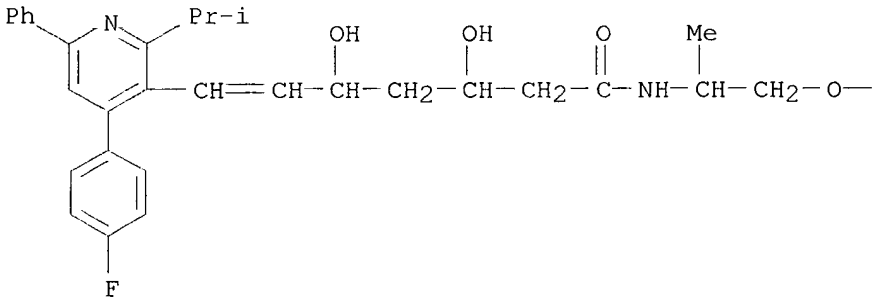

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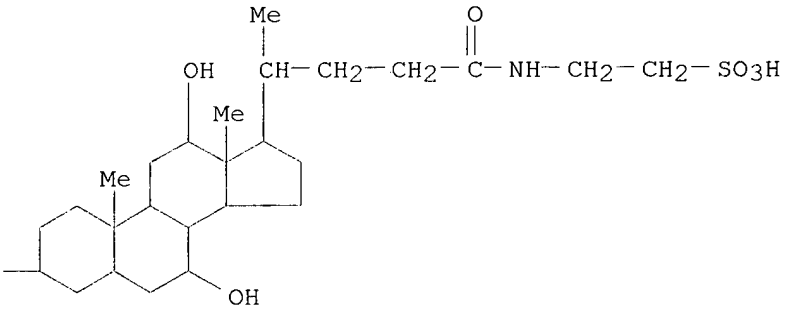

RN 135097-14-2 CAPLUS

CN Glycine, N-[[3.alpha.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]-3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]- (9CI) (CA INDEX NAME)

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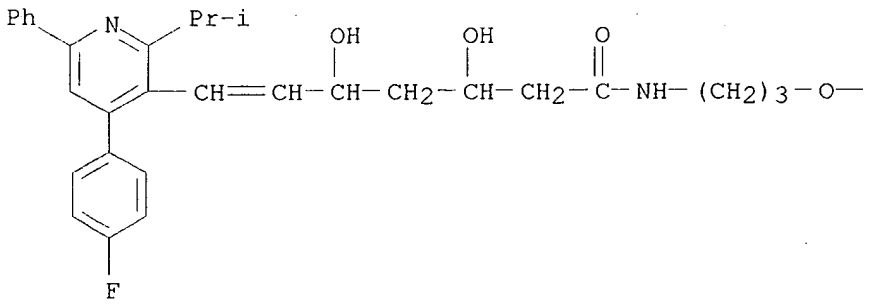

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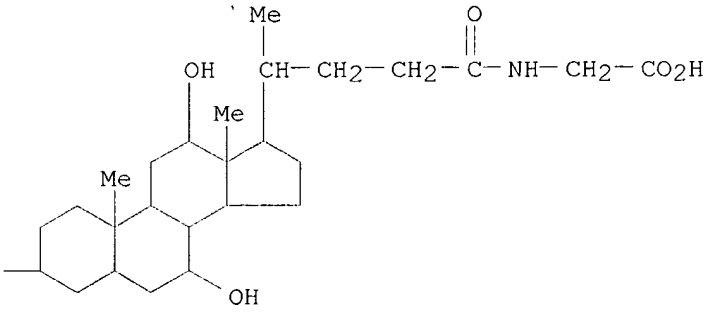

RN 135097-15-3 CAPLUS
CN Ethanesulfonic acid, 2-[[[3.alpha.(3R,5S,6E),5.beta.,7.alpha.,12.alpha.]-3-[3-[[7-[4-(4-fluorophenyl)-2-(1-methylethyl)-6-phenyl-3-pyridinyl]-3,5-dihydroxy-1-oxo-6-heptenyl]amino]propoxy]-7,12-dihydroxy-24-oxocholan-24-yl]amino]- (9CI) (CA INDEX NAME)

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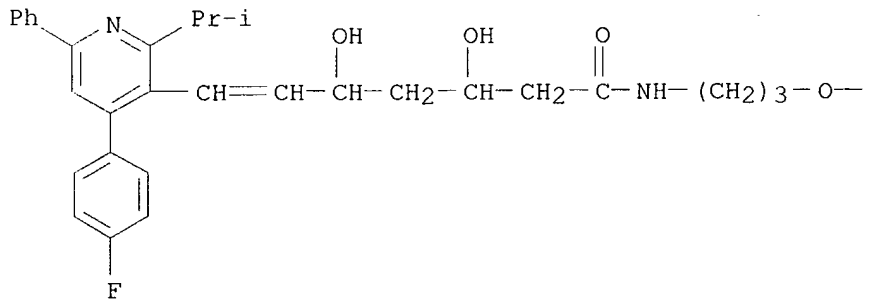

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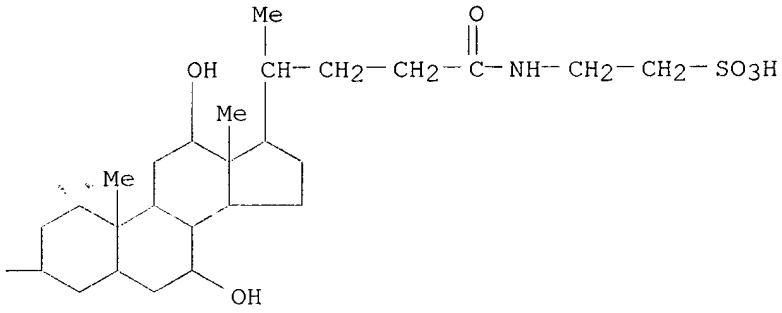
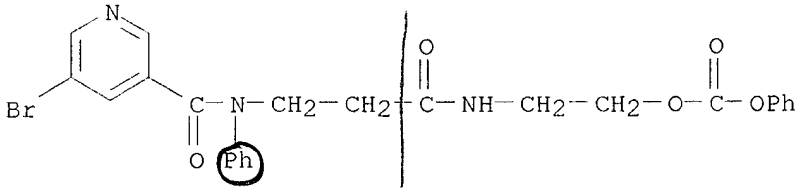


L31 ANSWER 113 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1991:185282 CAPLUS
DN 114:185282
TI Preparation of pyridinium compounds as platelet-activating factor (PAF) antagonists
IN Tsushima, Susumu; Takatani, Muneo; Nishikawa, Kohei
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 39 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 02275860	A2	19901109	JP 1990-20845	19900130
PRAI JP 1989-21920		19890130		

OS MARPAT 114:185282
GI For diagram(s), see printed CA Issue.
AB Pyridinium salts, effective PAF antagonists useful in inhibiting platelet aggregation, hypotension, lipid oxidn., etc., were prepd. Heating a mixt. of amine I (prepn. given) and carbonate II (R = PhO) (prepn. given) at 120.degree. gave 95.0% carbamate III (R = 2,5-dimethoxy-3,4,6-trimethylbenzylamino), which was heated with PrI in darkness under N to give 91.2% salt III, which showed 97% inhibition of blood platelet aggregation at 3 .times. 10-7 M in rabbit's platelet rich plasma. Also prepd. and tested for inhibitory activities against blood platelet aggregation, hypotension, bronchoconstriction, lipid oxidn. were 19 addnl. pyridinium salts.
IT **121495-25-8P 133460-02-3P 133460-07-8P**
133460-12-5P 133460-15-8P 133460-18-1P
133460-26-1P 133460-27-2P 133460-28-3P
133460-29-4P 133460-30-7P 133460-31-8P
133460-32-9P 133460-33-0P 133485-30-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of platelet-activating factor antagonists)
RN 121495-25-8 CAPLUS
CN Carbonic acid, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl phenyl ester (9CI) (CA INDEX NAME)



RN 133460-02-3 CAPLUS
CN Carbamic acid, [(2,5-dimethoxy-3,4,6-trimethylphenyl)methyl]-, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl ester (9CI) (CA INDEX NAME)

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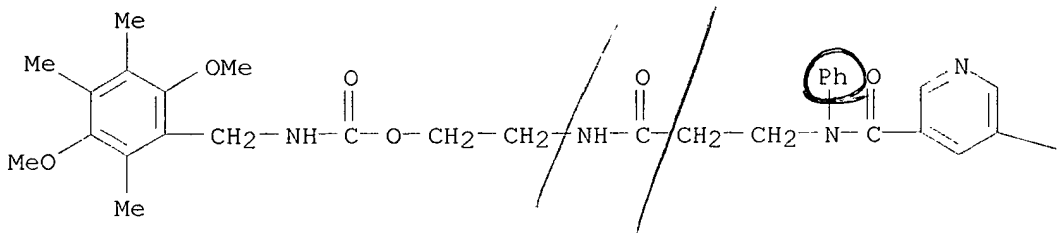

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Br

RN 133460-07-8 CAPLUS
CN Carbamic acid, [(2,4,5-trimethyl-3,6-dipropoxyphenyl)methyl]-, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl ester (9CI) (CA INDEX NAME)

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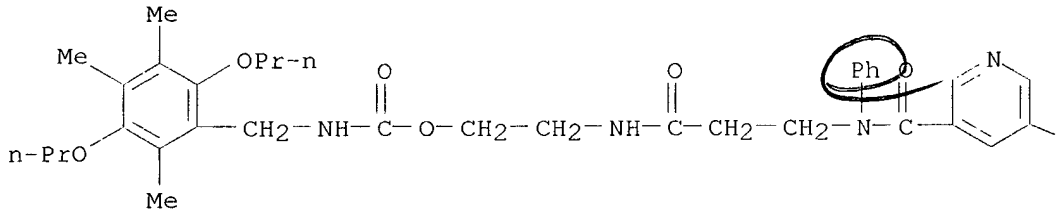

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Br

RN 133460-12-5 CAPLUS
CN Carbamic acid, [[2,4,5-trimethyl-3,6-bis(1-methylethoxy)phenyl]methyl]-, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl ester (9CI) (CA INDEX NAME)

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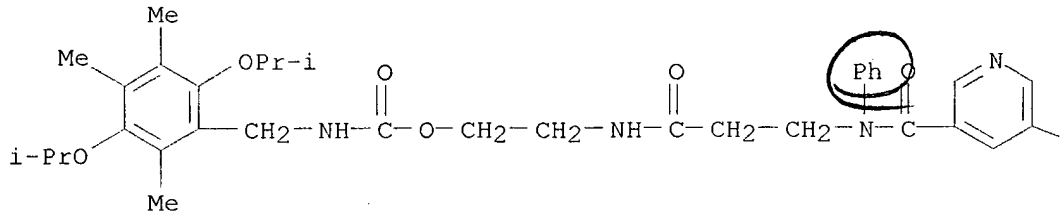

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Br

RN 133460-15-8 CAPLUS
CN Carbamic acid, [[2,5-bis(hexyloxy)-3,4,6-trimethylphenyl]methyl]-, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl ester (9CI) (CA INDEX NAME)

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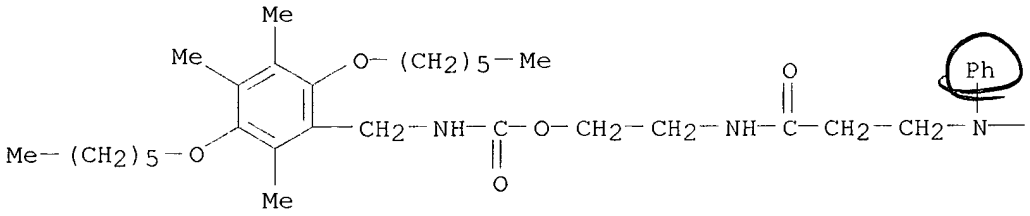

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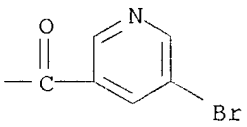

RN 133460-18-1 CAPLUS
CN Carbamic acid, [(1,4-dimethoxy-3-methyl-2-naphthalenyl)methyl]-, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl ester (9CI) (CA INDEX NAME)

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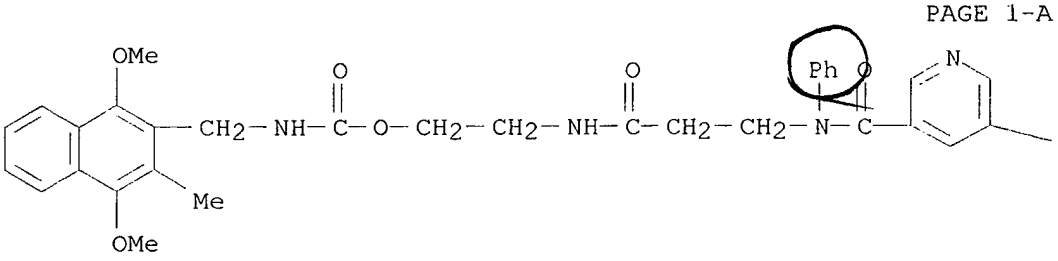

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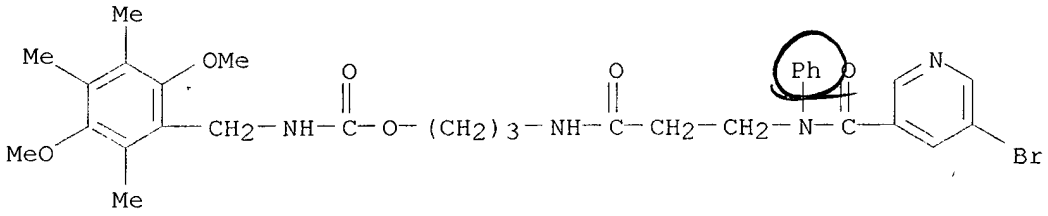
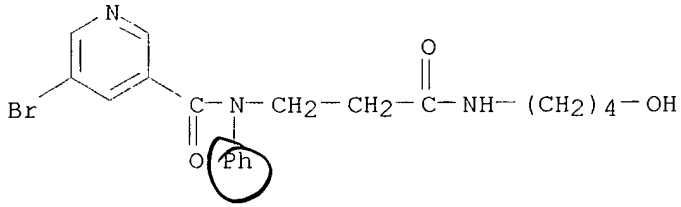
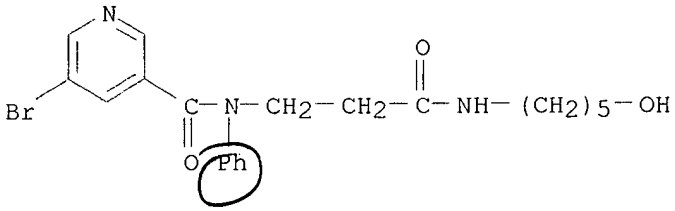
RN 133460-26-1 CAPLUS
CN Carbamic acid, [(2,5-dimethoxy-3,4,6-trimethylphenyl)methyl]-, 3-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]propyl ester (9CI) (CA INDEX NAME)



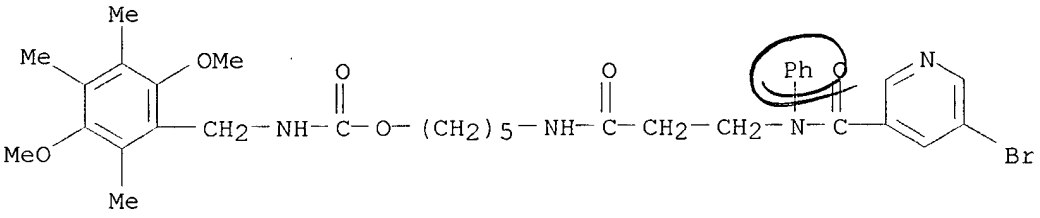
RN 133460-27-2 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[3-[(4-hydroxybutyl)amino]-3-oxopropyl]-N-phenyl- (9CI) (CA INDEX NAME)



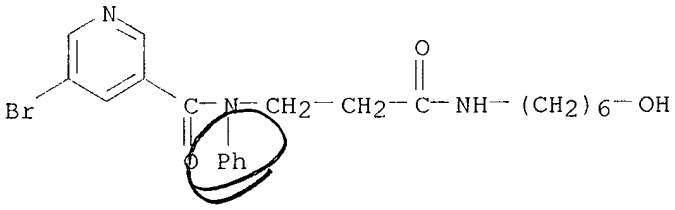
RN 133460-28-3 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[3-[(5-hydroxypentyl)amino]-3-oxopropyl]-N-phenyl- (9CI) (CA INDEX NAME)



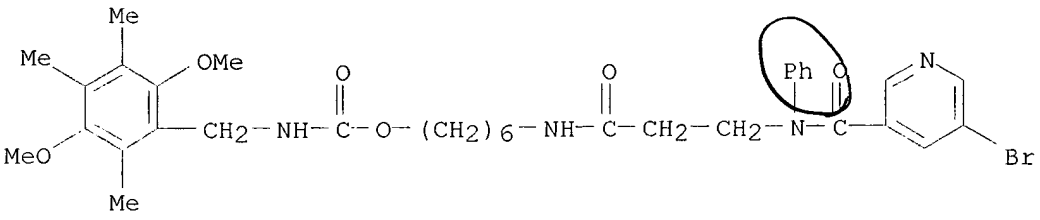
RN 133460-29-4 CAPLUS
CN Carbamic acid, [(2,5-dimethoxy-3,4,6-trimethylphenyl)methyl]-, 5-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]pentyl ester (9CI) (CA INDEX NAME)



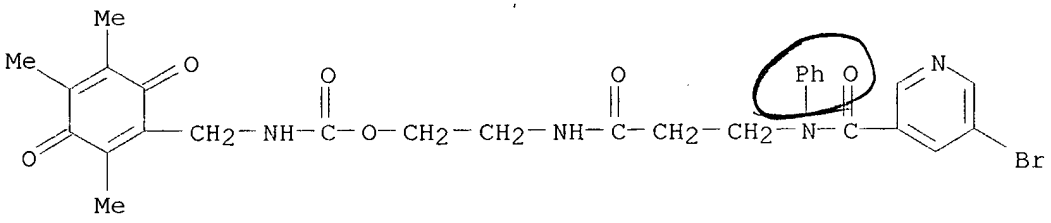
RN 133460-30-7 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[3-[(6-hydroxyhexyl)amino]-3-oxopropyl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 133460-31-8 CAPLUS
CN Carbamic acid, [(2,5-dimethoxy-3,4,6-trimethylphenyl)methyl]-, 6-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]hexyl ester (9CI) (CA INDEX NAME)



RN 133460-32-9 CAPLUS
CN Carbamic acid, [(2,4,5-trimethyl-3,6-dioxo-1,4-cyclohexadien-1-yl)methyl]-, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl ester (9CI) (CA INDEX NAME)



RN 133460-33-0 CAPLUS
CN Carbamic acid, [(1,4-dihydro-3-methyl-1,4-dioxo-2-naphthalenyl)methyl]-, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl ester (9CI) (CA INDEX NAME)

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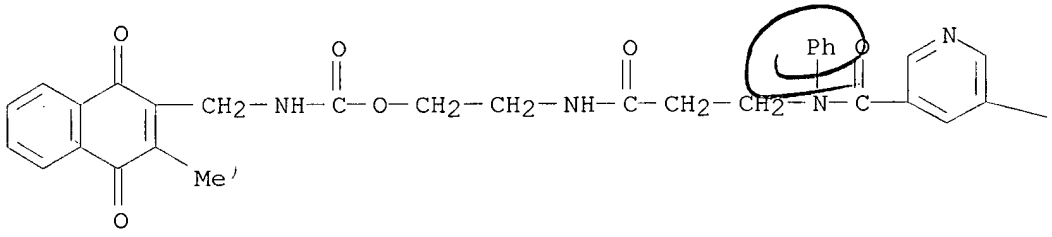
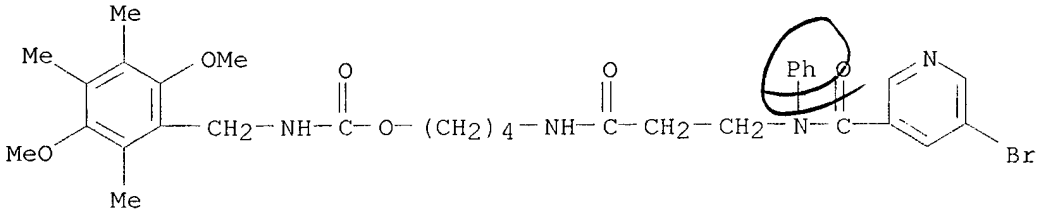


PAGE 1-B

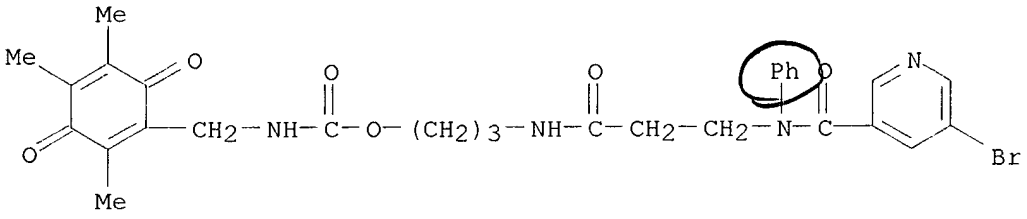
RN 133485-30-0 CAPLUS
CN Carbamic acid, [(2,5-dimethoxy-3,4,6-trimethylphenyl)methyl]-, 4-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]butyl ester (9CI) (CA INDEX NAME)



IT **133460-41-0P 133460-43-2P 133460-44-3P**
133460-45-4P 133460-46-5P 133460-47-6P
133460-48-7P 133460-50-1P 133460-51-2P
133460-52-3P 133460-53-4P 133460-54-5P

133460-55-6P 133460-59-0P 133460-60-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as platelet-activating factor antagonist)

RN 133460-41-0 CAPLUS
CN Carbamic acid, [(2,4,5-trimethyl-3,6-dioxo-1,4-cyclohexadien-1-yl)methyl]-, 3-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]propyl ester (9CI) (CA INDEX NAME)



RN 133460-43-2 CAPLUS
CN Pyridinium, 3-bromo-5-[12-(2,5-dimethoxy-3,4,6-trimethylphenyl)-1,5,10-trioxo-2-phenyl-9-oxa-2,6,11-triazadodec-1-yl]-1-propyl-, nitrate (9CI) (CA INDEX NAME)

CM 1

CRN 133460-42-1
CMF C33 H42 Br N4 O6

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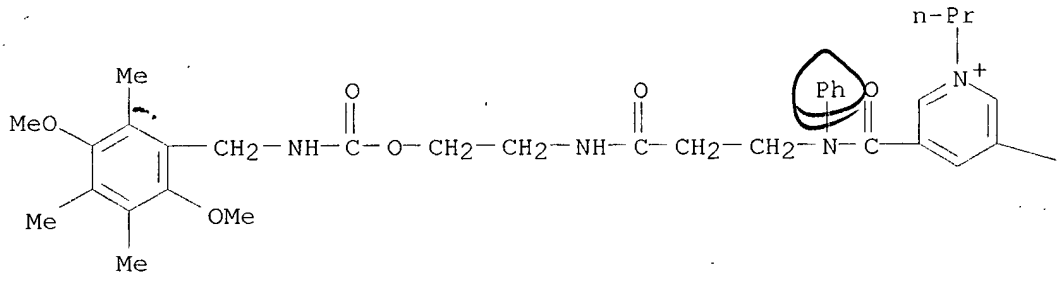


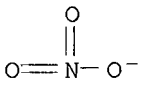
PAGE 1-B

Br .

CM 2

CRN 14797-55-8

CMF N O3



RN 133460-44-3 CAPLUS
CN Pyridinium, 3-bromo-5-[12-(2,5-dimethoxy-3,4,6-trimethylphenyl)-1,5,10-trioxo-2-phenyl-9-oxa-2,6,11-triazadodec-1-yl]-1-propyl-, chloride (9CI) (CA INDEX NAME)

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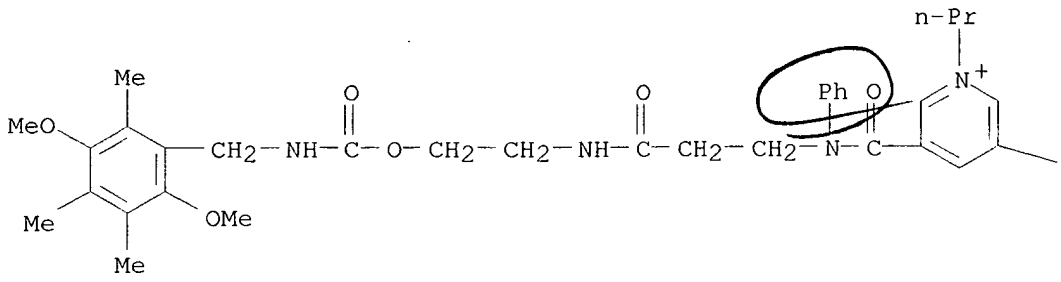

● Cl^-

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Br

RN 133460-45-4 CAPLUS
CN Pyridinium, 3-bromo-1-propyl-5-[1,5,10-trioxo-2-phenyl-12-(2,4,5-trimethyl-3,6-dipropoxyphenyl)-9-oxa-2,6,11-triazadodec-1-yl]-, chloride (9CI) (CA INDEX NAME)

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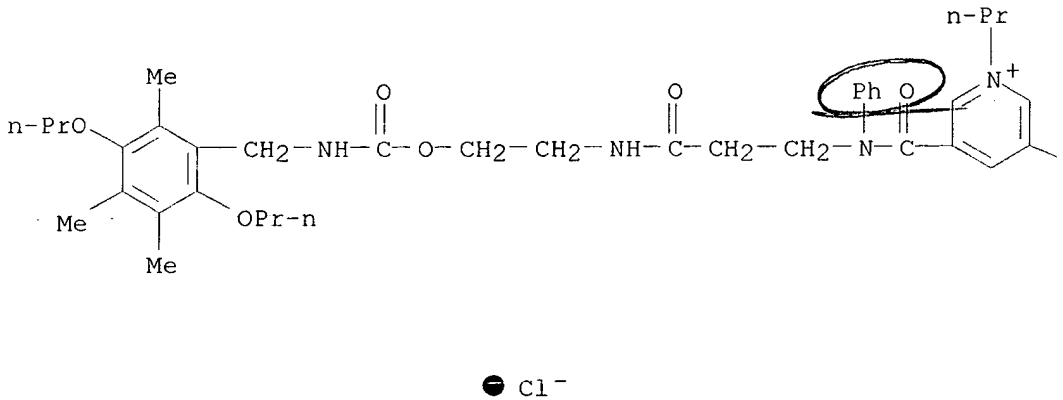

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Br

RN 133460-46-5 CAPLUS
CN Pyridinium, 3-bromo-1-propyl-5-[1,5,10-trioxo-2-phenyl-12-[2,4,5-trimethyl-3,6-bis(1-methylethoxy)phenyl]-9-oxa-2,6,11-triazadodec-1-yl]-, chloride (9CI) (CA INDEX NAME)

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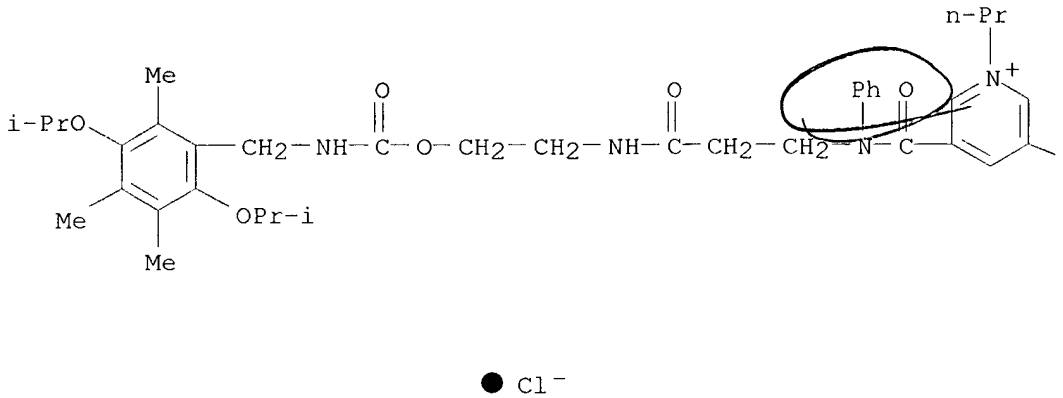

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RN 133460-47-6 CAPLUS
CN Pyridinium, 3-[12-[2,5-bis(hexyloxy)-3,4,6-trimethylphenyl]-1,5,10-trioxo-2-phenyl-9-oxa-2,6,11-triazadodec-1-yl]-5-bromo-1-propyl-, chloride (9CI) (CA INDEX NAME)

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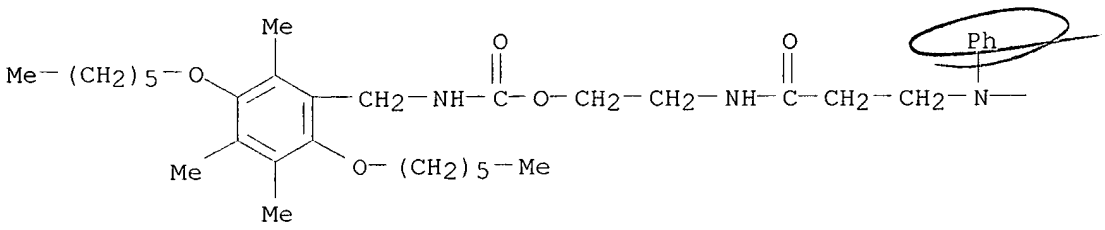
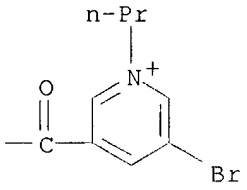


PAGE 1-B



RN 133460-48-7 CAPLUS
CN Pyridinium, 3-bromo-5-[12-(1,4-dimethoxy-3-methyl-2-naphthalenyl)-1,5,10-trioxo-2-phenyl-9-oxa-2,6,11-triazadodec-1-yl]-1-propyl-, chloride (9CI) (CA INDEX NAME)

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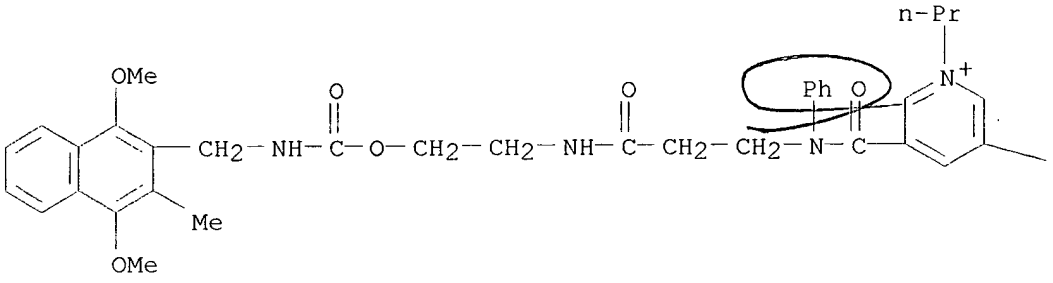

● Cl^-

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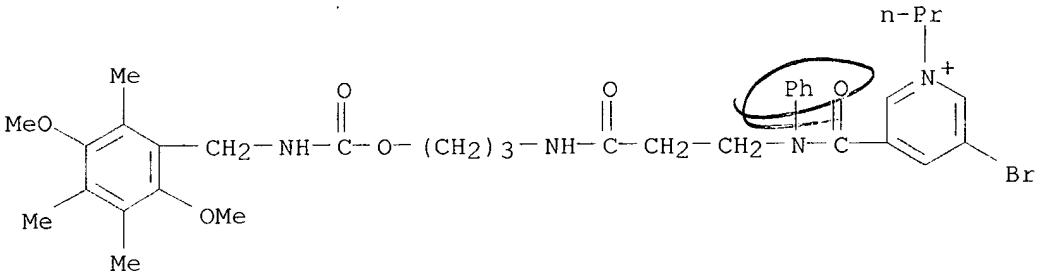
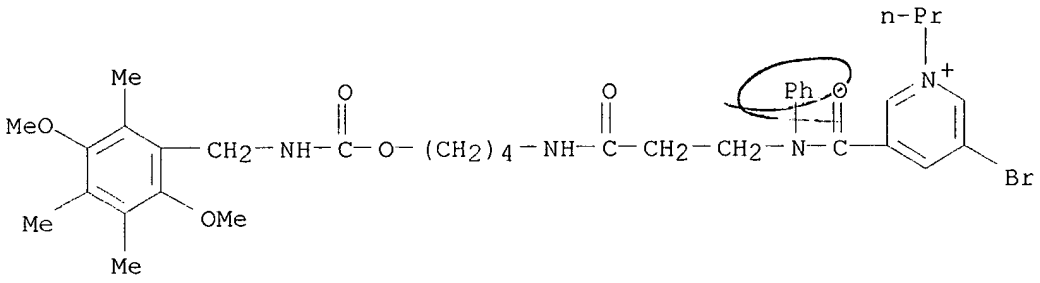
Br

RN 133460-50-1 CAPLUS
CN Pyridinium, 3-bromo-5-[13-(2,5-dimethoxy-3,4,6-trimethylphenyl)-1,5,11-trioxo-2-phenyl-10-oxa-2,6,12-triazatridec-1-yl]-1-propyl-, iodide (9CI) (CA INDEX NAME)



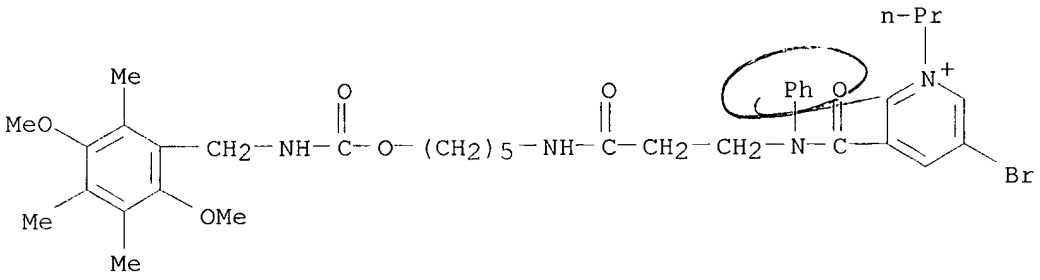
● I^-

RN 133460-51-2 CAPLUS
CN Pyridinium, 3-bromo-5-[14-(2,5-dimethoxy-3,4,6-trimethylphenyl)-1,5,12-trioxo-2-phenyl-11-oxa-2,6,13-triazatetradec-1-yl]-1-propyl-, iodide (9CI) (CA INDEX NAME)



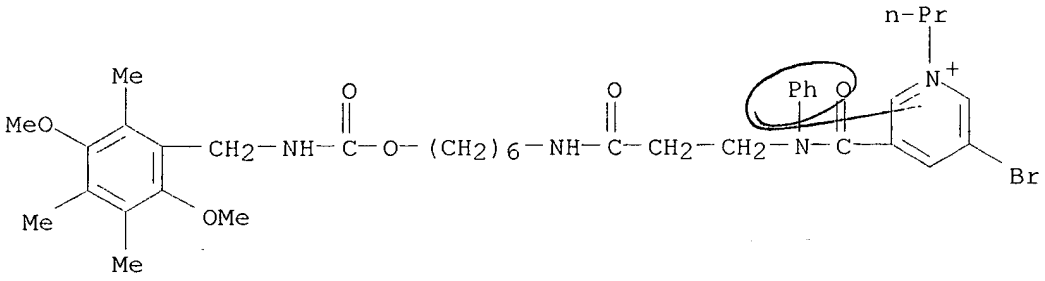
● I^-

RN 133460-52-3 CAPLUS
CN Pyridinium, 3-bromo-5-[15-(2,5-dimethoxy-3,4,6-trimethylphenyl)-1,5,13-trioxo-2-phenyl-12-oxa-2,6,14-triazapentadec-1-yl]-1-propyl-, iodide (9CI) (CA INDEX NAME)



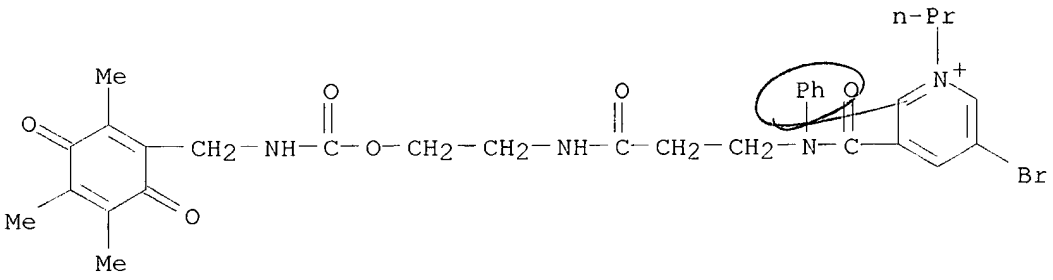
● I^-

RN 133460-53-4 CAPLUS
CN Pyridinium, 3-bromo-5-[16-(2,5-dimethoxy-3,4,6-trimethylphenyl)-1,5,14-trioxo-2-phenyl-13-oxa-2,6,15-triazahexadec-1-yl]-1-propyl-, iodide (9CI) (CA INDEX NAME)



● I^-

RN 133460-54-5 CAPLUS
CN Pyridinium, 3-bromo-1-propyl-5-[1,5,10-trioxo-2-phenyl-12-(2,4,5-trimethyl-3,6-dioxo-1,4-cyclohexadien-1-yl)-9-oxa-2,6,11-triazadodec-1-yl]-, iodide (9CI) (CA INDEX NAME)



● I^-

RN 133460-55-6 CAPLUS
CN Pyridinium, 3-bromo-5-[12-(1,4-dihydro-3-methyl-1,4-dioxo-2-naphthalenyl)-1,5,10-trioxo-2-phenyl-9-oxa-2,6,11-triazadodec-1-yl]-1-propyl-, chloride (9CI) (CA INDEX NAME)

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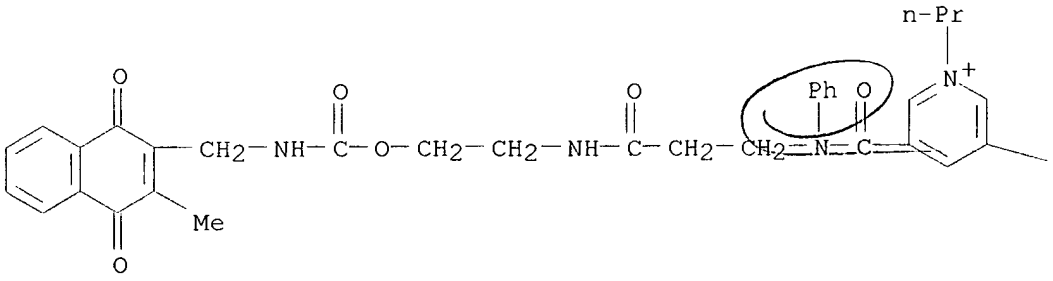

● Cl^-

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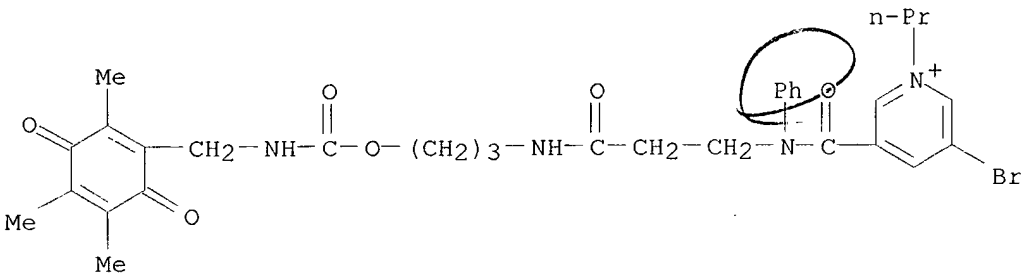
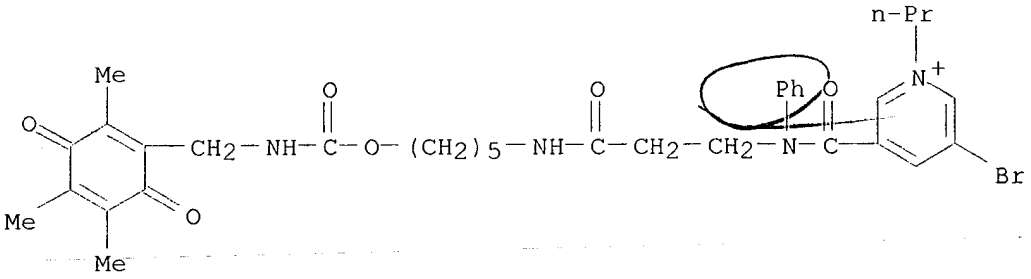
Br

RN 133460-59-0 CAPLUS
CN Pyridinium, 3-bromo-1-propyl-5-[1,5,11-trioxo-2-phenyl-13-(2,4,5-trimethyl-3,6-dioxo-1,4-cyclohexadien-1-yl)-10-oxa-2,6,12-triazatridec-1-yl]-, iodide (9CI) (CA INDEX NAME)



● I^-

RN 133460-60-3 CAPLUS
CN Pyridinium, 3-bromo-1-propyl-5-[1,5,13-trioxo-2-phenyl-15-(2,4,5-trimethyl-3,6-dioxo-1,4-cyclohexadien-1-yl)-12-oxa-2,6,14-triazapentadec-1-yl]-, iodide (9CI) (CA INDEX NAME)

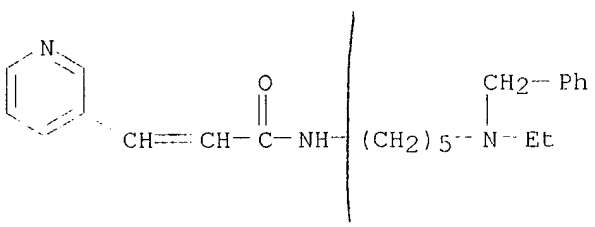


● I^-

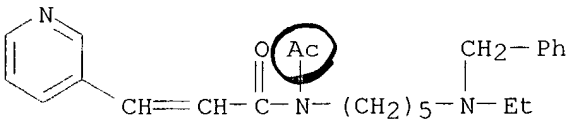
L31 ANSWER 114 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1991:81261 CAPLUS
DN 114:81261
TI Preparation of N-(.omega.-aralkylaminoalkyl)carboxamides as cholinesterase inhibitors
IN Goto, Giichi; Nagaoka, Akinobu; Ishihara, Yuji
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 02212459	A2	19900823	JP 1989-34654	19890213
JP 2730135	B2	19980325		

OS MARPAT 114:81261
AB RACONR4(CH2)nNR1CHR2R3 [I; R = (un)substituted (hetero)cyclyl; R1 = H, lower alkyl; R2 = (un)substituted aryl; R3 = H, lower alkyl, (un)substituted aryl; R4 = H, lower alkyl, acyl; A = (CH2)l, CR5:CR6; R5, R6 = H, lower alkyl (un)substituted phenyl; l = 0, 1, 2; except I in which AR = (CH2)lC6H4X , CH:CHC6H4X] (II) or their salts, their prepns. by treatment of RACOZ (Z = OH, reactive deriv. of carboxylic acid) with R4NH(CH2)nNR1CHR2R3 or their salts or by alkylation or acylation of I (R4 = H) or their salts, and cholinesterase inhibitors contg. II or their salts, useful as cerebral function enhancing agents for treatment of senile dementia, Alzheimer disease, Huntington's chorea, etc., are claimed. A DMF soln. of 0.8 g PhCH2NEt(CH2)5NH2, prepd. by reductive decompn. of 2-[5-(N-benzyl-N-ethylamino)pentyl]-1H-isoindole-1,3-(2H)-dione hydrochloride by H2NNH2.H2O, 0.85 g 3,4-dihydro-6,7-dimethoxynaphthalene-2-carboxylic acid, and Et3N was treated with di-Et cyanophosphonate at 0.degree. for 1 h to give 1.5 g II (AR = 6,7-dimethoxy-3,4-dihydro-2-naphthyl, R1 = Et, R2 = Ph, R3 = R4 = H). A compn. contg. similarly prepd. I (AR = 3,4-dihydro-6,7-dimethoxy-2-naphthyl, R1 = Et, R2 = 2-MeOC6H4, R3 = R4 = H) (III) 1, lactose 197, corn starch 50, and Mg stearate was made into 2000 tablets. III inhibited acetylcholinesterate in S1 fraction from rat cerebral cortex homogenate at IC50 of 0.24 .mu.M, vs. 0.22 .mu.M for physostigmine.
IT **131334-22-0P 131334-28-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cholinesterase inhibitor for enhancement of cerebral function)
RN 131334-22-0 CAPLUS
CN 2-Propenamide, N-[5-[ethyl(phenylmethyl)amino]pentyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)



RN 131334-28-6 CAPLUS
CN 2-Propenamide, N-acetyl-N-[5-[ethyl(phenylmethyl)amino]pentyl]-3-(3-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

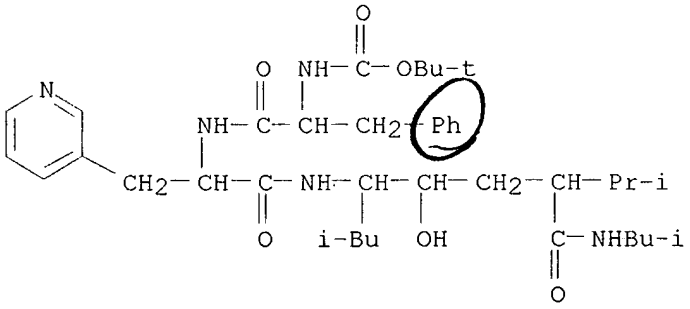


● HCl

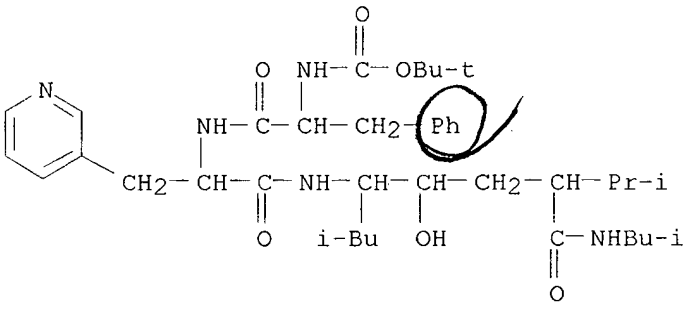
L31 ANSWER 115 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1990:572752 CAPLUS
DN 113:172752
TI Preparation of renin-inhibiting peptides containing N-alkylhistidine
IN Hester, Jackson B., Jr.; Thaisrivongs, Suvit; Sawyer, Tomi K.; TenBrink, Ruth E.; Saneii, Hossain H.; Schostarez, Heinrich J.; Pals, Donald T.
PA Upjohn Co., USA
SO U.S., 57 pp. Cont.-in-part of U.S. Ser. No. 753,198, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4880781	A	19891114	US 1988-147073	19880120
PRAI	US 1984-638023		19840806		
	US 1984-638024		19840806		
	US 1984-638025		19840806		
	US 1984-638026		19840806		
	US 1984-638027		19840806		
	US 1984-638041		19840806		
	US 1984-663023		19841019		
	US 1984-663024		19841019		
	US 1984-663026		19841019		
	US 1984-663027		19841019		
	US 1984-663028		19841019		
	US 1984-663093		19841019		
	US 1985-693320		19850122		
	US 1985-753198		19850709		

OS MARPAT 113:172752
AB X-A6-B7-C8-D9-E10-F11-G12-H13-I14-Z [I; X = H, alkyl, alkoxy, acetyl, etc.; A6, C8 = null, substituted OCHMeCO, NHCH2CO; B7 = null, heterocyclylidenecarbonyl; D9 = substituted NHCHMeCO; E10, F11, G12, H13, I14 = substituted iminoalkylenecarbonyl; Z = (substituted) alkoxy, amino, etc.] were prepd. H2NCH(CH2Q)(CH2)2CHQCO-Ile-Phe-OMe (Q = Me2CH) was condensed with protected histidine in CH2Cl2 contg. 1-hydroxybenzotriazole and 1-ethyl-3-[3-(dimethylamino)propyl]carbodiimide-HCl to give, after deprotection and treatment with PhOCH2CO2H, PhOCH2CO-His-NHCH(CH2Q)(CH2)2CHQCO-Ile-Phe-OMe. Preparative schemes are included. Methods for screening of I for renin-inhibiting activity and enzyme stability in vitro and in vivo using rats and monkeys are described.
IT **128122-43-0P 128163-51-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as renin inhibitor)
RN 128122-43-0 CAPLUS
CN L-Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[2-hydroxy-5-methyl-1-(2-methylpropyl)-4-[[(2-methylpropyl)amino]carbonyl]hexyl]-3-(3-pyridinyl)-, [1S-(1R*,2R*,4R*)]- (9CI) (CA INDEX NAME)



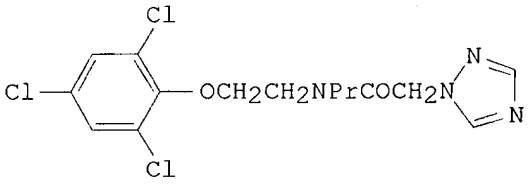
RN 128163-51-9 CAPLUS
CN D-Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[2-hydroxy-5-methyl-1-(2-methylpropyl)-4-[[(2-methylpropyl)amino]carbonyl]hexyl]-3-(3-pyridinyl)-, [1S-(1R*,2R*,4R*)]- (9CI) (CA INDEX NAME)



L31 ANSWER 116 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1990:423924 CAPLUS
DN 113:23924
TI Preparation of substituted heteroaralkyl, heteroaralkenyl or halomethyl fungicides
IN Spatz, David M.
PA Chevron Research Co., USA
SO U.S., 12 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4892952	A	19900109	US 1982-443009	19821119

OS MARPAT 113:23924
GI

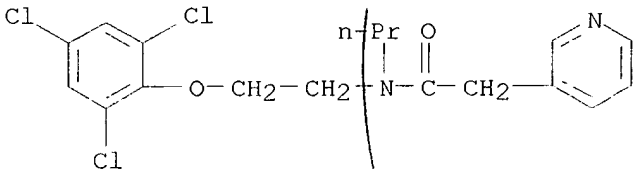


I

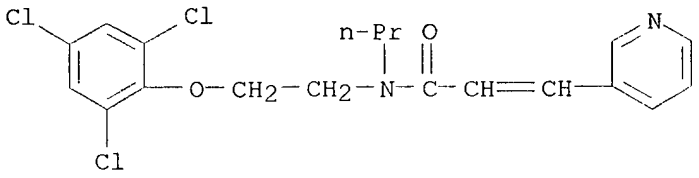
AB Title compds. RXCH2CH2NR1C(:Z)Y [R = (substituted Ph; R1 = alkyl; Y = N-heterocyclylalkenyl, CH2W; W = F, Cl, Br, iodo, N-heterocyclyl; X, Z = S, O] were prepd. and used as plant fungicides. To a hot soln. of K2CO3 and 1,2,4-triazole in MeCN was added 2,4,6-Cl3C6H2OCH2CH2NPrCOCH2Br (prepn. given), and the system was heated to reflux and maintained 18 h to give the triazole I. I at 625 ppm on 10- to 14-day-old rice seedlings showed 91% and 97% fungicidal activity against tomato early blight and bean powdery mildew, resp.

IT **99914-35-9P 127657-28-7P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as fungicide)

RN 99914-35-9 CAPLUS
CN 3-Pyridineacetamide, N-propyl-N-[2-(2,4,6-trichlorophenoxy)ethyl]- (9CI) (CA INDEX NAME)



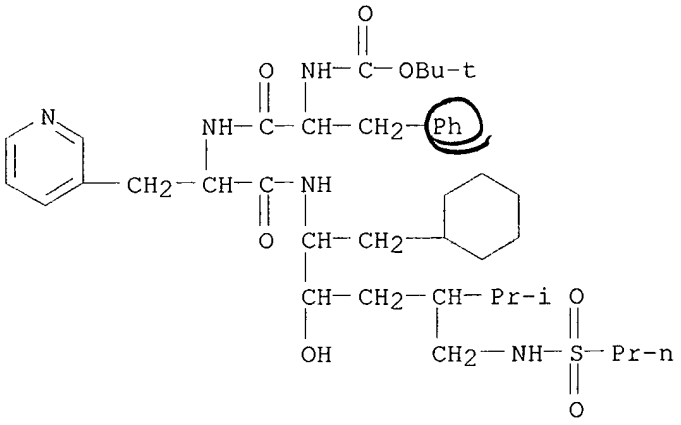
RN 127657-28-7 CAPLUS
CN 2-Propenamide, N-propyl-3-(3-pyridinyl)-N-[2-(2,4,6-trichlorophenoxy)ethyl]- (9CI) (CA INDEX NAME)



L31 ANSWER 117 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1990:199134 CAPLUS
DN 112:199134
TI Preparation and formulation of peptide amides and peptide ureas as renin inhibitors
IN Raddatz, Peter; Schmitges, Claus J.; Minck, Klaus Otto
PA Merck Patent G.m.b.H., Fed. Rep. Ger.
SO Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 339483	A2	19891102	EP 1989-107097	19890420
	EP 339483	A3	19900919		
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
	DE 3814325	A1	19891109	DE 1988-3814325	19880428
	AU 8933292	A1	19891102	AU 1989-33292	19890421
	AU 618340	B2	19911219		
	JP 02011548	A2	19900116	JP 1989-107909	19890428
	ZA 8903199	A	19900131	ZA 1989-3199	19890428
	HU 53663	A2	19901128	HU 1989-2043	19890428
	HU 208427	B	19931028		
PRAI	DE 1988-3814325		19880428		

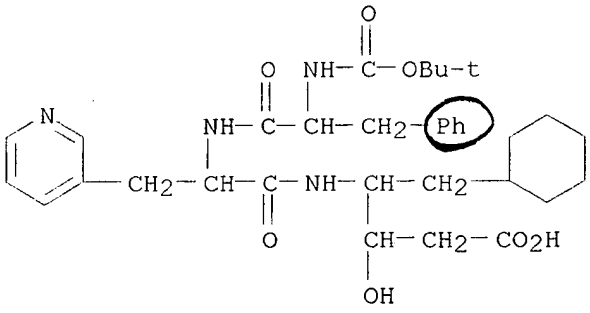
OS CASREACT 112:199134; MARPAT 112:199134
AB R1ZNR2CHR3CR4CH2CR5R6Y [R1 = H, R7OCmH2mCO, R7CmH2mO2C, R7SO2, R8R9NCmH2mCO, etc.; R2,R5,R6,R8,R9 = H, A; R4 = (H,OH), (H,NH2), O; Y = CN, CH2NR12R13, CH2NR12SO2R14, etc.; R3,R7,R14 = H, A, aryl, aralkyl, heterocyclyl(alkyl), (un)substituted (bi-, tri)cycloalkylalkyl; A = C1-8 alkyl; Z = 1-4 amino acid chain; m = 0-10] and their pharmaceutically acceptable salts, useful for prophylaxis and treatment of hypertension, heart failure, and hyperaldosteronism, (no data) were prepd. A mixt. of N-[2S-isopropyl-4S-hydroxy-5S-[4-BOC-aminopiperidinocarbonyl-Phe-[1-(2,4-dinitrophenyl)-His]-amino]-6-cyclohexyl-hexyl]propanesulfonamide (BOC = tert-butoxycarbonyl) (multistep prepn. described) was deprotected with 2-mercaptoethanol and Na2CO3 in H2O/DMF at 20.degree. and pH 8 to give N-[2S-isopropyl-4S-hydroxy-5S-(4-BOC-aminopiperidinocarbonyl-Phe-His-amino)-6-cyclohexyl]propanesulfonamide (I). A lyophilized injection contg. 50 mg I.2HCl was prepd.
IT **126471-17-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as renin inhibitor)
RN 126471-17-8 CAPLUS
CN Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-5-methyl-4-[[(propylsulfonyl)amino]methyl]hexyl]-3-(3-pyridinyl)-, [1S-(1R*,2R*,4R*)]- (9CI) (CA INDEX NAME)



L31 ANSWER 118 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1990:56710 CAPLUS
DN 112:56710
TI Preparation of peptide-renin inhibitors
IN Jonczyk, Alfred; Raddatz, Peter; Hoelzemann, Guenter; Sombroek, Johannes; Schmitges, Claus J.; Minck, Klaus Otto; Gante, Joachim
PA Merck Patent G.m.b.H., Fed. Rep. Ger.
SO Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 327877	A2	19890816	EP 1989-101174	19890124
	EP 327877	A3	19910814		
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
	DE 3803584	A1	19890817	DE 1988-3803584	19880206
	DE 3819263	A1	19891214	DE 1988-3819263	19880607
PRAI	DE 1988-3803584		19880206		
	DE 1988-3819263		19880607		

OS CASREACT 112:56710
AB R1ZMNR2CHR3CR4(CHR5)nCOEQY An- [I; R1 = R6, R6O(CH2)nCO, R6(CH2)mO2C, 9-fluorenyl-(CH2)nO2C, etc.; R2, R5 = H, A; R3, R6 = H, A, aralkyl, heteroaryl, heteroarylalkyl, (substituted) cycloalkyl, cycloalkylalkyl, bicycloalkyl, tricycloalkyl; R4 = (H, OH), (H, NH2), O; R8 = alkyl, aralkyl; Z = 0-3 amino acid residues chosen from Abu, Ada, Ala, .beta.-Ala, Arg, Asn, Bia, Cal, Dab, Gln, Glu, Gly, His, Ile, Leu, Lysk, Met, .alpha.-Nal, .beta.-Nal, Mbg, Nle, Orn, Phe, Pia, Pro, Pya, Ser, Thr, Trc, Trp, Tyr, Val, etc.; Abu = 2-aminobutyryl; Ada : 3-(1-adamantyl)alanyl; Bia = 3-(2-benzimidazolyl)alanyl; Cal = 3-cyclohexylalanyl; Dab = 2,4-diaminobutyryl; .alpha.-Nal = 3-(.alpha.-naphthyl)alanyl; .beta.-Nal = 3-(.beta.-naphthyl)alanyl; Nbg = 2-norbornylglycyl; Pia = 3-piperidylalanyl; Pya = 3-pyridylalanyl, Tic = tetrahydroisoquinoline-1-carbonyl; M = .beta.-Ala, Gly, Pia, Pya, His, R8N+; A = substituted C3-7 cycloalkyl, etc.; E = 0-2 amino acid residues chosen from Abu, Ala, Gal, His, Ile, Leu, Met, Nle, Nua, Phe, Trp, Tyr, Val; Q = O, NH; Y = (substituted) (arylene-contg.) alkylene; An- = (optional) anion; n = 1,2; m = 0-5] useful for treating renin-dependent hypertension and hyperaldosteronism (no data), were prepd. Thus, BOC-Ile-N-2-dimethylaminoethylamide (BOC = Me3CO2C) was permethylated with MeI, deprotected with HCl/dioxane, and coupled with BOC-Phe-His(DNP)-Gly-AHCP-OH (DNP = 2,4-O2NC6H3, ACHP = 4-amino-3-hydroxy-5-cyclohexylpentanoyl) and the coupling product was deprotected with HSCH2CH2OH/NaHCO3/H2O/DMF to give N-2-(BOC-Phe-His-Gly-AHCP-Ile-amino)ethyl-N,N,N trimethylammonium acetate.
IT **117049-92-0**
RL: RCT (Reactant)
(hydrogenation of, in prepn. of renin inhibitor)
RN 117049-92-0 CAPLUS
CN L-threo-Pentonic acid, 5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-3-(3-pyridinyl)-L-alanyl]amino]- (9CI) (CA INDEX NAME)

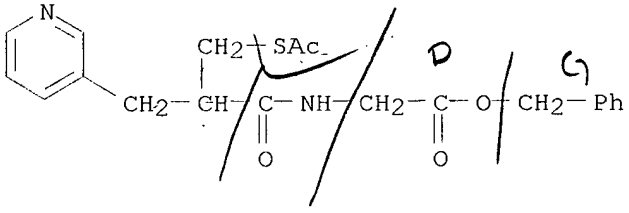


L31 ANSWER 119 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1989:632590 CAPLUS
DN 111:232590
TI Heterocyclic mercaptopropanamide derivatives as oral analgesics
IN Mimura, Tetsutaro; Nakamura, Yukihisa; Nishino, Junko; Sawayama, Tadahiro; Sasagawa, Takashi; Deguchi, Takashi; Nakamura, Hideo
PA Dainippon Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01149763	A2	19890612	JP 1987-310708	19871207

AB R1CH2CH(CH2R2)CONHCH2R3 [R1 = SH, groups forming SH in organs; R2 (un)substituted pyridyl, (N-substituted) morpholinyl, C6H4NR4R5, (CH2)nNR4R5; R3 = CO2H, groups forming CO2H in organs; R4, R5 = H, lower alkyl; R4R5 may form a ring; n = 1, 2] and their salts are prepd. Thus, condensation of 25 g isonicotinaldehyde and 36.8 g di-Et malonate gave 57.5 g di-Et 4-pyridinylmethylenemalonate, which was hydrogenated over Pd/C, hydrolyzed, and then treated with HCHO and Me2NH to give 15 g 2-(4-pyridinylmethyl)acrylic acid (I). Then, 15 g I and 10 g AcSH were stirred at 50.degree. for 15 min to give 5.5 g 2-acetylthiomethyl-3-(4-pyridinyl)propionic acid, 1.5 g of which was treated with 2.1 g glycine benzyl ester p-toluenesulfonate in presence of Et3N and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide-HCl at 0.degree. for 0.5 h and at room temp. for 2 h to give 3.5 g benzyl [2-acetylthiomethyl-3-(4-pyridinyl)propionyl]aminoacetate (II). II showed 80.8% analgesic activity in writhing test at 200 mg/kg p.o. in rats.

IT **123829-60-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as oral analgesic)
RN 123829-60-7 CAPLUS
CN Glycine, N-[3-(acetylthio)-1-oxo-2-(3-pyridinylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



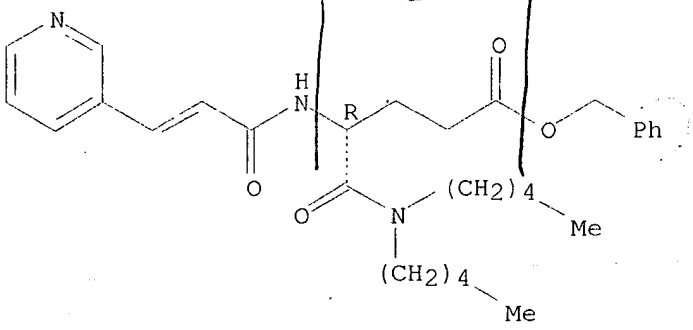
L31 ANSWER 120 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1989:534747 CAPLUS
DN 111:134747
TI Preparation and testing of heterocyclylcarbonylglutamides and -aspartamides as cholecystokinin antagonists
IN Nadzan, Alex M.; Lin, Chun Wei; Kerwin, James F., Jr.
PA Abbott Laboratories, USA
SO Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 308885	A1	19890329	EP 1988-115462	19880921
	R: ES, GR				
	US 4971978	A	19901120	US 1988-234525	19880822
	WO 8902431	A1	19890323	WO 1988-US3181	19880921
	W: JP				
	RW: BE, CH, DE, FR, GB, IT, NL, SE				
	US 5128346	A	19920707	US 1990-571945	19900823
PRAI	US 1987-99866		19870921		
	US 1988-234525		19880822		

OS MARPAT 111:134747
AB ArX1X2NR3 CH[(CH2)nR4] CONR1R2 [I; R1, R2 = H, C1-8 alkyl, cycloalkyl, alkenyl, cyanoalkyl, adamantyl, carbamoylalkyl, etc.; R2R2N = morpholino, pyrrolidinyl, piperazinyl, piperidino, etc.; R3 = H, alkyl, cycloalkyl, alkenyl, (substituted) arylalkyl, heterocyclylalkyl; R4 = tetrazolyl, acyl; Ar = heterocyclyl; X1 = (CH2)n, OCH2, SCH2, NH, (substituted) alkenyl; X2 = CO, CS, SO2; m = 0-4; n = 1-3], useful as cholecystokinin (CCK) antagonists, were prepd. H-Glu(OBZl)-N[(CH2)2Me]2.HCl (prepn. given) and N-methylmorpholine in DMF at 0.degree. were treated successively with indole-2-carboxylic acid, 1-hydroxybenzotriazole, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide. The mixt. was allowed to warm to room temp. and stirred overnight and the product was debenzylated with Pd/C/cyclohexadiene to give N-(2'-indolylcarbonyl)-L-glutamine di-N-pentylamide. I inhibit specific [125I]-Bolton-Hunter CCK-8 pancreatic receptor binding with IC50's of 5.4-820 nm.
IT **122667-88-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as cholecystokinin antagonist)
RN 122667-88-3 CAPLUS
CN Pentanoic acid, 5-(dipentylamino)-5-oxo-4-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]-, phenylmethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

D



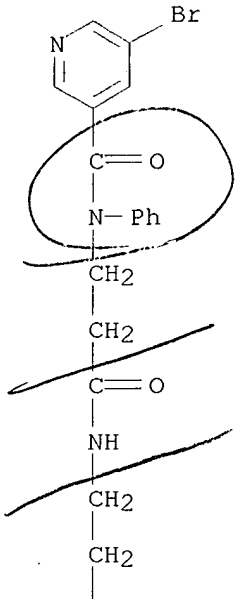
L31 ANSWER 121 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1989:533992 CAPLUS
DN 111:133992
TI Pyridinium derivatives and their production, pharmaceutical compositions, and use as antagonists of platelet activating factor
IN Tsushima, Susumu; Takatani, Muneo; Nishikawa, Kohei
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 164 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 301751	A1	19890201	EP 1988-306622	19880720
	EP 301751	B1	19930310		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 86614	E	19930315	AT 1988-306622	19880720
	ZA 8805304	A	19900328	ZA 1988-5304	19880721
	IL 87189	A1	19960723	IL 1988-87189	19880722
	JP 02076854	A2	19900316	JP 1988-186494	19880725
	JP 2756975	B2	19980525		
	US 4962113	A	19901009	US 1988-224352	19880726
	AU 8820101	A1	19890209	AU 1988-20101	19880727
	AU 613653	B2	19910808		
	DK 8804214	A	19890201	DK 1988-4214	19880728
	CA 1339645	A1	19980127	CA 1988-573439	19880729
	KR 125929	B1	19971226	KR 1988-9705	19880730
PRAI	JP 1987-193479	A	19870731		
	JP 1988-138908	A	19880606		
	EP 1988-306622	A	19880720		

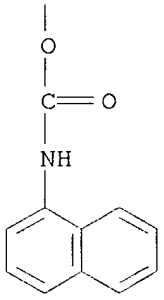
OS MARPAT 111:133992
GI For diagram(s), see printed CA Issue.
AB Title compds. I [R1 = alkyl, aralkyl; R7, R10 = H, alkyl, aryl, aralkyl; l = 0, 1; R5 = (un)substituted C6H4 or alkylene; R11 = alkyl, aryl; X = CH2OCH2, (CHR6)m; R6 = H, alkyl, alkoxy; m = 0-3; U = OCO, NR4CO, NR4SO2; R4 = H, alkyl, aryl, aralkyl; Y, Z = divalent chain contg. 1-6 of O, NR, CO, S, and SO2, with .gtoreq.1 member being O or NR; R = H, alkyl, acyl, aryl; pyridine ring is optionally substituted; W- = counter anion; R may form ring with another R, R4, or R11] are prepd. as antagonists of platelet activating factor (PAF). N-[2-(1,2,3,4-Tetrahydroisoquinolyl)carbonyloxyethyl]-3-anilinopropanamide (prepd. in 4 steps) was condensed with 5-chloronicotinic acid chloride hydrochloride to give 63.0% of corresponding nicotinamide, which underwent quaternization by PrI and anion exchange on a resin to give 75.6% chloro-N,N-{[[[[(tetrahydroisoquinolyl)carbonyloxy]ethyl]carbamoyl]ethyl]{phenyl}carbamoyl(propyl)pyridinium chloride II. At 3 mg/kg orally in rats, 1 h prior to dosing with 1 .mu.g/kg i.v. of PAF, II gave 93% inhibition of PAF-induced hypotension.
IT **121494-17-5P 121494-41-5P 121494-45-9P**
121494-76-6P 121494-78-8P 121494-82-4P
121494-87-9P 121495-25-8P 121495-26-9P
121496-56-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in platelet activating factor-antagonizing pyridinium salts)
RN 121494-17-5 CAPLUS
CN Carbamic acid, 1-naphthalenyl-, 2-[[3-[[(5-bromo-3-

pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

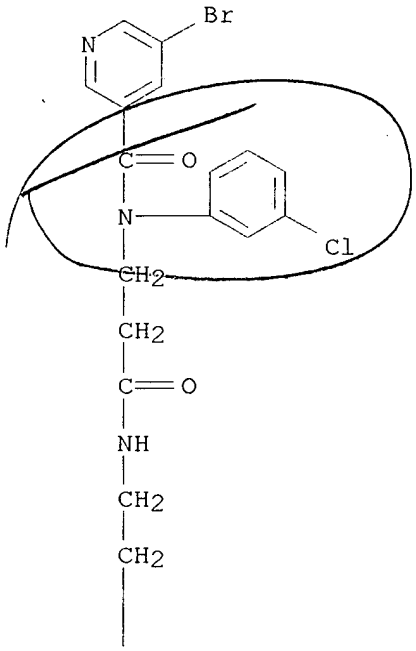


PAGE 2-A

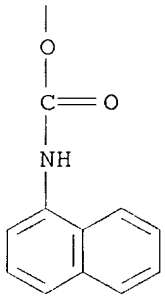


RN 121494-41-5 CAPLUS
CN Carbamic acid, 1-naphthalenyl-, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl](3-chlorophenyl)amino]-1-oxopropyl]amino]ethyl ester (9CI) (CA INDEX NAME)

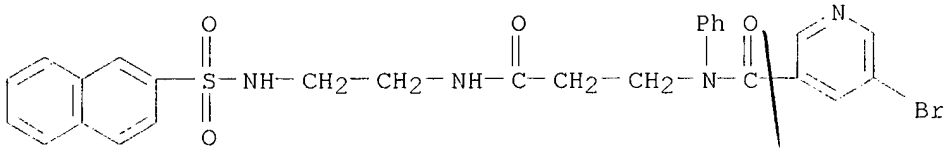
PAGE 1-A



PAGE 2-A



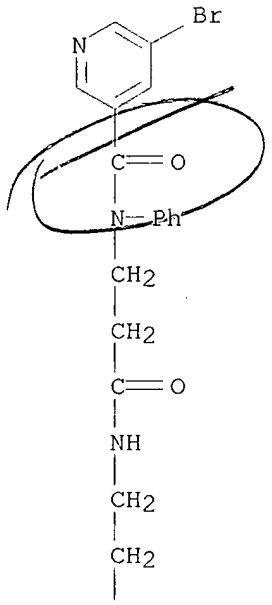
RN 121494-45-9 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[3-[[2-[(2-naphthalenylsulfonyl)amino]ethyl]amino]-3-oxopropyl]-N-phenyl- (9CI) (CA INDEX NAME)



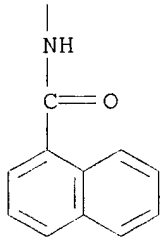
RN 121494-76-6 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[3-[[2-[(1-naphthalenylcarbonyl)amino]eth

yl]amino]-3-oxopropyl]-N-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A

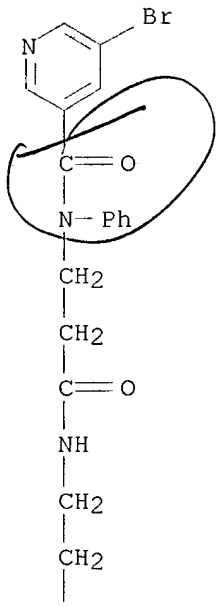


PAGE 2-A

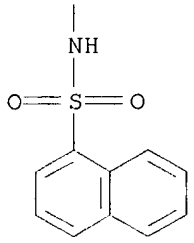


RN 121494-78-8 CAPLUS
CN 3-Pyridinecarboxamide, 5-bromo-N-[3-[[2-[(1-naphthalenylsulfonyl)amino]eth
yl]amino]-3-oxopropyl]-N-phenyl- (9CI) (CA INDEX NAME)

PAGE 1-A

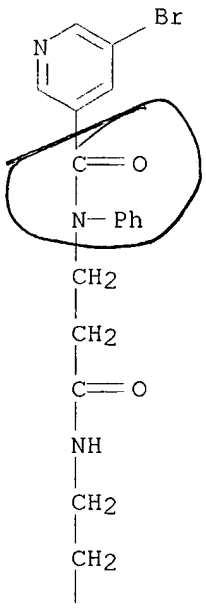


PAGE 2-A



RN 121494-82-4 CAPLUS
CN .beta.-Alaninamide, N-[(5-bromo-3-pyridinyl)carbonyl]-N-phenyl-.beta.-alanyl-N-1-naphthalenyl- (9CI) (CA INDEX NAME)

PAGE 1-A



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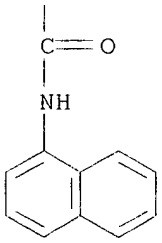
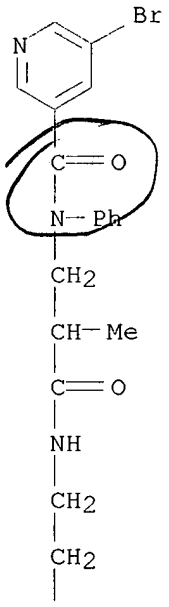


RN 121494-87-9 CAPLUS
CN Carbamic acid, 1-naphthalenyl-, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-2-methyl-1-oxopropyl]amino]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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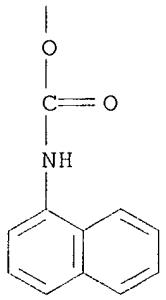
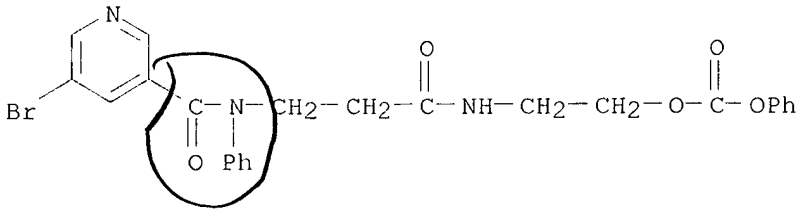
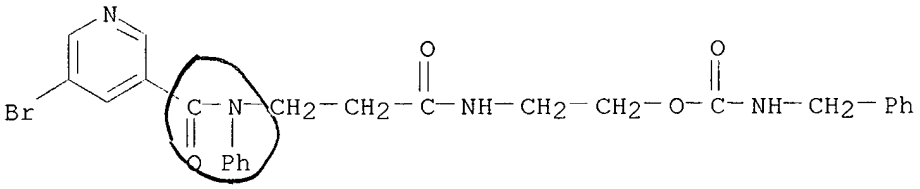


RN 121495-25-8 CAPLUS
CN Carbonic acid, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl phenyl ester (9CI) (CA INDEX NAME)

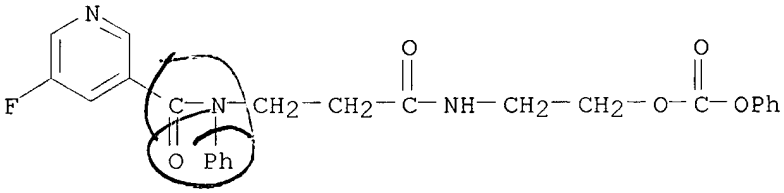


RN 121495-26-9 CAPLUS

CN Carbamic acid, (phenylmethyl)-, 2-[[3-[[(5-bromo-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl ester (9CI) (CA INDEX NAME)



RN 121496-56-8 CAPLUS
CN Carbonic acid, 2-[[3-[[(5-fluoro-3-pyridinyl)carbonyl]phenylamino]-1-oxopropyl]amino]ethyl phenyl ester (9CI) (CA INDEX NAME)



IT **121447-89-0P 121494-18-6P 121494-46-0P**
121494-77-7P 121494-79-9P 121494-83-5P
121494-88-0P 121495-27-0P 121495-28-1P
121495-29-2P 121495-30-5P 121495-31-6P
121495-32-7P 121495-33-8P 121495-35-0P
121496-03-5P 121496-23-9P 121496-35-3P
121496-36-4P 121496-58-0P 121520-66-9P
121520-69-2P 121520-72-7P 121520-73-8P
121520-74-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as platelet activating factor antagonist)

RN 121447-89-0 CAPLUS
CN Pyridinium, 3-bromo-5-[[[3-[[2-[[[(butylphenyl)amino]carbonyl]oxy]ethyl]amino]-3-oxopropyl]phenylamino]carbonyl]-1-propyl-, chloride (9CI) (CA INDEX NAME)

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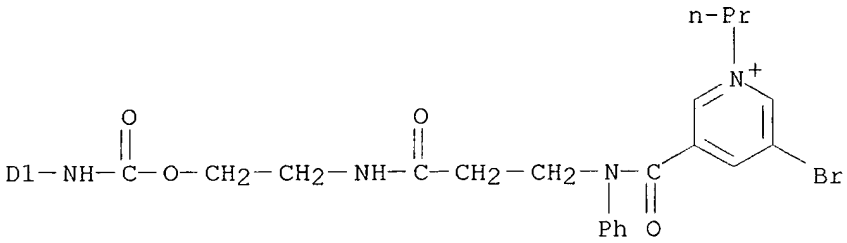
D1—Bu-n



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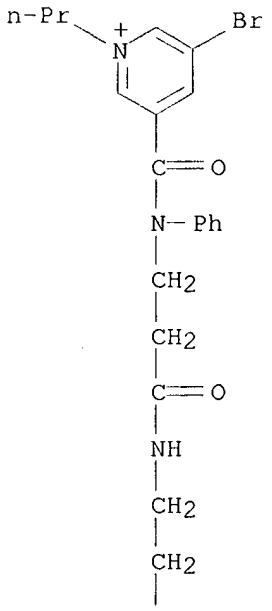
● Cl^-

RN 121494-18-6 CAPLUS
CN Pyridinium, 3-bromo-5-[[[3-[[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl]amino]-3-oxopropyl]phenylamino]carbonyl]-1-propyl-, chloride (9CI) (CA INDEX NAME)

PAGE 1-A



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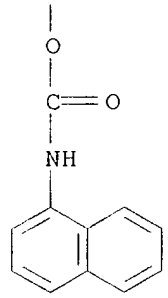
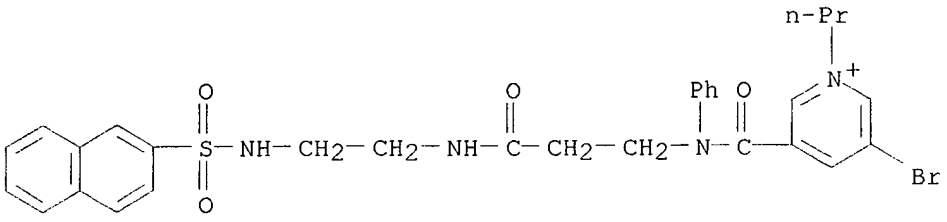


● Cl^-

RN 121494-46-0 CAPLUS
CN Pyridinium, 3-bromo-5-[[[3-[[2-[(2-naphthalenylsulfonyl)amino]ethyl]amino]-3-oxopropyl]phenylamino]carbonyl]-1-propyl-, chloride (9CI) (CA INDEX NAME)



RN 121494-77-7 CAPLUS
CN Pyridinium, 3-bromo-5-[[[3-[[2-[(1-naphthalenylcarbonyl)amino]ethyl]amino]-3-oxopropyl]phenylamino]carbonyl]-1-propyl-, chloride (9CI) (CA INDEX NAME)

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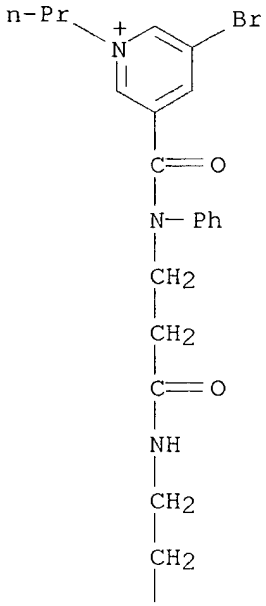

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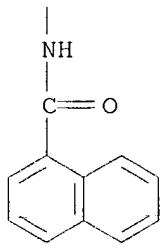

● Cl^-

RN 121494-79-9 CAPLUS
CN Pyridinium, 3-bromo-5-[[[3-[[2-[(1-naphthalenylsulfonyl)amino]ethyl]amino]-3-oxopropyl]phenylamino]carbonyl]-1-propyl-, chloride (9CI) (CA INDEX NAME)

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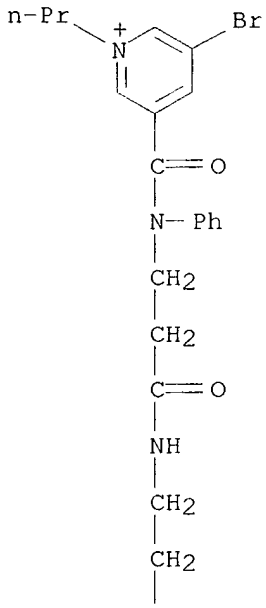

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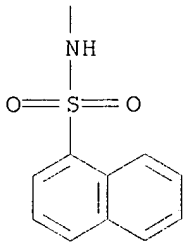

● Cl^-

RN 121494-83-5 CAPLUS
CN .beta.-Alaninamide, N-[(5-bromo-1-propylpyridinium-3-yl)carbonyl]-N-phenyl-.beta.-alanyl-N-1-naphthalenyl-, chloride (9CI) (CA INDEX NAME)

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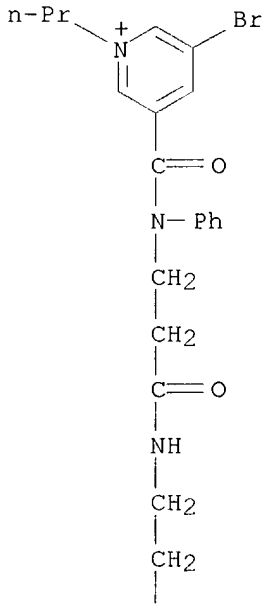

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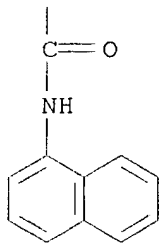

● Cl⁻

RN 121494-88-0 CAPLUS
CN Pyridinium, 3-bromo-5-[[[2-methyl-3-[[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl]amino]-3-oxopropyl]phenylamino]carbonyl]-1-propyl-, chloride (9CI) (CA INDEX NAME)

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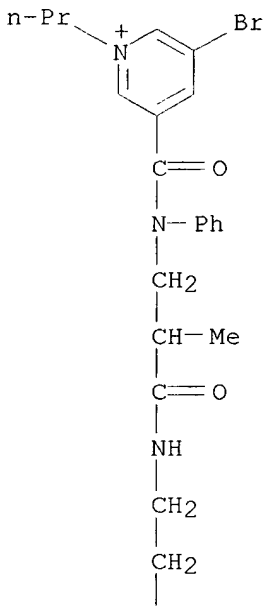

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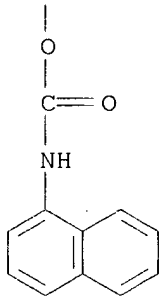
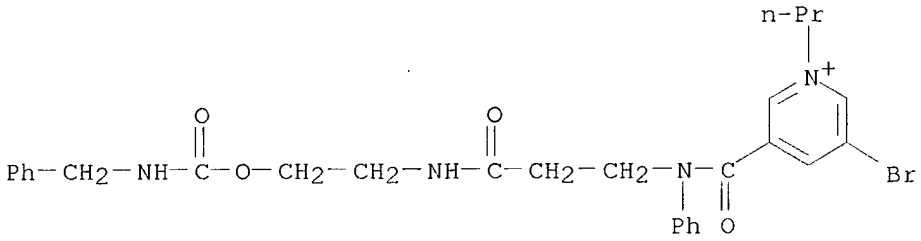


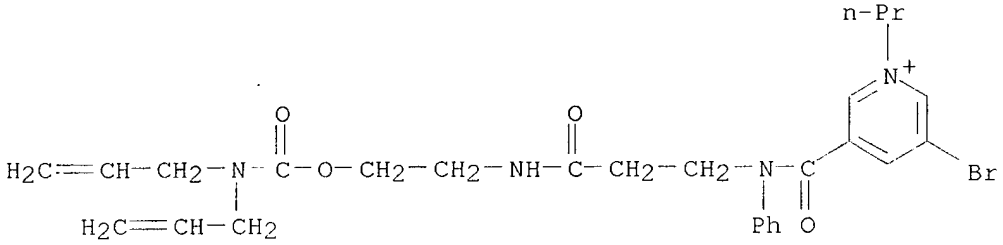
● Cl^-

RN 121495-27-0 CAPLUS
CN Pyridinium, 3-bromo-1-propyl-5-(1,5,10-trioxo-2,12-diphenyl-9-oxa-2,6,11-triazadodec-1-yl)-, chloride (9CI) (CA INDEX NAME)



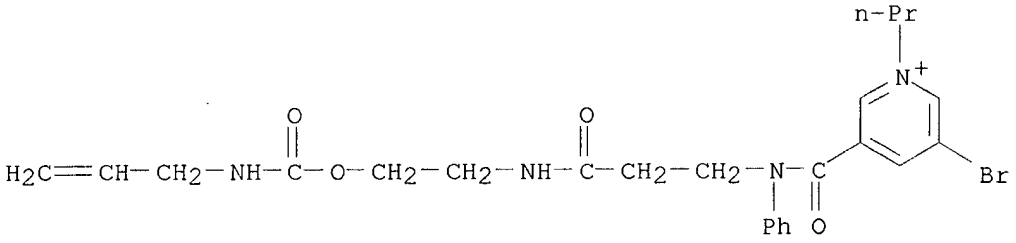
● Cl^-

RN 121495-28-1 CAPLUS
CN Pyridinium, 3-bromo-1-propyl-5-[1,5,10-trioxo-2-phenyl-11-(2-propenyl)-9-oxa-2,6,11-triazatetradec-13-en-1-yl]-, chloride (9CI) (CA INDEX NAME)



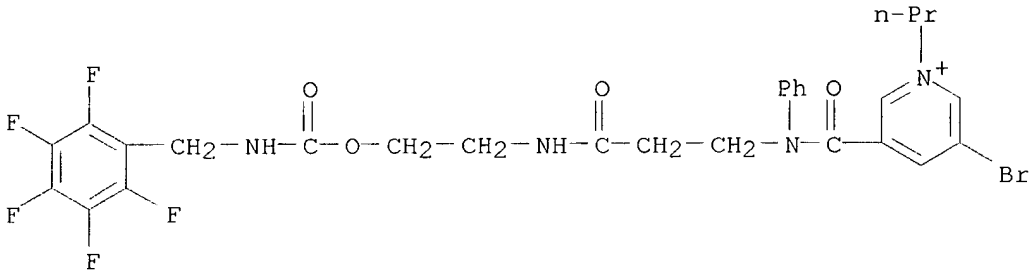
Cl^-

RN 121495-29-2 CAPLUS
CN Pyridinium, 3-bromo-1-propyl-5-(1,5,10-trioxo-2-phenyl-9-oxa-2,6,11-triazatetradec-13-en-1-yl)-, chloride (9CI) (CA INDEX NAME)



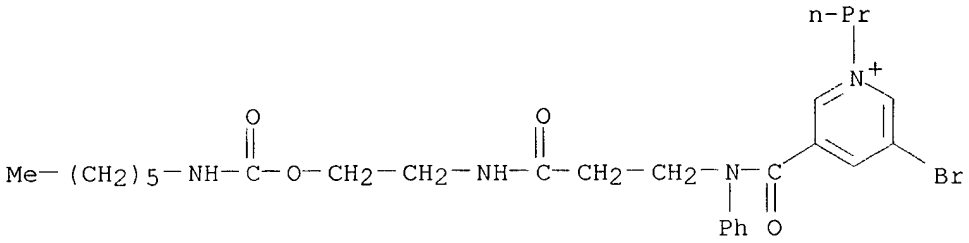
● Cl^-

RN 121495-30-5 CAPLUS
CN Pyridinium, 3-bromo-1-propyl-5-[1,5,10-trioxo-12-(pentafluorophenyl)-2-phenyl-9-oxa-2,6,11-triazadodec-1-yl]-, chloride (9CI) (CA INDEX NAME)



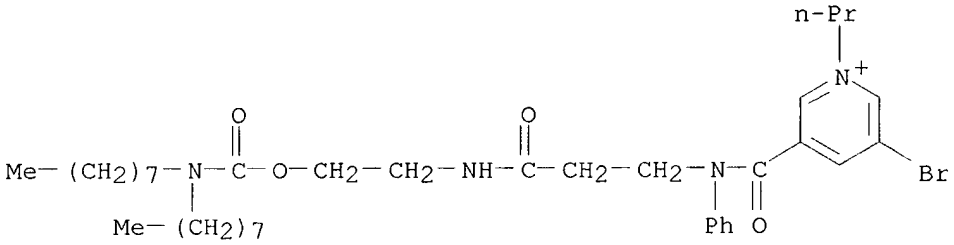
● Cl^-

RN 121495-31-6 CAPLUS
CN Pyridinium, 3-bromo-1-propyl-5-(1,5,10-trioxo-2-phenyl-9-oxa-2,6,11-triazaheptadec-1-yl)-, chloride (9CI) (CA INDEX NAME)



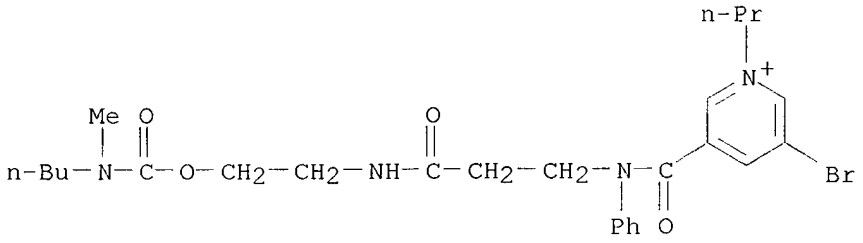
● Cl^-

RN 121495-32-7 CAPLUS
CN Pyridinium, 3-bromo-5-(11-octyl-1,5,10-trioxo-2-phenyl-9-oxa-2,6,11-triazanonadec-1-yl)-1-propyl-, chloride (9CI) (CA INDEX NAME)



● Cl^-

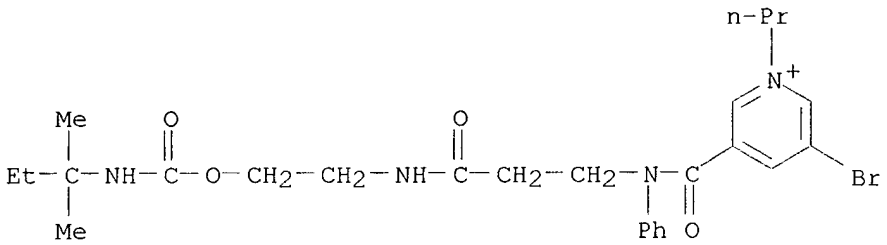
RN 121495-33-8 CAPLUS
CN Pyridinium, 3-bromo-5-(11-methyl-1,5,10-trioxo-2-phenyl-9-oxa-2,6,11-triazapentadec-1-yl)-1-propyl-, chloride (9CI) (CA INDEX NAME)



● Cl^-

RN 121495-35-0 CAPLUS
CN Pyridinium, 3-bromo-5-(12,12-dimethyl-1,5,10-trioxo-2-phenyl-9-oxa-2,6,11-

triazatetradec-1-yl)-1-propyl-, chloride (9CI) (CA INDEX NAME)



● Cl^-

RN 121496-03-5 CAPLUS
CN Pyridinium, 3-bromo-5-[[[3-[[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl]amino]-3-oxopropyl]phenylamino]carbonyl]-1-propyl-, iodide (9CI) (CA INDEX NAME)

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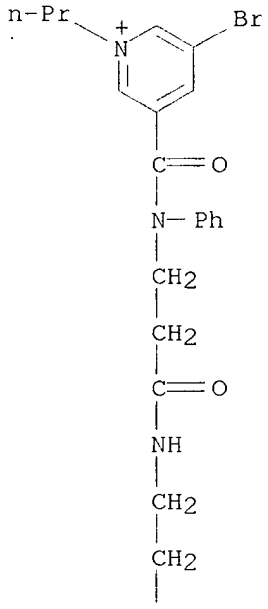

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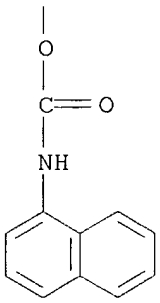
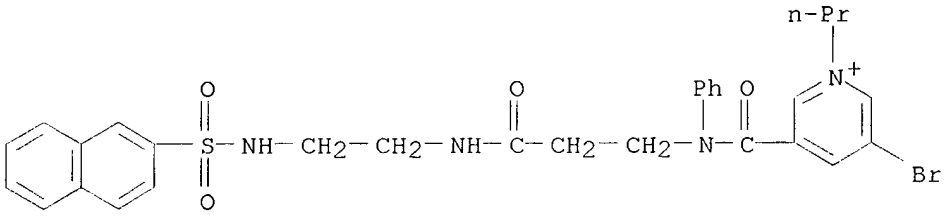


● I^-

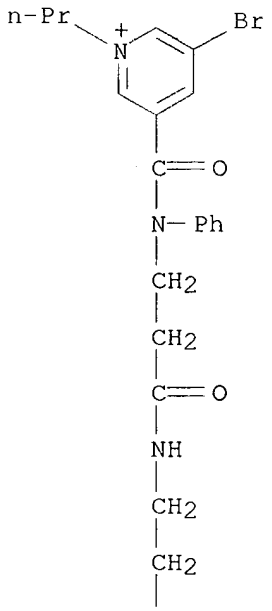
RN 121496-23-9 CAPLUS
CN Pyridinium, 3-bromo-5-[[[3-[[2-[(2-naphthalenylsulfonyl)amino]ethyl]amino]-3-oxopropyl]phenylamino]carbonyl]-1-propyl-, iodide (9CI) (CA INDEX NAME)



● I^-

RN 121496-35-3 CAPLUS
CN Pyridinium, 3-bromo-5-[[[3-[[2-[(1-naphthalenylcarbonyl)amino]ethyl]amino]-3-oxopropyl]phenylamino]carbonyl]-1-propyl-, iodide (9CI) (CA INDEX NAME)

PAGE 1-A



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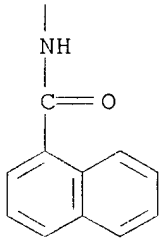

● I^-

RN 121496-36-4 CAPLUS
CN .beta.-Alaninamide, N-[(5-bromo-1-propylpyridinium-3-yl)carbonyl]-N-phenyl-.beta.-alanyl-N-1-naphthalenyl-, iodide (9CI) (CA INDEX NAME)

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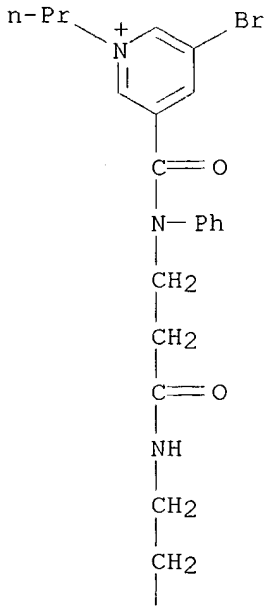

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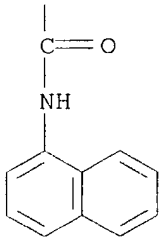
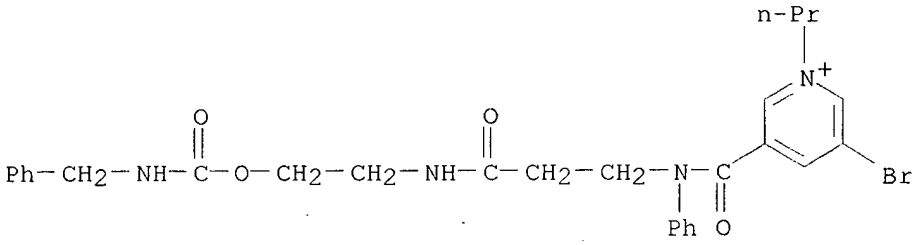


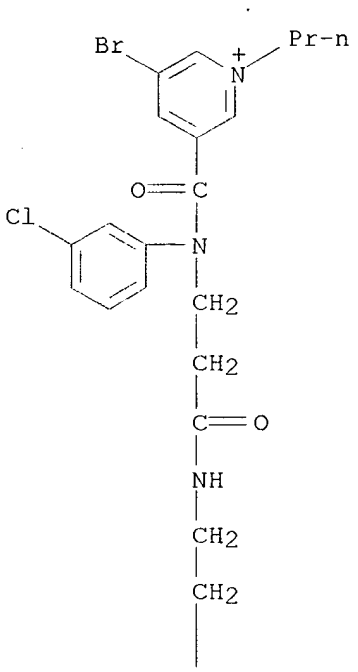
● I^-

RN 121496-58-0 CAPLUS
CN Pyridinium, 3-bromo-1-propyl-5-(1,5,10-trioxo-2,12-diphenyl-9-oxa-2,6,11-triazadodec-1-yl)-, iodide (9CI) (CA INDEX NAME)

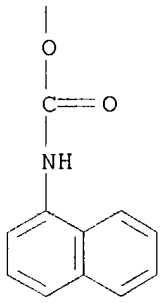


RN 121520-66-9 CAPLUS
CN Pyridinium, 3-bromo-5-[[(3-chlorophenyl)[3-[[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl]amino]-3-oxopropyl]amino]carbonyl]-1-propyl-, chloride (9CI) (CA INDEX NAME)

PAGE 1-A



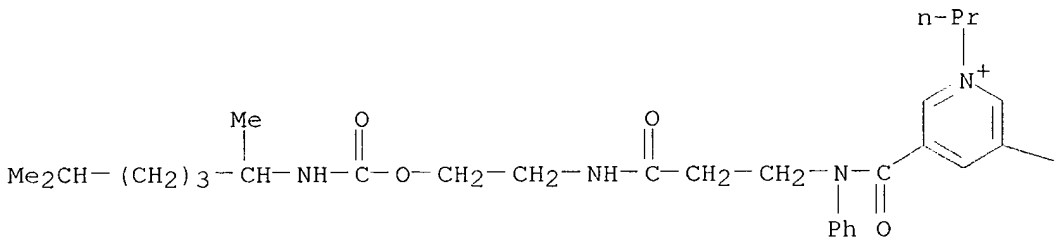
PAGE 2-A



● Cl⁻

RN 121520-69-2 CAPLUS
CN Pyridinium, 3-bromo-5-(12,16-dimethyl-1,5,10-trioxo-2-phenyl-9-oxa-2,6,11-triazaheptadec-1-yl)-1-propyl-, chloride (9CI) (CA INDEX NAME)

PAGE 1-A



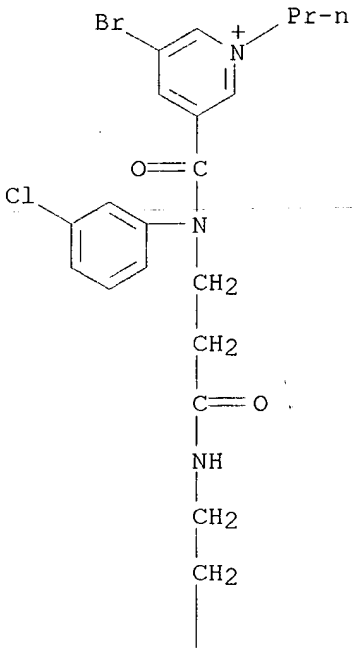
● Cl⁻

PAGE 1-B

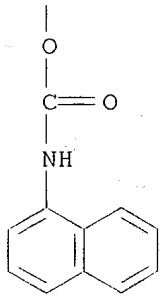
⁻Br

RN 121520-72-7 CAPLUS
CN Pyridinium, 3-bromo-5-[[(3-chlorophenyl)[3-[[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl]amino]-3-oxopropyl]amino]carbonyl]-1-propyl-, iodide (9CI) (CA INDEX NAME)

PAGE 1-A



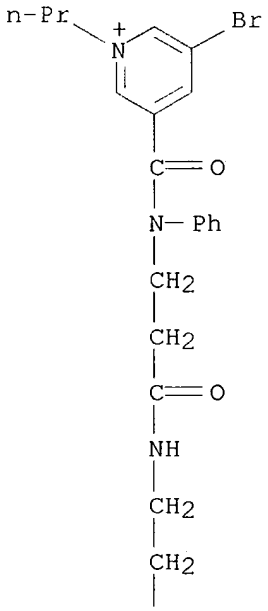
PAGE 2-A



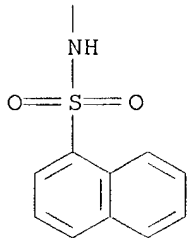
● I^-

RN 121520-73-8 CAPLUS
CN Pyridinium, 3-bromo-5-[[[3-[[2-[(1-naphthalenylsulfonyl)amino]ethyl]amino]-3-oxopropyl]phenylamino]carbonyl]-1-propyl-, iodide (9CI) (CA INDEX NAME)

PAGE 1-A

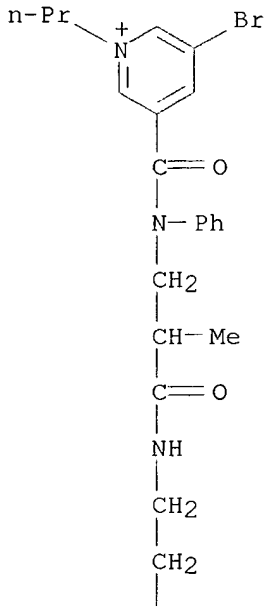


PAGE 2-A

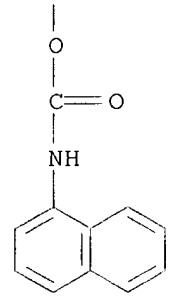


RN 121520-74-9 CAPLUS
CN Pyridinium, 3-bromo-5-[[[2-methyl-3-[[2-[[(1-naphthalenylamino)carbonyl]oxy]ethyl]amino]-3-oxopropyl]phenylamino]carbonyl]-1-propyl-, iodide (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

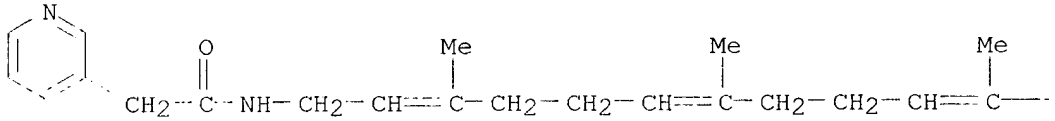


L31 ANSWER 122 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1989:231930 CAPLUS
DN 110:231930
TI Preparation of isoprenoid amines as ulcer inhibitors and pharmaceutical compositions containing them
IN Matsumoto, Saichi; Doteuchi, Masami; Mizui, Takuji; Hirai, Kentaro
PA Shionogi and Co., Ltd., Japan
SO Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 194901	A2	19860917	EP 1986-301950	19860317
	EP 194901	A3	19871216		
	R: CH, DE, FR, IT, LI, NL				
	CA 1280414	A1	19910219	CA 1986-503618	19860307
	US 4900749	A	19900213	US 1986-837192	19860310
	JP 62000052	A2	19870106	JP 1986-57771	19860314
	GB 2172286	A1	19860917	GB 1986-6556	19860317
	GB 2172286	B2	19880713		
	US 4977170	A	19901211	US 1989-346898	19890503
PRAI	JP 1985-52970		19850315		
	US 1986-837192		19860310		

OS CASREACT 110:231930
AB H(CH2CMe:CHCH2)nNHC(X)R [I; n = 1-6 integer; X = S, O; R = H, (substituted) alkyl, alkenyl, aryl, etc.] and their salts, useful as antiulcer agents, are prepd., e.g., via amidation of RCO2H or its reactive deriv. with H(CH2CMe:CHCH2)nNH2. H(CH2CMe:CHCH2)4NH2 was refluxed with Me2NCH(OMe)2 in THF for 3 h to give, after treatment with aq. Na2CO3, H(CH2CMe:CHCH2)4NHCHO (II). A tablet was formulated conntg. II 10, lactose 100, microcryst. cellulose 30, gelatin 5, and Mg stearate 5 mg. In an in vivo study using rats, the effectiveness of I in inhibiting ulcer caused by HCl was comparable to that of geranylgeranylacetone.
IT **120876-88-2P 120876-92-8P 120877-64-7P**
120902-25-2P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as ulcer inhibitor)
RN 120876-88-2 CAPLUS
CN 3-Pyridineacetamide, N-(3,7,11,15-tetramethyl-2,6,10,14-hexadecatetraenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

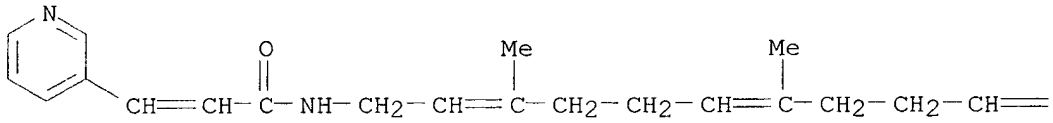


PAGE 1-B

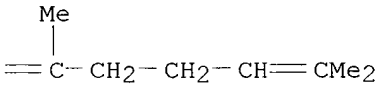
—CH2—CH2—CH═CMe2

RN 120876-92-8 CAPLUS
CN 2-Propenamide, 3-(3-pyridinyl)-N-(3,7,11,15-tetramethyl-2,6,10,14-hexadecatetraenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



RN 120877-64-7 CAPLUS
CN .gamma.-Cyclodextrin, compd. with N-(3,7,11,15-tetramethyl-2,6,10,14-hexadecatetraenyl)-3-pyridineacetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 120876-88-2
CMF C27 H40 N2 O

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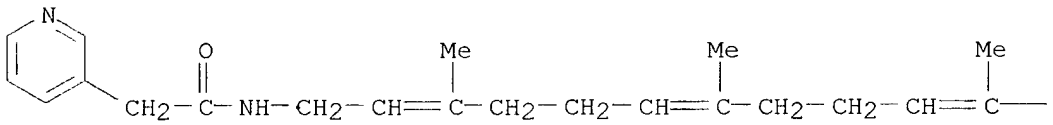
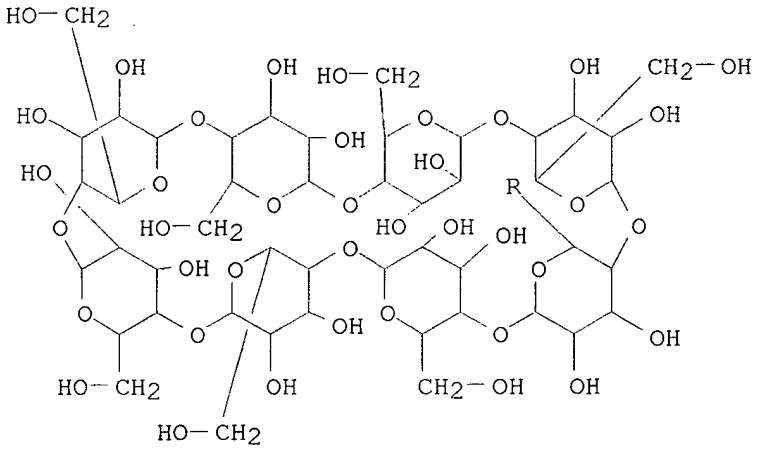


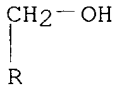
PAGE 1-B

—CH2—CH2—CH═CMe2

CM 2

CRN 17465-86-0
CMF C48 H80 O40
CDES 6:GAMMA-CYCLODEXTRIN



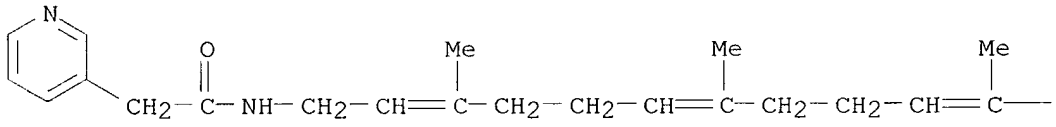


RN 120902-25-2 CAPLUS
CN .beta.-Cyclodextrin, compd. with N-(3,7,11,15-tetramethyl-2,6,10,14-hexadecatetraenyl)-3-pyridineacetamide (1:1) (9CI) (CA INDEX NAME)

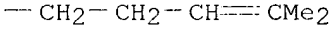
CM 1

CRN 120876-88-2
CMF C27 H40 N2 O

PAGE 1-A



PAGE 1-B

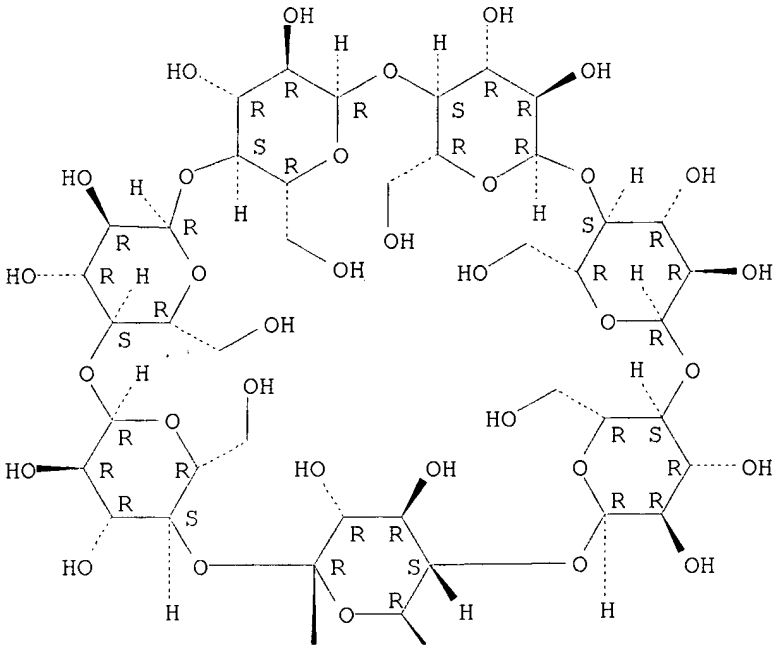


CM 2

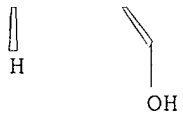
CRN 7585-39-9
CMF C42 H70 O35
CDES 6:B-CYCLODEXTRIN

Absolute stereochemistry.

PAGE 1-A



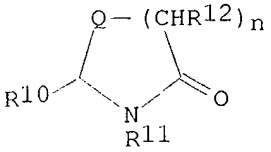
PAGE 2-A



L31 ANSWER 123 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1989:76076 CAPLUS
DN 110:76076
TI Preparation of renin-inhibiting peptides
IN Hoelzemann, Guenter; Raddatz, Peter; Schmitges, Claus J.; Minck, Klaus Otto; Jonczyk, Alfred; Sombroek, Johannes; Gante, Joachim
PA Merck Patent G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 15 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3640535	A1	19880601	DE 1986-3640535	19861127
	EP 272444	A2	19880629	EP 1987-116856	19871114
	EP 272444	A3	19901227		
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
	AU 8781840	A1	19880602	AU 1987-81840	19871125
	AU 605210	B2	19910110		
	HU 48276	A2	19890529	HU 1987-5319	19871126
	HU 200475	B	19900628		
	JP 63150254	A2	19880622	JP 1987-297920	19871127
	ZA 8708945	A	19880727	ZA 1987-8945	19871127
	US 5215966	A	19930601	US 1987-126060	19871127
PRAI	DE 1986-3640535		19861127		

OS MARPAT 110:76076
GI

Q1= 

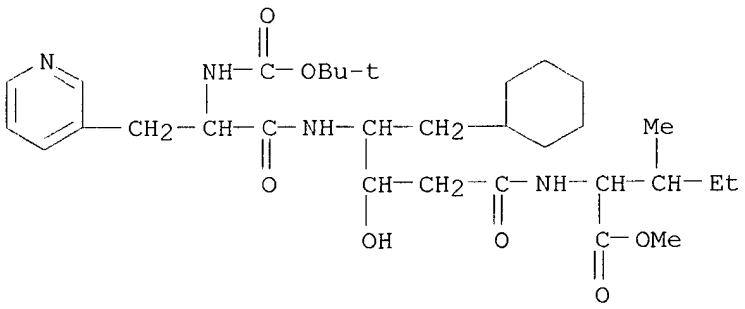
AB X-Z-NH-CHR1-CO-NR2-CHR3-CR4-(CHR5)n-CO-E-W-Y [I; X = H, acyl, (substituted)sulfonyl, 9-fluorenylalkoxycarbonyl; Z = 0-4 amino acid residues chosen from Abu, Ada, Ala, Arg, Asn, Asp, Bia, Cal, Dab, Gln, Glu, His, alkyl-His, Ile, Leu, tert-Leu, Lys, Met, .alpha.Nal, .beta.Nal, Nbg, Nle, Orn, Phe, Pro, Ser, Thr, Tic, Trp, Tyr, Val; (Abu = .alpha.-aminobutyryl, Ada = adamnantylalanyl, Bia = benzimidazolylalanyl, Cal = cyclohexylalanyl, Dab = 2,4-diaminobutyryl, .alpha.Nal = .alpha.-naphthylalanyl, .beta.Nal = .beta.-naphthylalanyl, Nbg = (2-norbornyl)glycyl, Tic = tetrahydroisoquinolinyl-1-carboxyl; E = 0-2 peptide residues chosen from Abu, Ala, Cal, His, Ile, Leu, Met, Nle, Phe, Trp, Tyr, Val; W = bond, NHCHR6CR7(CHR8)nCO; Y = Q(CH2)tR9, NA2; W-y = Q1; Q = O, NR13; D = O, S; R1 = pyridylmethyl, piperidylmethyl; R3, R6, R9, R10 = H, alkyl, aryl, aralkyl, heterocyclyl, (substituted) cycloalkyl, cycloalkylalkyl; R2, R5, R8, R11, R12, R13 = H, alkyl; R4, R7 = (H,OH) or (H,NH2) or O; n = 1, 2; t = 0-5] and their salts were prepd. for treatment of renin-dependent hypertension or hyperaldosteronism (no data). Boc-Phe-3-Pya-AHCP-Ile-DAMH-OMe (3-pya = 3-pyridylalanyl, AHCP = 4-amino-3-hydroxy-5-cyclohexylhexanoyl, DAMH = 3,4-diamino-6-methylheptanoyl) 500 g, prepd. by the soln. phase method, 100 g soy lecithin, and 1400 g cocoa butter were melted together and formed into

suppositories contg. 500 mg active ingredient.

IT **117049-88-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and reaction of, in prepn. of renin inhibitor)

RN 117049-88-4 CAPLUS

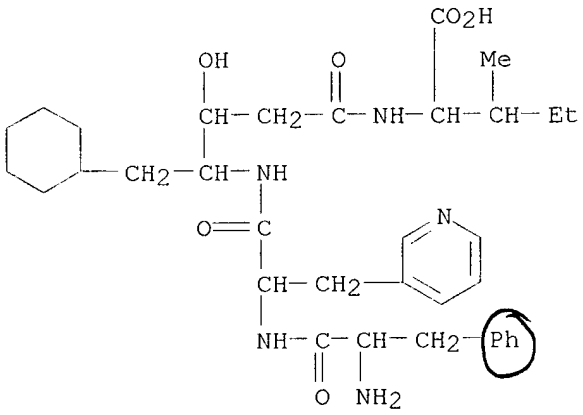
CN L-Isoleucine, N-[5-cyclohexyl-2,4,5-trideoxy-4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-(3-pyridinyl)propyl]amino]-L-threo-pentonoyl]-, methyl ester, (S)- (9CI) (CA INDEX NAME)



IT **117049-83-9P 117049-84-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as a renin inhibitor)

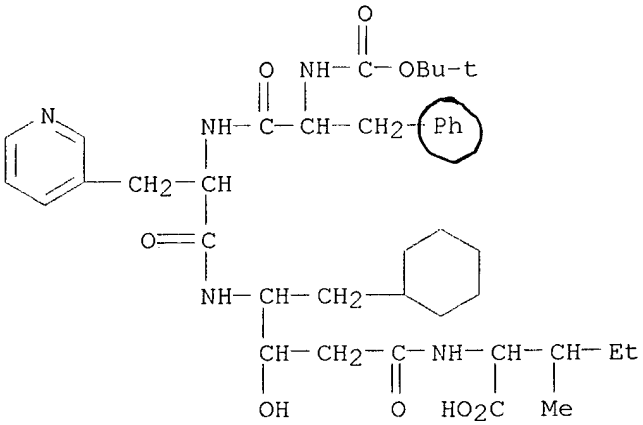
RN 117049-83-9 CAPLUS

CN L-Isoleucine, N-[5-cyclohexyl-2,4,5-trideoxy-4-[[N-L-phenylalanyl-3-(3-pyridinyl)-L-alanyl]amino]-L-threo-pentonoyl]- (9CI) (CA INDEX NAME)

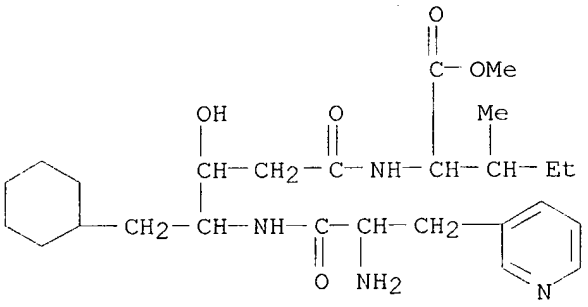


RN 117049-84-0 CAPLUS

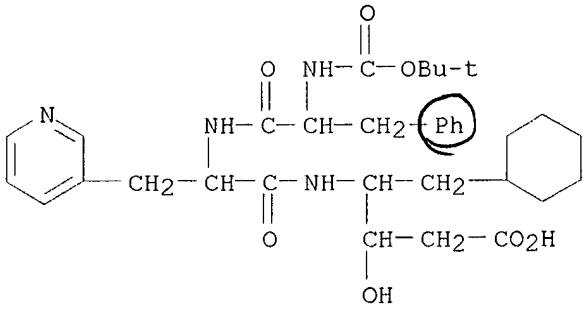
CN L-Isoleucine, N-[5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-3-(3-pyridinyl)-L-alanyl]amino]-L-threo-pentonoyl]- (9CI) (CA INDEX NAME)



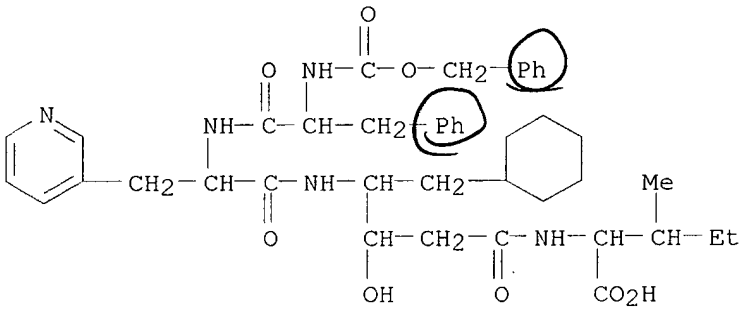
IT **117049-91-9 117049-92-0 117050-05-2**
117050-06-3 117050-07-4
RL: RCT (Reactant)
(reaction of, in prepn. of renin inhibitor)
RN 117049-91-9 CAPLUS
CN L-Isoleucine, N-[4-[[2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]-5-cyclohexyl-2,4,5-trideoxy-L-threo-pentonoyl]-, methyl ester, (S)- (9CI) (CA INDEX NAME)



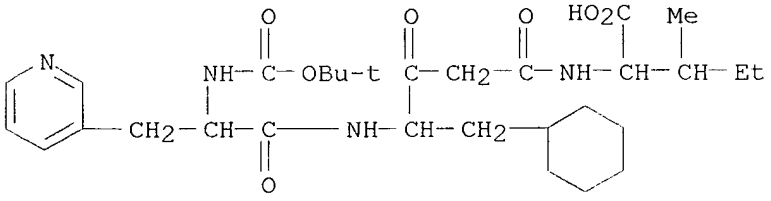
RN 117049-92-0 CAPLUS
CN L-threo-Pentonic acid, 5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-3-(3-pyridinyl)-L-alanyl]amino]- (9CI) (CA INDEX NAME)



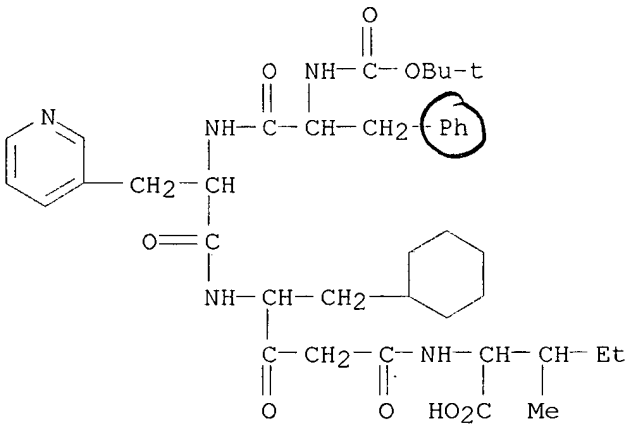
RN 117050-05-2 CAPLUS
CN L-Isoleucine, N-[5-cyclohexyl-2,4,5-trideoxy-4-[[N-[N-[(phenylmethoxy)carbonyl]-L-phenylalanyl]-3-(3-pyridinyl)-L-alanyl]amino]-L-threo-pentonoyl]- (9CI) (CA INDEX NAME)



RN 117050-06-3 CAPLUS
CN L-Isoleucine, N-[5-cyclohexyl-4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-(3-pyridinyl)propyl]amino]-1,3-dioxopentyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



RN 117050-07-4 CAPLUS
CN L-Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[4-[(1-carboxy-2-methylbutyl)amino]-1-(cyclohexylmethyl)-2,4-dioxobutyl]-3-(3-pyridinyl)-, [1R-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)



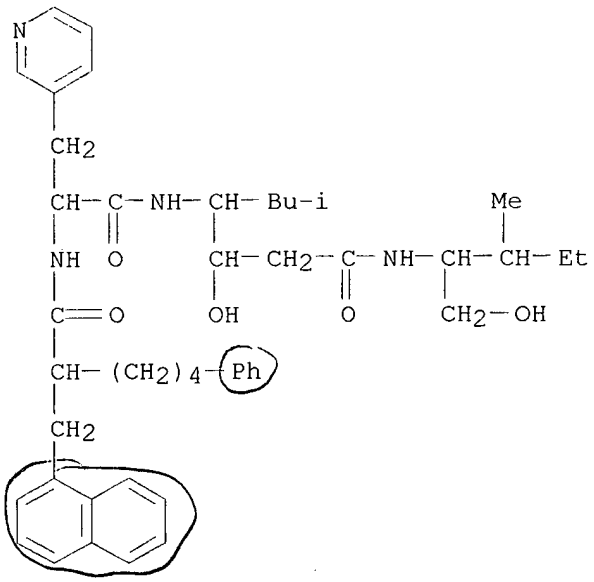
L21 ANSWER 124 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1988:132322 CAPLUS
DN 108:132322
TI Renin-inhibiting dipeptides and their use
IN Morisawa, Yasuhiro; Yabe, Yuichiro; Kataoka, Mitsuru; Iijima, Yasuteru; Kokubu, Tatsuo; Hiwada, Kunio
PA Sankyo Co., Ltd. , Japan
SO Eur. Pat. Appl., 166 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 186977	A2	19860709	EP 1985-308759	19851202
	EP 186977	A3	19870916		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	JP 61275257	A2	19861205	JP 1985-267947	19851128
	JP 05069097	B4	19930930		
	SU 1676454	A3	19910907	SU 1985-3987362	19851128
	DK 8505546	A	19860531	DK 1985-5546	19851129
	FI 8504747	A	19860531	FI 1985-4747	19851129
	HU 39463	A2	19860929	HU 1985-4584	19851129
	ES 549457	A1	19871201	ES 1985-549457	19851129
	NO 8504825	A	19860602	NO 1985-4825	19851202
	JP 61280459	A2	19861211	JP 1985-285317	19851218
	JP 06062531	B4	19940817		
	JP 61275258	A2	19861205	JP 1986-13908	19860127
	JP 05069098	B4	19930930		
PRAI	JP 1984-252878		19841130		
	JP 1984-268035		19841219		
	JP 1985-15177		19850129		

AB Peptides R1OmCHR2CONHCHR3CONHCH(CH2R4)CH(OH)CH2COR5 (m = 0, 1; R1, R2 = alkyl, arylalkyl, heteroarylalkyl, alkoxyalkyl, etc.; R3 = H, alkyl, substituted alkyl, alkenyl, haloalkenyl, alkynyl, cycloalkyl; R4 = CHMe2, cycloalkyl, Ph; R5 = OH, alkoxy, aryloxy, NH2, substituted amino), which were prepd., showed renin-inhibiting activity. A statine deriv. was coupled with a protected histidine azide, and the product was successively deprotected and acylated to give a [N-[bis(1-naphthylmethyl)acetyl]histidyl]statine deriv.

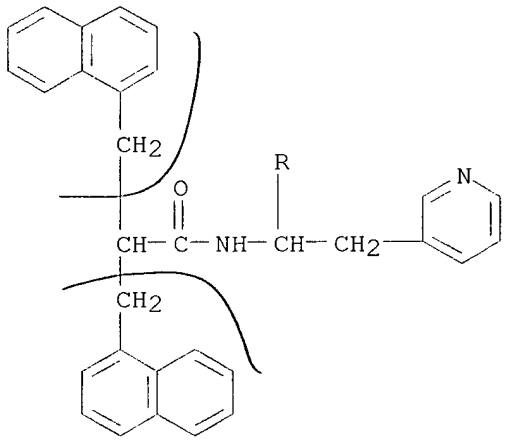
IT **104538-99-0P 104539-09-5P 104640-31-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as antihypertensive)

RN 104538-99-0 CAPLUS
CN 3-Pyridinepropanamide, N-[2-hydroxy-4-[[1-(hydroxymethyl)-2-methylbutyl]amino]-1-(2-methylpropyl)-4-oxobutyl]-.alpha.-[[2-(1-naphthalenylmethyl)-1-oxo-6-phenylhexyl]amino]- (9CI) (CA INDEX NAME)

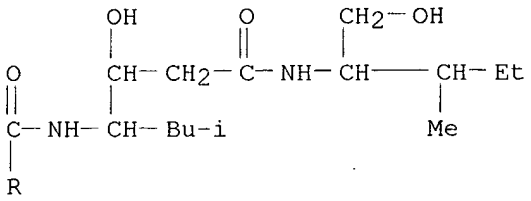


RN 104539-09-5 CAPLUS
CN 3-Pyridinepropanamide, N-[2-hydroxy-4-[[1-(hydroxymethyl)-2-methylbutyl]amino]-1-(2-methylpropyl)-4-oxobutyl]-.alpha.-[[3-(1-naphthalenyl)-2-(1-naphthalenylmethyl)-1-oxopropyl]amino]-, [1S-[1R*(S*),2R*,4(1R*,2R*)]]- (9CI) (CA INDEX NAME)

PAGE 1-A

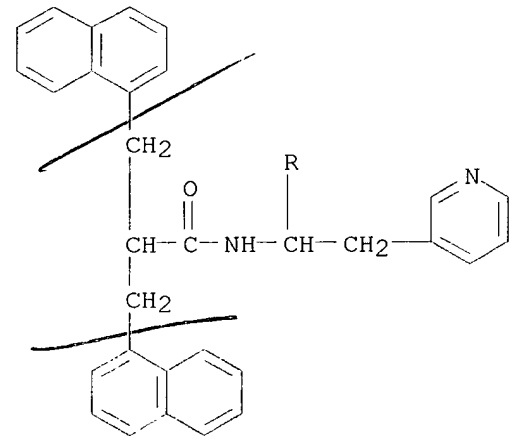


PAGE 2-A

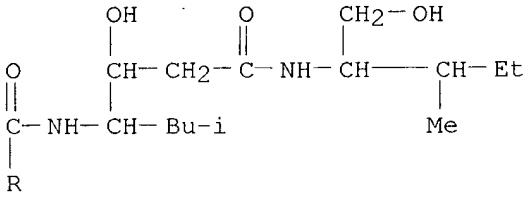


RN 104640-31-5 CAPLUS
CN 3-Pyridinepropanamide, N-[2-hydroxy-4-[[1-(hydroxymethyl)-2-methylbutyl]amino]-1-(2-methylpropyl)-4-oxobutyl]-.alpha.-[[3-(1-naphthalenyl)-2-(1-naphthalenylmethyl)-1-oxopropyl]amino]-, [1S-[1R*(R*),2R*,4(1R*,2R*)]]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L64 ANSWER 125 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1986:627342 CAPLUS
DN 105:227342
TI Pepstatin analogs
IN Wagnon, Jean le Hameau de la Rauze; Callet, Georges; Gagnol, Jean Pierre; Nisato, Dino; Cazaubon, Catherine
PA SANOFI, Fr.; Institut National de la Sante et de la Recherche Medicale (INSERM)
SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 192554	A1	19860827	EP 1986-400271	19860210
	EP 192554	B1	19920102		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	FR 2577225	A1	19860814	FR 1985-1981	19850212
	FR 2577225	B1	19870828		
	FR 2577226	A1	19860814	FR 1985-1982	19850212
	FR 2577226	B1	19900615		
	CA 1286846	A1	19910723	CA 1986-500927	19860203
	US 4725580	A	19880216	US 1986-826349	19860205
	US 4746648	A	19880524	US 1986-826375	19860205
	CA 1286847	A1	19910723	CA 1986-501163	19860205
	AU 8653272	A1	19860814	AU 1986-53272	19860206
	AU 606312	B2	19910207		
	AU 8653273	A1	19860821	AU 1986-53273	19860206
	AU 606572	B2	19910214		
	DK 8600640	A	19860813	DK 1986-640	19860210
	DK 8600641	A	19860813	DK 1986-641	19860210
	EP 193445	A1	19860903	EP 1986-400272	19860210
	EP 193445	B1	19900509		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	ZA 8600960	A	19861029	ZA 1986-960	19860210
	ZA 8600961	A	19861029	ZA 1986-961	19860210
	AT 52518	E	19900515	AT 1986-400272	19860210
	AT 71111	E	19920115	AT 1986-400271	19860210
	ES 551820	A1	19861216	ES 1986-551820	19860211
	ES 551821	A1	19870101	ES 1986-551821	19860211
	JP 61186397	A2	19860820	JP 1986-28747	19860212
	JP 61186398	A2	19860820	JP 1986-28748	19860212
PRAI	FR 1985-1981		19850212		
	FR 1985-1982		19850212		
	EP 1986-400271		19860210		
	EP 1986-400272		19860210		

OS CASREACT 105:227342
GI

R^1-NHCHR^2CO-NHCHR^3CO-NHCH(CH_2R^4)CH(OH)CH_2CO-X^1-X^2-R^5 I

AB Title peptides I (R^1 = alkanoyl, arylcarbonyl, carbalkoxy, etc.; R^2 = alkyl, phenylalkyl, naphthylalkyl, pyridylalkyl, etc.; R^3 = H, alkenyl, Ph, naphthyl, etc.; R^4 = $CHMe_2$, Ph, cyclohexyl; R^5 = OH, alkoxy, NH_2,

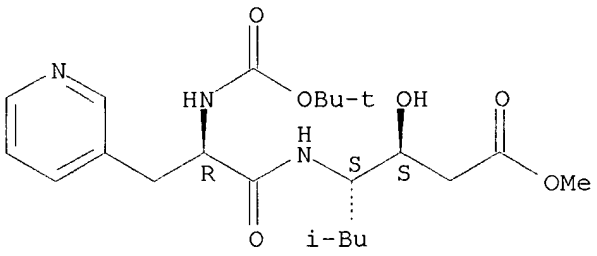
etc.; X1X2 = Ala-Sta, Ala-Leu, Leu-Phe, Val-Sta, etc.) (Sta = statine) were prepd., and they exhibited renin-inhibiting activity. Thus, BOC-Phe-Asp(CH2Ph)-Sta-Ala-Leu-OMe was prepd. by soln. method peptide synthesis.

IT **105381-96-2P 105454-26-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and peptide coupling of)

RN 105381-96-2 CAPLUS

CN Heptanoic acid, 4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-(3-pyridinyl)propyl]amino]-3-hydroxy-6-methyl-, methyl ester, [3S-[3R*,4R*(S*)]]- (9CI) (CA INDEX NAME)

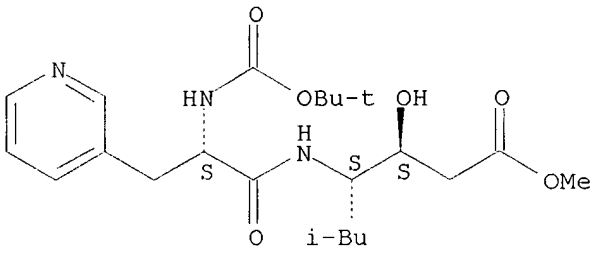
Absolute stereochemistry.



RN 105454-26-0 CAPLUS

CN Heptanoic acid, 4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-(3-pyridinyl)propyl]amino]-3-hydroxy-6-methyl-, methyl ester, [3S-[3R*,4R*(R*)]]- (9CI) (CA INDEX NAME)

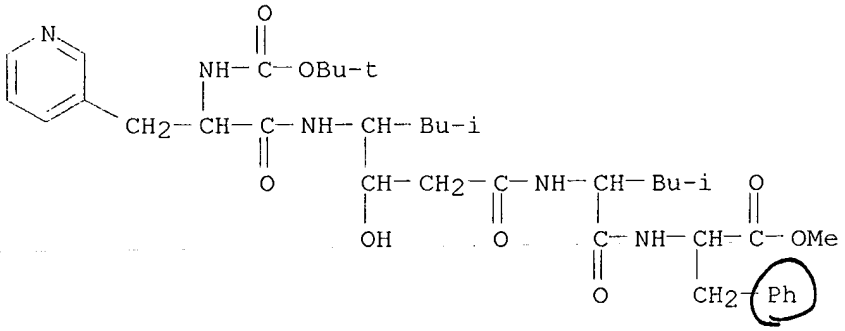
Absolute stereochemistry.



IT **105381-97-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and successive deprotection and peptide coupling of)

RN 105381-97-3 CAPLUS

CN L-Phenylalanine, N-[N-[4-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-(3-pyridinyl)propyl]amino]-3-hydroxy-6-methyl-1-oxoheptyl]-L-leucyl]-, methyl ester (9CI) (CA INDEX NAME)

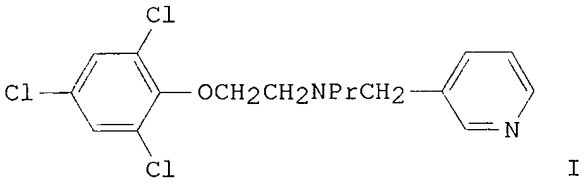


see 116 of 131

131 ANSWER 126 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1986:456344 CAPLUS
DN 105:56344
TI Fungicidal (trihalophenoxy or trihalophenthio)alkylaminoalkylpyridines and pyrroles
IN Spatz, David M.
PA Chevron Research Co. , USA
SO U.S., 17 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4588735	A	19860513	US 1983-470824	19830228

OS CASREACT 105:56344
GI

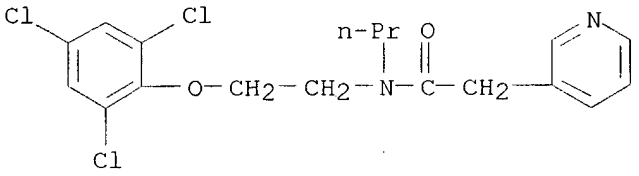


I

AB The title compds. RZCH2CH2NR1CH2R2 [R = (un)substituted Ph; R1 = alkyl, alkoxyalkyl; R2 = N-contg. heterocyclic radical; Z = O, S] are prepd. as fungicides. Thus, N-(n-propyl)-N-(3-pyridylcarbonyl)ethanolamine 2,4,6-trichlorophenyl ether (prepn. given) was refluxed with BH3.Me2S in THF, at 60.degree., followed by the addn. of MeOH and bubbling of HCl, to give I. I (250 ppm) totally controlled powdery mildew, caused by Crysiphe polygoni, on bean.

IT **99914-35-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of)

RN 99914-35-9 CAPLUS
CN 3-Pyridineacetamide, N-propyl-N-[2-(2,4,6-trichlorophenoxy)ethyl]- (9CI) (CA INDEX NAME)

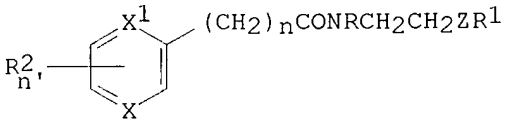


see 126 of 131

131 ANSWER 127 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1986:50794 CAPLUS
DN 104:50794
TI Carboxamide derivatives and their use as fungicides
IN Ten, Haken Pieter; Pettman, Roger Bruce
PA Shell Internationale Research Maatschappij B. V., Neth.
SO Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 152131	A2	19850821	EP 1985-200067	19850123
	EP 152131	A3	19850925		
	EP 152131	B1	19890823		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 45732	E	19890915	AT 1985-200067	19850123
PRAI	GB 1984-3726		19840213		
	EP 1985-200067		19850123		

GI

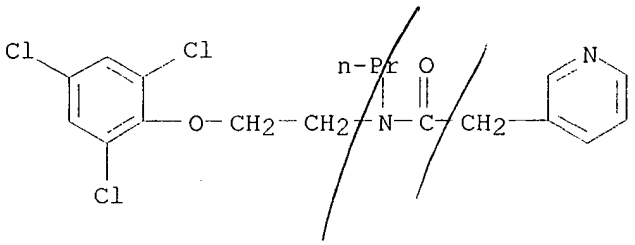


I

AB The title compds. I [R = substituted alkyl, aryl, aralkyl, cycloalkyl; R1 = substituted Ph; R2 = C1-4 alkyl or alkoxy; Z = O, S, SO, SO2; one of X and X1 = N, the other = N, CR3 (R3 = halo, C1-4 alkyl or alkoxy); n = 0 or 1; n' = 0-3], useful as agricultural fungicides, were prepd. by amidation of the appropriate aralkylcarboxylic acid or acid halide with an amine HNRCH2CH2ZR1 (R, R1 and Z as above) in presence of an inert solvent. Fungicidal compns. (no data) contain 0.5-95% I in a suitable carrier. Thus, nicotinic acid was refluxed with SOCl2, the soln. obtained was added dropwise at 0.degree. to a soln. of N-[2-(2,4,6-trichlorophenyl)ethyl]propylamine and Et3N in ether, the reaction mixt. was warmed to ambient temp., stirred for 2 h, and filtrated, and the residue triturated with cold petrol to give 60% N-nicotinoyl-N-[2-(2,4,6-trichlorophenoxy)ethyl]propylamine (I; R = Pr, R1 = 2,4,6-Cl3C6H2, Z = O, X = N, X1 = CH, n = n' = 0) (II). II at 1 kg/ha showed >80% activity against wheat leaf spot.

IT **99914-35-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and agricultural fungicidal activity of)

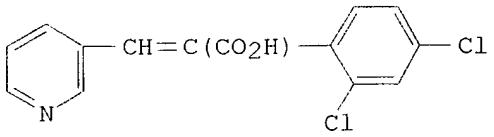
RN 99914-35-9 CAPLUS
CN 3-Pyridineacetamide, N-propyl-N-[2-(2,4,6-trichlorophenoxy)ethyl]- (9CI) (CA INDEX NAME)


Cl
Cl
Cl
O
CH2
CH2
n-Pr
N
C
O
CH2
N

L81 ANSWER 128 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1984:423356 CAPLUS
DN 101:23356
TI Fungicidally active compositions containing ethylene derivatives
IN Ten Haken, Pieter; Webb, Shirley Beatrice
PA Shell Internationale Research Maatschappij B. V., Neth.
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 104690	A2	19840404	EP 1983-201249	19830830
	EP 104690	A3	19850731		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	CA 1234388	A1	19880322	CA 1983-435095	19830822
	DK 8304402	A	19840328	DK 1983-4402	19830926
	DK 163703	B	19920330		
	DK 163703	C	19920907		
	FI 8303456	A	19840328	FI 1983-3456	19830926
	FI 79930	B	19891229		
	FI 79930	C	19900410		
	NO 8303450	A	19840328	NO 1983-3450	19830926
	NO 165221	B	19901008		
	NO 165221	C	19910116		
	AU 8319568	A1	19840405	AU 1983-19568	19830926
	AU 571458	B2	19880421		
	BR 8305265	A	19840502	BR 1983-5265	19830926
	JP 59078162	A2	19840504	JP 1983-176543	19830926
	JP 04046270	B4	19920729		
	ZA 8307141	A	19840530	ZA 1983-7141	19830926
	HU 32485	O	19840828	HU 1983-3333	19830926
	HU 194481	B	19880229		
	DD 213348	A5	19840912	DD 1983-255115	19830926
	ES 525941	A1	19850416	ES 1983-525941	19830926
	PL 136537	B1	19860228	PL 1983-243907	19830926
	CS 259863	B2	19881115	CS 1983-6982	19830926
	US 4600712	A	19860715	US 1985-785693	19851009
PRAI	GB 1982-27480		19820927		
	US 1983-535496		19830926		

GI



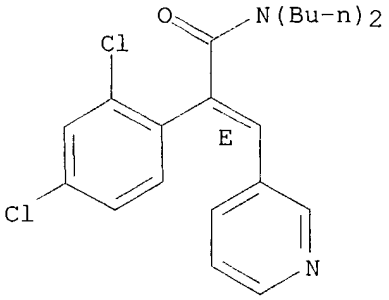
I

AB Heterocyclic ethylenes RR1C:CR2R3 and RR3C:CR1R2 [R = 6-membered N heterocycle; R1 = H, (un)substituted alkyl; R2 = heterocycle, (un)substituted Ph; R3 = cyano, COR4; R4 = OH, Cl, alkoxy, alkylthio, (un)substituted NH2] were prepd. Thus, 3-pyridinecarboxaldehyde was condensed with 2,4-Cl2C6H3CH2CO2H to give cis-I which at 1 kg/ha gave >80% control of Plasmopara viticola on vine plants.

IT **90750-45-1DP**, copper complexes **90750-45-1P**
90750-67-7P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and fungicidal activity of)

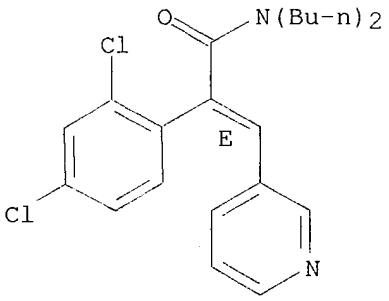
RN 90750-45-1 CAPLUS
CN Benzeneacetamide, N,N-dibutyl-2,4-dichloro-.alpha.-(3-pyridinylmethylene)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



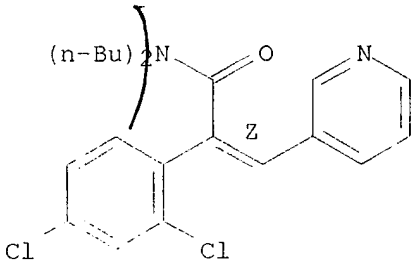
RN 90750-45-1 CAPLUS
CN Benzeneacetamide, N,N-dibutyl-2,4-dichloro-.alpha.-(3-pyridinylmethylene)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



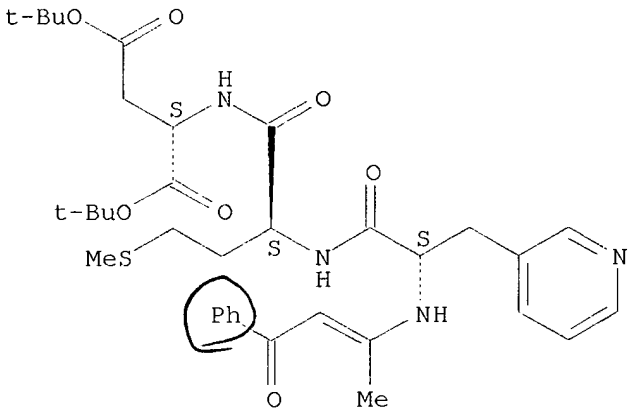
RN 90750-67-7 CAPLUS
CN Benzeneacetamide, N,N-dibutyl-2,4-dichloro-.alpha.-(3-pyridinylmethylene)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



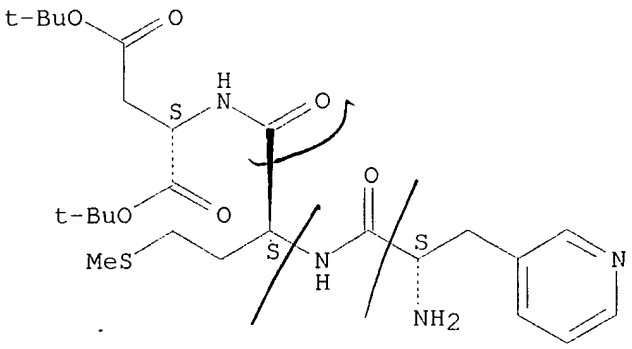
L31 ANSWER 129 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1979:439849 CAPLUS
DN 91:39849
TI Studies on polypeptides. XXIX. Synthesis of the N-terminal tetradecapeptide sequence of ribonuclease A, incorporating .beta.-(3-pyridyl)-L-alanine at position 12
AU Voskuyl-Holtkamp, Ingrid; Schattenkerk, Cecile
CS Dep. Org. Chem., Leiden Univ., Leiden, Neth.
SO Int. J. Pept. Protein Res. (1979), 13(2), 185-94
CODEN: IJPPC3; ISSN: 0367-8377
DT Journal
LA English
AB The title RNase S-peptide analog, H-Lys-Glu-Thr-Ala-Ala-Ala-Lys-Phe-Glu-Arg-Gln-(3-Pyd)Ala-Met-Asp [I (3-Pyd)Ala = .beta.-(3-pyridyl)-L-alanine residue], was prepd. by coupling BOC-Lys(BOC)-Glu(OCMe3)-Thr(CMe3)-Ala-Ala-Ala-NHNH2 (BOC = Me3CO2C) to H-Lys(BOC)-Phe-Glu(OCMe3)-Arg-Glu-(3-Pyd)Ala-Met-Asp(OCMe3)-OCMe3 (II) by the azide method and deblocking the resulting protected tetradecapeptide with CF3CO2H/anisole. Nps-Gln-(3-Pyd)Ala-Met-Asp(OCMe3)-OCMe3[Nps = o-(O2N)C6H4S] was Nps-deblocked and coupled to Nps-Lys(BOC)-Phe-Glu(OCMe3)-Arg-OH by dicyclohexylcarbodiimide/N-hydroxybenzotriazole to give Nps-Lys(BOC)-Phe-Glu(OCMe3)-Arg-Gln-(3-Pyd)Ala-Met-Asp(OCMe3)-OCMe3, which was Nps-deblocked to give II. I binds strongly and stoichiometrically to RNase S-protein, but the resulting complex is enzymatically inactive.
IT **70687-30-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and partial deblocking of)
RN 70687-30-8 CAPLUS
CN L-Aspartic acid, N-[N-[N-(1-methyl-3-oxo-3-phenyl-1-propenyl)-3-(3-pyridinyl)-L-alanyl]-L-methionyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



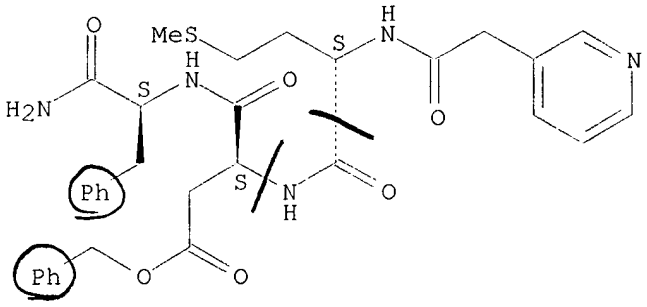
IT **70687-31-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and peptide coupling of, with glutamine deriv.)
RN 70687-31-9 CAPLUS
CN L-Aspartic acid, N-[N-[3-(3-pyridinyl)-L-alanyl]-L-methionyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L61 ANSWER 130 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1976:516646 CAPLUS
DN 85:116646
TI Synthesis of structural analogs of tetragastrin
AU Von Dungen, Adolf; Konz, Wilhelm; Hummelt, Hubert
CS Abt. Pharmachem., C. H. Boehringer Sohn, Ingelheim, Ger.
SO Justus Liebigs Ann. Chem. (1976), (5), 860-75
CODEN: JLACBF
DT Journal
LA German
AB A series of 61 analogs of tetragastrin [1947-37-1], some contg. heterocyclic acids in the amide linkage, were prepd. by solid-or liq.-phase methods. Seven of the compds., Trp-Met-Gly-Phe-NH2 [47801-11-6], Boc-Trp-Met-Tyr(OBzl)-Phe-NH2 [60322-40-9], theophylline-7-ylacetyl-Met-Leu-Phe-NH2 [60058-95-9], Asp(OBzl)-Phe-NH2 [5609-55-2], nicotinoyl-Met-Asp(OBzl)-Phe-NH2 [60058-96-0], Trp-Asp(OBzl)-Phe-NH2 [60058-97-1], and Boc-Trp-Asp-Phe-NH2 [60058-98-2] inhibited gastric secretion in rats >40% after an intraduodenic dose of 30 mg/kg.
IT **60058-75-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and gastric secretion response to)
RN 60058-75-5 CAPLUS
CN L-Phenylalaninamide, N-(3-pyridinylacetyl)-L-methionyl-L-.alpha.-aspartyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 131 OF 131 CAPLUS COPYRIGHT 2002 ACS
AN 1971:530098 CAPLUS
DN 75:130098
TI Peptides containing unnatural amino acids. II. Peptides of DL-.beta.-pyridyl-.alpha.-alanine with glycine
AU Krainova, B. L.; Agafonova, G. A.; Chaman, E. S.
CS Inst. Biol. Med. Khim., Moscow, USSR
SO Zh. Obshch. Khim. (1971), 41(7), 1617-19
CODEN: ZOKHA4
DT Journal
LA Russian
AB Carbobenzoxy-DL-.beta.-4-pyridyl-.alpha.-alanine, Et ester.-HCl, glycine, and dicyclohexylcarbodiimine in CH2Cl2 contg. Et3N in the cold gave the Et ester of carbobenzoxy-DL-.beta.-4-pyridyl-.alpha.-alanylglycine; similarly were prepd. Et esters of 2-and 3-pyridyl analogs, as well as carbobenzoxyglycyl-DL-.beta.-4-pyridyl-.alpha.-alanine, its 3- and 2-pyridyl analogs. These were hydrolyzed to the free peptides as well as converted to the amides by action of NH3, and to the hydrazides by action of N2H4 on the Et esters. Similarly were prepd.: carbobenzoxy-DL-.beta.-3-pyridyl-.alpha.-alanine anilide, and acetates of the amides of glycyl-DL-.beta.-3-(and 4-)pyridyl-.alpha.-alanine.
IT **33913-09-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 33913-09-6 CAPLUS
CN Glycine, N-[N-carboxy-3-(3-pyridyl)-DL-alanyl]-, N-benzyl ethyl ester (8CI) (CA INDEX NAME)